=> file casreact
FILE 'CASREACT' ENTERED AT 14:02:25 ON 17 JUN 2008

FILE CONTENT:1840 - 14 Jun 2008 VOL 148 ISS 25

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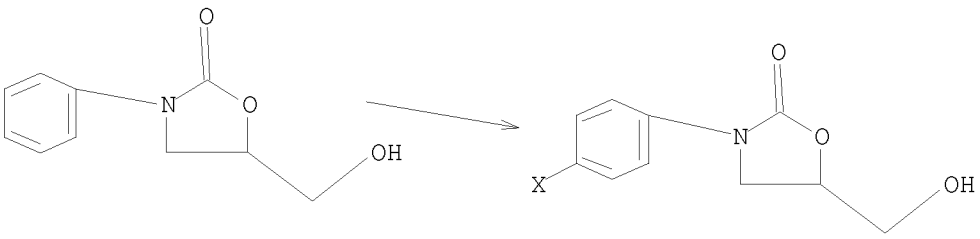
Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que
L1 STR



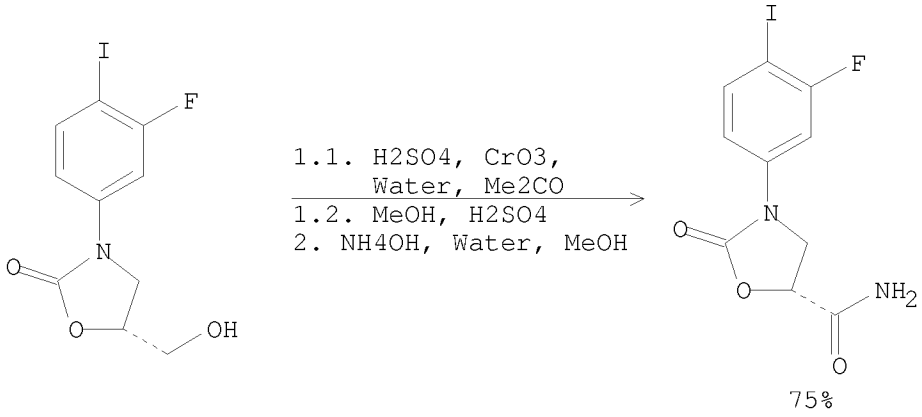
Structure attributes must be viewed using STN Express query preparation.
L3 13 SEA FILE=CASREACT SSS FUL L1 (49 REACTIONS)

=> d l3 1-13 ibib abs fcrd

L3 ANSWER 1 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:69037 CASREACT
TITLE: Antibacterial Oxazolidinones Possessing a Novel C-5 Side Chain. (5R)-trans-3-[3-Fluoro-4-(1-oxotetrahydrothiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylic Acid Amide (PF-00422602), a New Lead Compound
AUTHOR(S): Poel, Toni-Jo; Thomas, Richard C.; Adams, Wade J.; Aristoff, Paul A.; Barbachyn, Michael R.; Boyer, Frederick E.; Brieland, Joan; Brideau, Roger; Brodfuehrer, Joanne; Brown, Alan P.; Choy, Allison L.; Dermyer, Michael; Dority, Michael; Ford, Charles W.; Gadwood, Robert C.; Hanna, Debra; Cai, Hongliang;

Huband, Michael D.; Huber, Christopher; Kelly, Rose; Kim, Ji-Young; Martin, Joseph P., Jr.; Pagano, Paul J.; Ross, Daniel; Skerlos, Laura; Sulavik, Mark C.; Zhu, Tong; Zurenko, Gary E.; Prasad, J. V. N. Vara
CORPORATE SOURCE: Michigan Laboratories, Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(24), 5886-5889
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Oxazolidinones possessing a C-5 carboxamide functionality (reverse amides) represent a new series of compds. that block bacterial protein synthesis. These reverse amides also exhibited less potency against monoamine oxidase (MAO) enzymes and thus possess less potential for the side effects associated with MAO inhibition. The title compound (14) showed reduced in vivo myelotoxicity compared to linezolid in a 14-day safety study in rats, potent in vivo efficacy in murine systemic infection models, and excellent pharmacokinetic properties.

RX(35) OF 115 - 2 STEPS



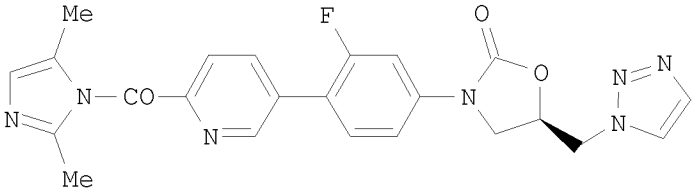
75%

CON: STEP(1.1) 15 minutes, -10 deg C; -10 deg C -> room temperature; 16 hours, room temperature
STEP(1.2) 20 hours, room temperature
STEP(2) 1 hour, room temperature

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:479761 CASREACT
TITLE: Novel Substituted (Pyridin-3-yl)phenyloxazolidinones: Antibacterial Agents with Reduced Activity against Monoamine Oxidase A and Increased Solubility
AUTHOR(S): Reck, Folkert; Zhou, Fei; Eyermann, Charles J.; Kern, Gunther; Carcanague, Dan; Ioannidis, Georgine; Illingworth, Ruth; Poon, Grace; Gravestock, Michael B.
CORPORATE SOURCE: AstraZeneca Discovery, AstraZeneca R&D Boston, Waltham, MA, 02451, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(20),

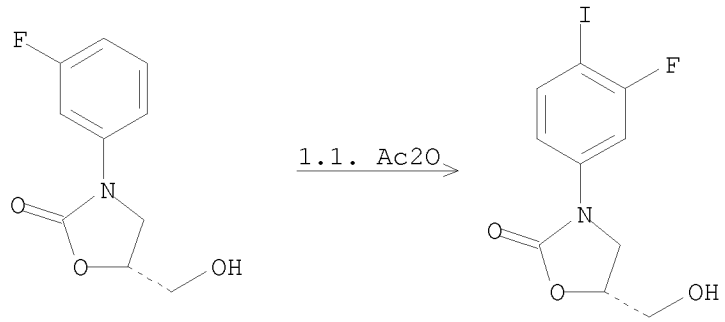
4868-4881
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Oxazolidinones represent a new and promising class of antibacterial agents. Current research in this area is mainly concentrated on improving the safety profile and the antibacterial spectrum. Oxazolidinones bearing a (pyridin-3-yl)phenyl moiety (e.g., 3) generally show improved antibacterial activity compared to linezolid but suffer from potent monoamine oxidase A (MAO-A) inhibition and low solubility We now disclose the finding that new analogs of 3 with acyclic substituents on the pyridyl moiety exhibit excellent activity against Gram-pos. pathogens, including linezolid-resistant Streptococcus pneumoniae. Generally, more bulky substituents yielded significantly reduced MAO-A inhibition relative to the unsubstituted compound 3. The MAO-A SAR can be rationalized on the basis of docking studies using a MAO-A/MAO-B homol. model. Solubility was enhanced with incorporation of polar groups. One optimized analog, compound 13(I), showed low clearance in the rat and efficacy against S. pneumoniae in a mouse pneumonia model.

RX(146) OF 394 - 3 STEPS

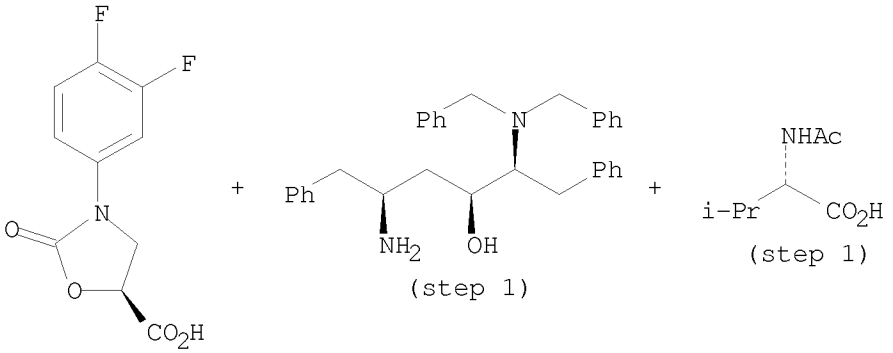


CON: STEP(1.1) 30 minutes, room temperature; 18 hours, room temperature
STEP(1.2) room temperature
STEP(2.1) 30 minutes, room temperature; 18 hours, room temperature
STEP(2.2) 18 hours, room temperature
STEP(2.3) room temperature
STEP(3.1) 25 hours, room temperature
STEP(3.2) room temperature, neutralized

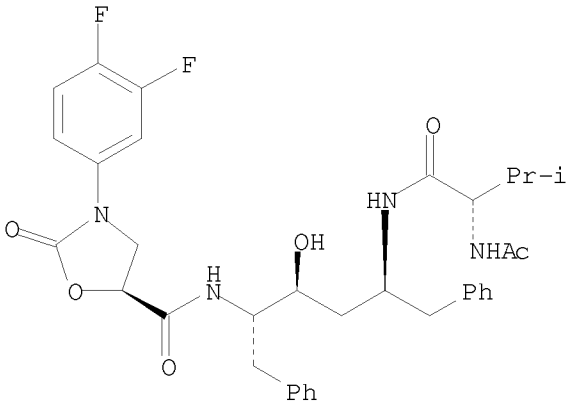
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:449059 CASREACT
TITLE: Design and Synthesis of HIV-1 Protease Inhibitors Incorporating Oxazolidinones as P2/P2' Ligands in Pseudosymmetric Dipeptide Isosteres
AUTHOR(S): Reddy, G. S. Kiran Kumar; Ali, Akbar; Nalam, Madhavi N. L.; Anjum, Saima Ghafoor; Cao, Hong; Nathans, Robin S.; Schiffer, Celia A.; Rana, Tariq M.
CORPORATE SOURCE: Chemical Biology Program and Department of Biochemistry and Molecular Pharmacology, University of Massachusetts Medical School, Worcester, MA, 01605, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(18), 4316-4328
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of novel HIV-1 protease inhibitors based on two pseudosym. dipeptide isosteres have been synthesized and evaluated. The inhibitors were designed by incorporating N-phenyloxazolidinone-5-carboxamides into the hydroxyethylene and (hydroxyethyl)hydrazine dipeptide isosteres as P2 and P2' ligands. Compds. with (S)-phenyloxazolidinones attached at a position proximal to the central hydroxyl group showed low nM inhibitory activities against wild-type HIV-1 protease. Selected compds. were further evaluated for their inhibitory activities against a panel of multidrug-resistant protease variants and for their antiviral potencies in MT-4 cells. The crystal structures of lopinavir (LPV) and two new inhibitors containing phenyloxazolidinone-based ligands in complex with wild-type HIV-1 protease have been determined A comparison of the inhibitor-protease structures with the LPV-protease structure provides valuable insight into the binding mode of the new inhibitors to the protease enzyme. Based on the crystal structures and knowledge of structure-activity relationships, new inhibitors can be designed with enhanced enzyme inhibitory and antiviral potencies.

RX(3) OF 23



RX(3) OF 23



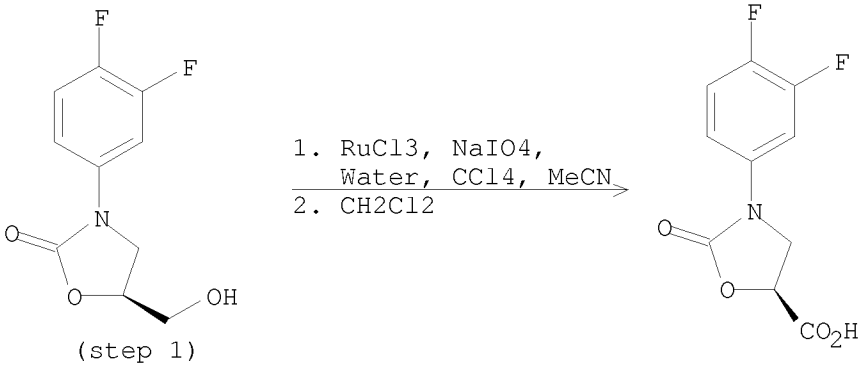
NOTE: crude from stage 3 added in stage 4
CON: STAGE(1) 0 deg C; 24 hours, 0 deg C
STAGE(2) overnight, 50 deg C
STAGE(3) overnight, room temperature
STAGE(4) 0 deg C; 15 minutes, 0 deg C;
0 deg C -> room temperature; 8 hours, room temperature

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 146:142538 CASREACT
TITLE: Discovery of HIV-1 Protease Inhibitors with Picomolar Affinities Incorporating N-Aryl-oxazolidinone-5-carboxamides as Novel P2 Ligands

AUTHOR(S): Ali, Akbar; Reddy, G. S. Kiran Kumar; Cao, Hong; Anjum, Saima Ghafoor; Nalam, Madhavi N. L.; Schiffer, Celia A.; Rana, Tariq M.
CORPORATE SOURCE: Chemical Biology Program, Department of Biochemistry and Molecular Pharmacology, University of Massachusetts Medical School, Worcester, MA, 01605, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(25), 7342-7356
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The design, synthesis, and biol. evaluation of novel HIV-1 protease inhibitors incorporating N-phenyloxazolidinone-5-carboxamides into the (hydroxyethylamino)sulfonamide scaffold as P2 ligands is described. Series of inhibitors with variations at the P2 phenyloxazolidinone and the P2' phenylsulfonamide moieties were synthesized. Compds. with the (S)-enantiomer of substituted phenyloxazolidinones at P2 show highly potent inhibitory activities against HIV-1 protease. The inhibitors possessing 3-acetyl, 4-acetyl, and 3-trifluoromethyl groups at the Ph ring of the oxazolidinone fragment are the most potent in each series, with Ki values in the low picomolar (pM) range. The electron-donating groups 4-methoxy and 1,3-dioxolane are preferred at P2' Ph ring, as compds. with other substitutions show lower binding affinities. Attempts to replace the iso-Bu group at P1' with small cyclic moieties caused significant loss of affinities in the resulting compds. Crystal structure anal. of the two most potent inhibitors in complex with the HIV-1 protease provided valuable information on the interactions between the inhibitor and the protease enzyme. In both inhibitor-enzyme complexes, the carbonyl group of the oxazolidinone ring makes hydrogen bond interactions with relatively conserved Asp29 residue of the protease. Potent inhibitors from each series incorporating various phenyloxazolidinone based P2 ligands were selected and their activities against a panel of multidrug-resistant (MDR) protease variants were determined Interestingly, the most potent protease inhibitor starts out with extremely tight affinity for the wild-type enzyme (Ki = 0.8 pM), and even against the MDR variants it retains picomolar to low nanomolar Ki, which is highly comparable with the best FDA-approved protease inhibitors.

RX(20) OF 570



(step 1)

CON: STAGE(1) 30 minutes, 0 deg C; 0 deg C -> room temperature; 4 - 6 hours, room temperature
STAGE(2) room temperature

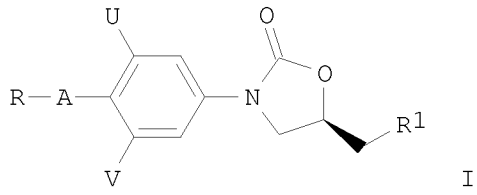
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

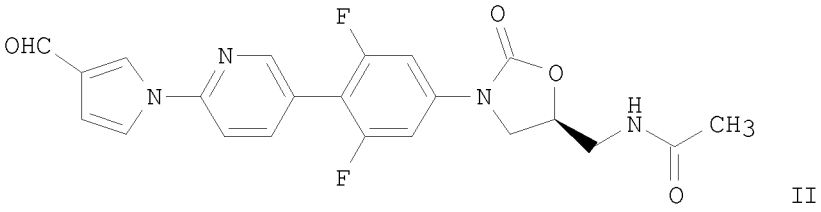
L3 ANSWER 5 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:390904 CASREACT
TITLE: Phenyl-substituted oxazolidinone derivatives and their preparation, pharmaceutical compositions, and use as antimicrobials
INVENTOR(S): Das, Biswajit; Ahmed, Shahadat; Yadav, Ajay Singh; Ghosh, Soma; Gujrati, Arti; Sharma, Pankaj; Rattan, Ashok
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006038100	A1	20060413	WO 2005-IB2971	20051006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1799677	A1	20070627	EP 2005-801258	20051006
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN02639	A	20070803	IN 2007-DN2639	20070409
PRIORITY APPLN. INFO.:			US 2004-616964P	20041008
			WO 2005-IB2971	20051006

OTHER SOURCE(S): MARPAT 144:390904
GI



I

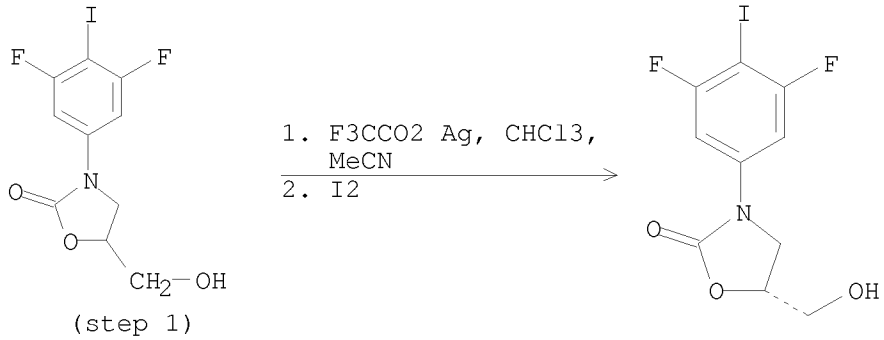


II

AB The invention relates to phenyl-substituted oxazolidinones I, or their pharmaceutically acceptable salts, solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites, as well as processes for their synthesis. In compds. I: A is pyridine-2,5-diyl, pyrimidine-2,5-diyl, furan-2,5-diyl, thiophene-2,5-diyl, and analogs; U and V are independently selected from H (both U and V cannot be H), lower alkyl, or halo; R is CH:NORf, CH:NOC(O)Rf, CH:NOSORf, CH:NOC(O)NHRf, heterocyclyl, or heteroaryl; Rf is H, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl; R1 is azido, NCS, NHYRf, NRjC(:T)NRfRq, NRfRq, NRj(C:O)ORs; Y is (C:O), (C:S), or SO2; T is O, S, N(CN), N(NO2), CH(NO2); Rj is H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroarylalkyl, or heterocyclylalkyl; Rq is H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl or heterocyclylalkyl; Rs is alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroarylalkyl or heterocyclylalkyl; with the proviso that: when U is H, V is F, R is NHCOCH3 and A is pyridine-2,5-diyl, then R is a 5-membered heteroaryl ring containing two or four N atoms (wherein the 5-membered heteroaryl ring containing four N atoms is linked through an N-atom to pyridine-2,5-diyl and is always substituted); when A is pyrimidine-2,5-diyl and U, V, and R1 are as defined above then R cannot be a 5-membered heterocyclyl ring containing 2 hetero atoms. The invention also relates to pharmaceutical compns. containing I as antimicrobials. I are useful antimicrobial agents (no data), effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria (for example, multiple-resistant staphylococci, streptococci, and enterococci), as well as anaerobic organisms (for example, Bacteroides spp. and Clostridia spp.), and acid fast organisms (for example, Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp.). Approx. 100 compds. I were prepared, and are claimed by name. The synthesis of most compds. I and a variety of intermediates is described. For instance, 5-bromopyridin-2-amine was (1) N-protected with BOC, followed by (2) conversion of the bromide to the boronic acid, (3) Pd-catalyzed coupling of the boronic acid with (S)-N-[[3-(4-iodo-3,5-difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]acetamide, (4) N-deprotection with HCl, and (5) cyclization of the freed amine with 2,5-dimethoxytetrahydrofuran-3-carboxaldehyde, to give invention compound II. I have good activity against multiply resistant Gram-pos. pathogens like methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant Enterococci (VRE), and Streptococcus pneumoniae. Some I have activity against multiple

drug-resistant tuberculosis (MDR-TB) strain, while others have significant activity against important anaerobic bacteria. I are also active against MAI sirens and Gram-neg. pathogens like Moraxella catarrhalis and Haemophilus influenza.

RX(74) OF 309



(step 1)

CON: STAGE(1) 0.25 hours, room temperature
STAGE(2) room temperature; 12 hours, room temperature

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:36355 CASREACT
TITLE: Preparation of 3-(4-(2-dihydroisoxazol-3-ylpyridin-5-yl)phenyl)-5-triazol-1-ylmethyloxazolidin-2-ones as MAO inhibitors for the treatment of bacterial infection.
INVENTOR(S): Gravestock, Michael Barry; Carcanague, Daniel Robert
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

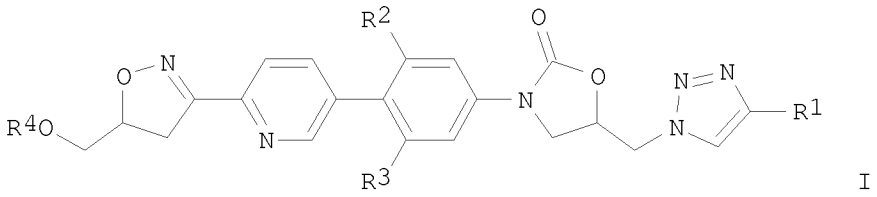
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116024	A1	20051208	WO 2005-GB2059	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247671	A1	20051208	AU 2005-247671	20050524
CA 2567457	A1	20051208	CA 2005-2567457	20050524
EP 1753753	A1	20070221	EP 2005-746284	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

	IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	HR,	LV

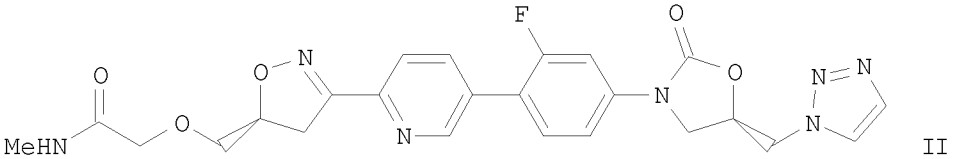
CN 1989135	A	20070627	CN 2005-80025003	20050524
BR 2005011535	A	20080102	BR 2005-11535	20050524
JP 2008500319	T	20080110	JP 2007-514091	20050524
US 20070208062	A1	20070906	US 2006-569150	20061115
MX 2006PA13540	A	20070126	MX 2006-PA13540	20061122
IN 2006DN07612	A	20070622	IN 2006-DN7612	20061215
NO 2006005873	A	20070220	NO 2006-5873	20061219
KR 2007022784	A	20070227	KR 2006-727035	20061222
PRIORITY APPLN. INFO.:			GB 2004-11595	20040525
			GB 2005-56	20050105
			WO 2005-GB2059	20050524

OTHER SOURCE(S): MARPAT 144:36355

GI



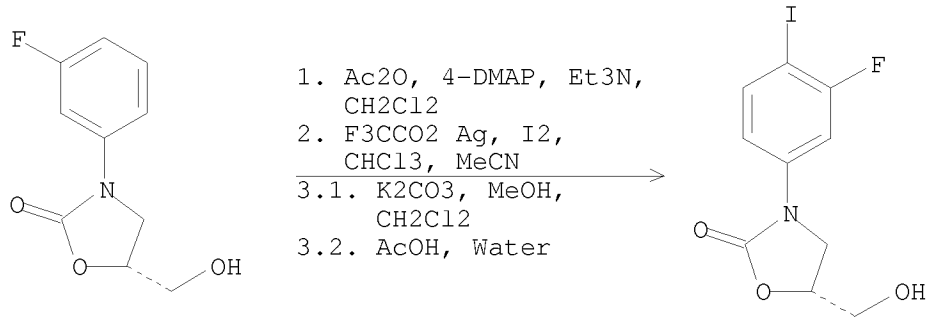
I



II

AB Title compds. [I; R1 = H, halo, cyano, Me, NCCH2, FCH2, F2CH, F3C, MeS, alkynyl; R2, R3 = H, F, Cl, CF3; R4 = NCCH2, HO2CCH2, (substituted) alkyl, aminocarbonylmethyl], were prepared Thus, [[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl]pyridin-2-yl]4,5-dihydroisoxazol-5-yl]methoxy]acetic acid (preparation given) was stirred with pentafluorophenol, 4-dimethylaminopyridine, and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride were stirred together for 4 h in DMF to give the pentafluorophenyl ester, which was heated with MeNH2 in THF/dioxane at 60° for 1.5 h to give title compound (II). II showed a min. inhibitory concentration of 0.06 μg/mL against Streptococcus pneumoniae.

RX(149) OF 711 - 3 STEPS



NOTE: 2) regioselective
CON: STEP(1.1) 30 minutes, room temperature; 18 hours, room temperature
STEP(2.1) 30 minutes, room temperature; 36 hours, room temperature
STEP(3.1) 25 minutes, room temperature
STEP(3.2) room temperature, neutralized

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

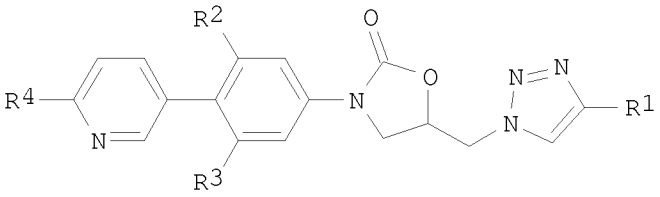
L3 ANSWER 7 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:36352 CASREACT
TITLE: Preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Reck, Folkert; Zhou, Fei
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116022	A1	20051208	WO 2005-GB2051	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247668	A1	20051208	AU 2005-247668	20050524
CA 2566963	A1	20051208	CA 2005-2566963	20050524
EP 1753754	A1	20070221	EP 2005-746537	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				

CN 1989137	A	20070627	CN 2005-80025063	20050524
BR 2005011526	A	20071226	BR 2005-11526	20050524
JP 2008500317	T	20080110	JP 2007-514087	20050524
US 20080021012	A1	20080124	US 2006-569408	20061120
MX 2006PA13537	A	20070126	MX 2006-PA13537	20061122
IN 2006DN07668	A	20070817	IN 2006-DN7668	20061218
NO 2006005889	A	20070220	NO 2006-5889	20061219
KR 2007023766	A	20070228	KR 2006-727033	20061222
PRIORITY APPLN. INFO.:			GB 2004-11593	20040525
			GB 2005-54	20050105
			WO 2005-GB2051	20050524

OTHER SOURCE(S): MARPAT 144:36352

GI



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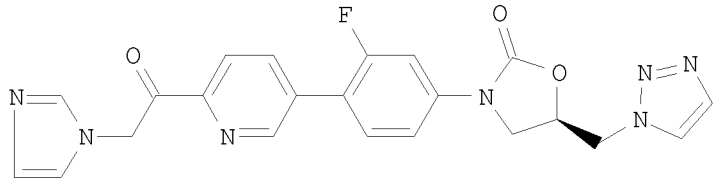
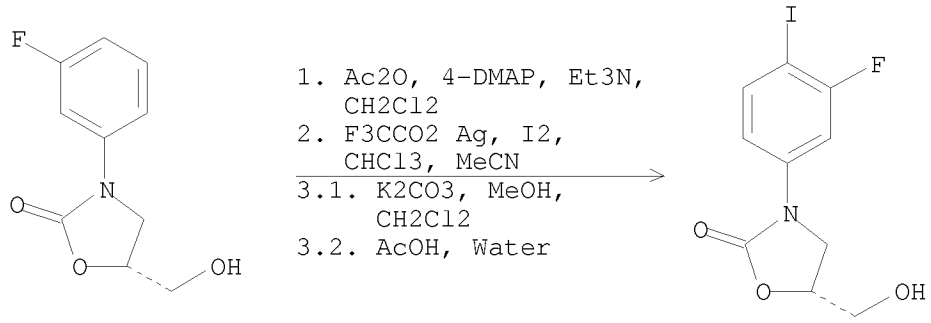


II

AB Title compds. I [R1 = H, halo, CN, etc.; R2-3 = H, F, Cl, CF3; R4 = carboxy, etc.] are prepared For instance, II is prepared by the coupling of 1-(5-bromopyridin-2-yl)-2-(1H-imidazol-1-yl)ethanone (preparation given) and (R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (preparation given) (DMF, (Ph3P)4P, 75°, 3 h). Compds. of the invention exhibit good antibacterial activity against standard Gram-pos. organisms with a MIC in the range of 0.01-256 μg/mL. I also exhibit relatively low levels of MAO-A inhibition compared to similarly substituted analogs.

RX(151) OF 628 - 3 STEPS



NOTE: 2) regioselective
CON: STEP(1.1) 30 minutes, room temperature; 18 hours, room temperature
STEP(2.1) 30 minutes, room temperature; 36 hours, room temperature
STEP(3.1) 25 minutes, room temperature
STEP(3.2) room temperature, neutralized

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:36349 CASREACT
TITLE: Preparation of 3-[4-[6-(4,5-dihydroisoxazol-3-yl)pyridin-3-yl]-3-phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-ones as antibacterials.
INVENTOR(S): Carcanague, Daniel Robert; Gravestock, Michael Barry
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116021	A1	20051208	WO 2005-GB2040	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247663	A1	20051208	AU 2005-247663	20050524
CA 2567454	A1	20051208	CA 2005-2567454	20050524
EP 1753756	A1	20070221	EP 2005-746731	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,				

	HR, LV, MK, YU				
	CN 1989136	A	20070627	CN 2005-80025055	20050524
	BR 2005011554	A	20080102	BR 2005-11554	20050524
	JP 2008500315	T	20080110	JP 2007-514084	20050524
	US 20080064689	A1	20080313	US 2006-569148	20061115
	MX 2006PA13539	A	20070126	MX 2006-PA13539	20061122
	IN 2006DN07658	A	20070817	IN 2006-DN7658	20061218
	NO 2006005863	A	20070220	NO 2006-5863	20061219
	KR 2007027614	A	20070309	KR 2006-727174	20061222
PRIORITY APPLN. INFO.:				GB 2004-11594	20040525
				GB 2005-55	20050105
				WO 2005-GB2040	20050524

OTHER SOURCE(S): MARPAT 144:36349

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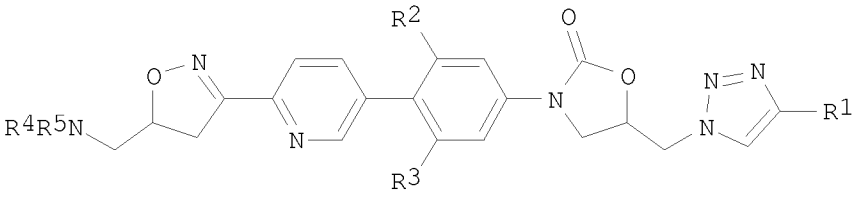

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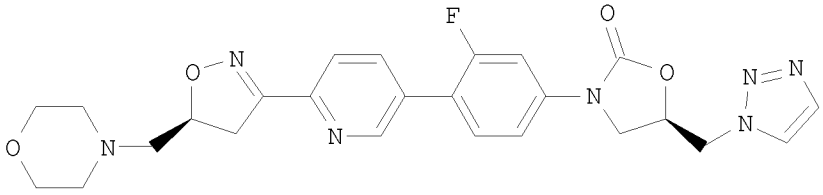
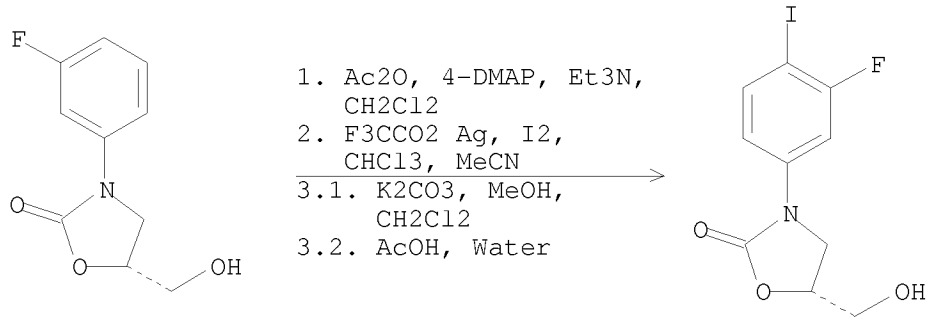


II

AB Title compds. [I; R1 = H, halo, Me, NCCH2, FCH2, F2CH, F3C MeS, alkynyl; R2, R3 = H, F, Cl, CF3; R4, R5 = H, Me, (substituted) allyl, Me, NCCH2, CH2CO2H, CO2H, COR6, etc.; R4R5N = (substituted) 5-6 membered (unsatd.) heterocyclyl, (substituted) imidazolyl; R6 = H, Me, cyclopropyl, methylcyclopropyl, CH2CO2H, etc.], were prepared Thus, 4-[[(5S)-3-(5-bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]morpholine (preparation given), (5R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given), K2CO3, and (PPh3)4Pd were heated together in DMF/H2O at 80° for 30 min. to give title compound (II). II showed a min. inhibitory concentration of 0.13 μg/mL against Streptococcus pneumoniae.

RX(193) OF 927 - 3 STEPS



NOTE: 2) regioselective
CON: STEP(1.1) 30 minutes, room temperature; 18 hours, room temperature
STEP(2.1) 30 minutes, room temperature; 36 hours, room temperature
STEP(3.1) 25 minutes, room temperature
STEP(3.2) room temperature, neutralized

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:97346 CASREACT
TITLE: Preparation of halogenated biaryl oxazolidinones as antiinflammatory agents
INVENTOR(S): Chen, Shili; Zhou, Jiacheng; Wu, Yunsheng; Wang, Deping; Salvino, Joseph M.; Oyelere, Adegboyega K.; Lou, Rongliang
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA; Bhattacharjee, Ashoke; Chen, Yi
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061468	A1	20050707	WO 2004-US39988	20041201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050153971	A1	20050714	US 2004-1446	20041201
US 7129259	B2	20061031		
EP 1713785	A1	20061025	EP 2004-812498	20041201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU

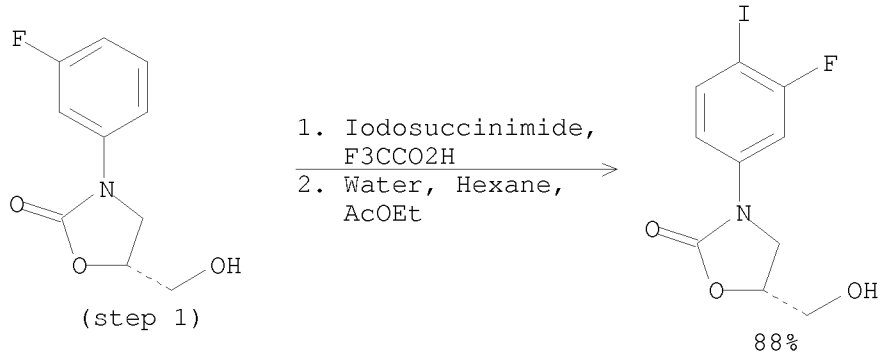
JP 2007514782	T	20070607	JP 2006-545691	20041201
US 20060148869	A1	20060706	US 2006-362133	20060223
PRIORITY APPLN. INFO.:			US 2003-530371P	20031217
			US 2004-576267P	20040602
			US 2004-1446	20041201
			WO 2004-US39988	20041201

OTHER SOURCE(S): MARPAT 143:97346
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A and B independently = Ph, pyridyl, pyrazinyl, etc.; M = (un)substituted alkyl, alkenyl, alkynyl; X = O, -N(O)-, -O-N=, etc.; L = (un)substituted alkyl, alkenyl, alkynyl; R1 = halo, CF3, NO2, etc.; R2 = CN, halo, CF3, etc.; R3 = OR4, NR4R4, C(O)R4, etc.; R4 = H, alkyl, alkenyl, etc.; m = 0-4; n = 0-4] and their pharmaceutically acceptable salts, are prepared and disclosed as antiinflammatory agents. Thus, e.g., II was prepared by alkylation of amine III (preparation given) with 3-bromo-1,1,1-trifluoro-2-propanol. The activity of I was evaluated using surface binding studies and fluorescence polarization (no data). I should prove useful as antiinflammatory agents. Pharmaceutical compns. comprising I are disclosed.

RX(43) OF 974



(step 1)

88%

NOTE: regioselective
CON: STAGE(1) 2 hours, 25 deg C
STAGE(2) 0.5 hours, 25 deg C; 2 hours, 25 deg C -> 5 deg C

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:97343 CASREACT
TITLE: Preparation of oxazolidinone broad-spectrum antibiotics
INVENTOR(S): Rhee, Jae Keol; Im, Weon Bin; Cho, Chong Hwang; Choi, Sung Hak; Lee, Tae Ho
PATENT ASSIGNEE(S): Dong-A Pharm.Co., Ltd., S. Korea

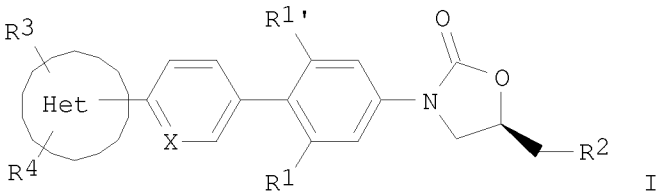
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058886	A1	20050630	WO 2004-KR3327	20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2005061271	A	20050622	KR 2004-58809	20040727
AU 2004299413	A1	20050630	AU 2004-299413	20041217
CA 2549062	A1	20050630	CA 2004-2549062	20041217
EP 1699784	A1	20060913	EP 2004-808458	20041217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1894242	A	20070110	CN 2004-80037612	20041217
BR 2004017800	A	20070410	BR 2004-17800	20041217
JP 2007514737	T	20070607	JP 2006-545238	20041217
US 20070155798	A1	20070705	US 2006-596412	20060613
MX 2006PA06955	A	20061219	MX 2006-PA6955	20060616
IN 2006CN02167	A	20070608	IN 2006-CN2167	20060616

PRIORITY APPLN. INFO.: KR 2003-93342 20031218
KR 2004-58809 20040727
WO 2004-KR3327 20041217

OTHER SOURCE(S): MARPAT 143:97343

GI



I

AB Title compds. I [X = C, N; R1-1' = H, F; R2 = amino, alkoxy, triazolyl, etc.; R3-4 = H, alkyl, etc.; Het = heterocyclic ring, heteroarom. ring, etc.] are prepared For instance, (R)-3-[4-[2-(2-methyltetrazol-5-yl)pyridin-5-yl]-3-fluorophenyl]-5-hydroxymethyloxazolidin-2-one (II) is prepared from 2-(2-methyltetrazol-5-yl)-5-bromopyridine and (R)-3-(4-tributylstannyl-3-fluorophenyl)-2-oxo-5-oxazolidinylmethanol (preparation given). II exhibits MIC50 = 0.5 μg/mL against MRSA and 0.25 μg/mL against VRE. I show inhibitory activity against a broad spectrum of bacteria and lower toxicity. The amino acid or phosphate prodrugs of the invention show good water solubility Further, the derivs. of the present invention may exert

potent antibacterial activity vs. various human and animal pathogens, including Gram-pos. bacteria such as Staphylococci, Enterococci and Streptococci, anaerobic microorganisms such as Bacteroides and Clostridia, and acid-resistant microorganisms such as Mycobacterium tuberculosis and Mycobacterium avium.

RX(75) OF 486

(step 1)

94%

NOTE: regioselective
CON: 1 day, room temperature

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

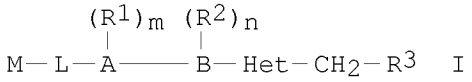
L3 ANSWER 11 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:219289 CASREACT
TITLE: Process for the synthesis of biaryl oxazolidinones
INVENTOR(S): Wu, Yusheng; Chen, Shili; Chen, Yi; Hanselmann, Roger; Lou, Rongliang; Zhou, Jiacheng
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012271	A2	20050210	WO 2004-US24339	20040728
WO 2005012271	A3	20050929		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050043317	A1	20050224	US 2004-859476	20040602
US 6969726	B2	20051129		
EP 1660465	A2	20060531	EP 2004-779405	20040728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	JP 2007500708	T	20070118	JP 2006-522029	20040728
	US 20050203147	A1	20050915	US 2005-118808	20050429
	US 7148219	B2	20061212		
	US 20060148869	A1	20060706	US 2006-362133	20060223
	US 20060264426	A1	20061123	US 2006-486769	20060714
PRIORITY APPLN. INFO.:				US 2003-490855P	20030729
				US 2003-529731P	20031215
				US 2003-530371P	20031217
				US 2003-531584P	20031219
				US 2004-576163P	20040602
				US 2004-859476	20040602
				US 2003-475430P	20030603
				US 2003-475453P	20030603
				US 2004-576267P	20040602
				WO 2004-US24339	20040728
				US 2004-1446	20041201
				US 2005-118808	20050429

OTHER SOURCE(S): MARPAT 142:219289

GI



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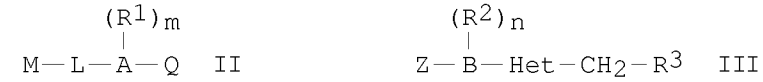
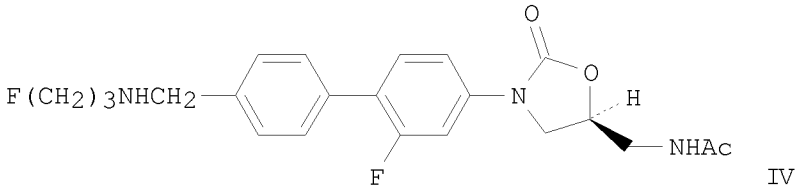


II III

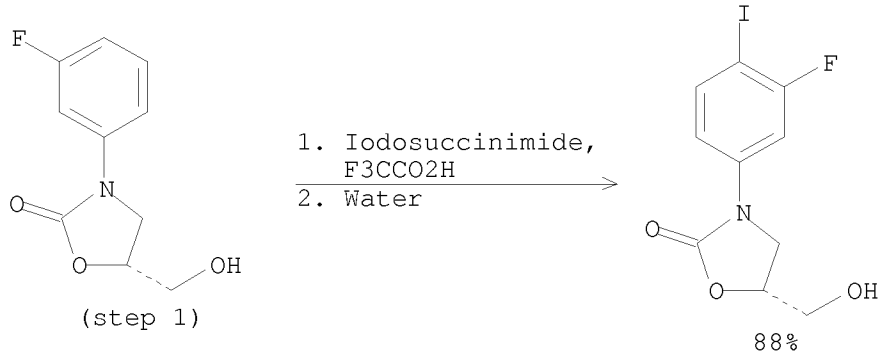


IV

AB The present invention relates to processes for the preparation of biaryloxazolidinones (I) [A, B = Ph, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl; Het-CH2-R3 = Q1, Q2, Q3, Q4; M-L = M-X, M-L1, M-L1-X, M-X-L2, M-L-X-L2, M-X-L1-X-L2, M-L1-X-L2-X, M-X-X-, M-L1-X-X-, M-X-X-L2, -L1-X-X-L2; wherein X = -, (un)substituted NH, -N(OH)-, -SO2NH-,-NHSO2-, -NH-N=, =N-NH-, -NH-NH-, -NHC(O)O-, -OC(O)NH-, -NHC(O)NH- or -NHC(NH)NH-, -O-N=, =N-O-, -N=, =N-, etc.; L1, L2 = each (un)substituted C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl; alternatively, L in M-L is a bond and M = each (un)substituted C3-14 saturated, unsatd., or aromatic carbocycle, 3-14 membered saturated, unsatd., or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of N, O, and S, C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, cyano; R1, R2 = F, Cl, Br, iodo, CF3, each (un)substituted OH, NH2, CO2H, or CONH2, cyano, NO2, etc.; R3 = each (un)substituted OH, NH2, CO2H, CONH2, NHCONH2, SO2NH2, etc.; m , n = 0-4] which comprises coupling of the compound of formula (II) (Q = borane having the formula BY2; Y = HO, C1-6 alkoxy, C2-6 alkenyloxy, C2-6 alkynyloxy, etc.) with the compound of formula (III) (Z = iodo, Br, Cl, sulfonate). These compds. I are useful as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents (no data). Thus, [4-[[N-(3-fluoropropyl)-N-(tert-butylcarbonyl)amino]methyl]phenyl]boronic

acid and (5S)-N-[3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-ylmethyl]acetamide were stirred with tetrakis(triphenylphosphine)palladium (0) and K2CO3 in a mixture of toluene, ethanol, and water at reflux for 8 h to give (5S)-[[4'-[5-[(acetylamino)methyl]-2-oxooxazolidin-3-yl]-2'-fluorobiphenyl-4-yl]methyl](3-fluoropropyl)carbamic acid tert-Bu ester which was stirred with HCl/1,4-dioxane at room temperature for 12 h to give (5S)-N-[[3-[2-fluoro-4'-[(3-fluoropropylamino)methyl]biphenyl-4-yl]-2-oxooxazolidin-5-yl]methyl]acetamide monohydrochloride (IV).

RX(3) OF 643



(step 1)

88%

CON: STAGE(1) 25 deg C; 2 hours

L3 ANSWER 12 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:155938 CASREACT
TITLE: Preparation of cyclopropyl group substituted oxazolidinones as antibiotics
INVENTOR(S): Fukuda, Yasumichi
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005420	A1	20050120	WO 2004-US20737	20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004256085	A1	20050120	AU 2004-256085	20040629
AU 2004256085	B2	20071206		

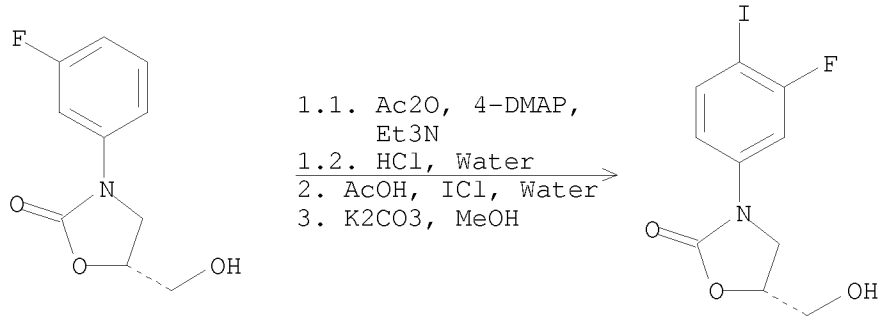
CA 2529293	A1	20050120	CA 2004-2529293	20040629
EP 1654259	A1	20060510	EP 2004-777199	20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1816545	A	20060809	CN 2004-80018905	20040629
JP 2007521283	T	20070802	JP 2006-517739	20040629
US 20070203187	A1	20070830	US 2007-655840	20070122
US 20070185132	A1	20070809	US 2007-559869	20070319
PRIORITY APPLN. INFO.:			US 2003-483904P	20030702
			US 2004-546980P	20040224
			US 2004-546984P	20040224
			US 2004-878637	20040629
			WO 2004-US20737	20040629

OTHER SOURCE(S): MARPAT 142:155938
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones I and II [wherein R1, R2 = independently H, NH2, CH3 and derivs., CHO and derivs., CONH2 and derivs., SO2H and derivs., (un)substituted heterocyclyl, etc.; Y, Z = (un)substituted arylene, heteroarylene; R1a = defined as R1 less H, V = O, H, OH, or halo; A = C or N with provisos; Rx = H, alkyl; R3 =NHC(:O)H and derivs., NHSO2H and derivs., (un)substituted NH-heteroaryl, etc.; B = (CH2)n; n = 0-1; and their enantiomers, diastereomers, or their pharmaceutically acceptable salts, esters, hydrates or prodrugs] are effective against aerobic and anaerobic pathogens such as multi-resistant Staphylococci, Streptococci and Enterococci, Bacteroides, Clostridia, as well as acid-fast organisms such as Mycobacterium tuberculosis, and other mycobacterial species. Thus, reacting N-[[(5S)-3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-yl]methyl]acetamide with bis(pinacolato)diboron, and Pd-coupling with 5-bromo-2-(1-cyanocyclopropan-1-yl)pyridine gave oxazolidinone III. The prepared oxazolidinones were tested for antibacterial activity against a variety of strains, such as Staphylococcus aureus, Streptococcus pneumoniae and Enterococcus faecium. III inhibited Staphylococcus aureus Smith in vitro with a min. inhibitory concentration of 0.06 μg/mL.

RX(372) OF 719 - 3 STEPS



NOTE: 2) regioselective
CON: STEP(1.1) 1 hour, room temperature
STEP(1.2) room temperature
STEP(2) 18 hours, room temperature
STEP(3) 2.5 hours, room temperature

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 13 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:155937 CASREACT
TITLE: Preparation of cyclopropyl group substituted oxazolidinones as antibiotics
INVENTOR(S): Fukuda, Yasumichi
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

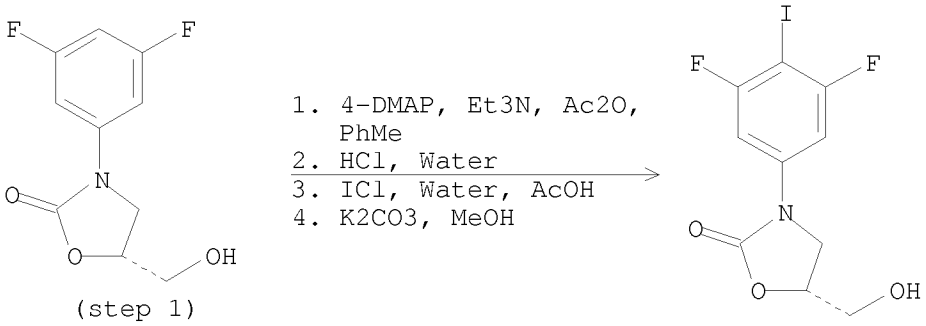
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005398	A2	20050120	WO 2004-US20734	20040629
WO 2005005398	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004256083	A1	20050120	AU 2004-256083	20040629
AU 2004256083	B2	20071011		
CA 2530140	A1	20050120	CA 2004-2530140	20040629
US 20050038092	A1	20050217	US 2004-878637	20040629
EP 1646629	A2	20060419	EP 2004-777196	20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1816548	A	20060809	CN 2004-80019102	20040629
BR 2004011688	A	20061226	BR 2004-11688	20040629
JP 2007521281	T	20070802	JP 2006-517736	20040629
MX 2006PA00228	A	20060627	MX 2006-PA228	20051221
NO 2006000558	A	20060202	NO 2006-558	20060202
US 20070203187	A1	20070830	US 2007-655840	20070122
IN 2008DN02477	A	20080606	IN 2008-DN2477	20080325
PRIORITY APPLN. INFO.:			US 2003-483904P	20030702
			US 2004-546984P	20040224
			US 2004-878637	20040629
			WO 2004-US20734	20040629
			IN 2005-DN5837	20051215

OTHER SOURCE(S): MARPAT 142:155937
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones I and II [wherein R1 = H, CH3 and derivs., CHO and derivs., CN, (un)substituted heterocyclyl; Y = NH and derivs., O, CN, S, SO, SO2; A, B = (un)substituted arylene, heteroarylene, heterocyclylene, etc.; D = (CH2)n; n = 0-1; R3 = NH2 and derivs., aryl, NRC(:X2)H and derivs.; R = H, alkyl; X2 = O, S, NH, etc.; Z = substituted aromatic heterocyclic group containing 1 to 4 nitrogens and at least one double bond;; and their enantiomers, diastereomers, or their pharmaceutically acceptable salts, esters, hydrates or prodrugs] are effective against aerobic and anaerobic pathogens such as multi-resistant Staphylococci, Streptococci and Enterococci, Bacteroides, Clostridia, as well as acid-fast organisms such as Mycobacterium tuberculosis, and other mycobacterial species. Thus, II•HCl was prepared by reacting N-[5(S)-3-(4-iodophenyl)-2-oxooxazolidin-5-ylmethyl]acetamide (preparation given) with bis(pinacolato)diboron, Pd-coupling with 5-bromo-2-[(1α,5α,6β)-3-tert-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (preparation given), and BOC-deprotection. The prepared oxazolidinones were tested for antibacterial activity against a variety of strains, such as Staphylococcus aureus, Streptococcus pneumoniae and Enterococcus faecium. II inhibited Staphylococcus aureus Smith in vitro with a min. inhibitory concentration of 0.125 μg/mL.

RX(157) OF 787



(step 1)

CON: STAGE(1) 1 hour, room temperature
STAGE(2) room temperature
STAGE(3) 18 hours, room temperature
STAGE(4) 2.5 hours, room temperature

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FILE 'CAPLUS' ENTERED AT 14:04:03 ON 17 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 17 Jun 2008 VOL 148 ISS 25
FILE LAST UPDATED: 16 Jun 2008 (20080616/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

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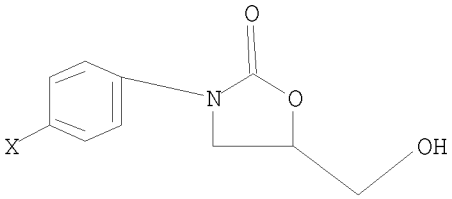
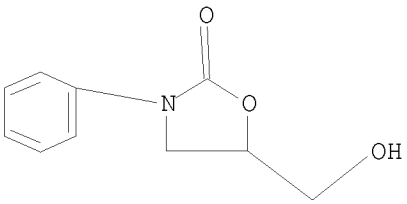
L4 STR



Structure attributes must be viewed using STN Express query preparation.
L5 STR



Structure attributes must be viewed using STN Express query preparation.
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L7 1335 SEA FILE=REGISTRY SSS FUL L5
L8 55 SEA FILE=CAPLUS L6 AND L7

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L8 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:85140 CAPLUS
DOCUMENT NUMBER: 148:321826
TITLE: Substituted oxazolidinones as novel NPC1L1 ligands for the inhibition of cholesterol absorption
AUTHOR(S): Pfefferkorn, Jeffrey A.; Larsen, Scott D.; Van Huis, Chad; Sorenson, Roderick; Barton, Tom; Winters, Thomas; Auerbach, Bruce; Wu, Chenyan; Wolfram, Thaddeus J.; Cai, Hongliang; Welch, Kathleen; Esmaiel, Nadia; Davis, JoAnn; Bousley, Richard; Olsen, Karl; Mueller, Sandra Bak; Mertz, Thomas
CORPORATE SOURCE: Pfizer Global Research & Development, Michigan Laboratories, Ann Arbor, MI, 48105, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(2), 546-553
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cholesterol absorption inhibition (CAI) represents an important treatment option for hypercholesterolemia. Herein, we report the design and evaluation of a series of substituted oxazolidinones as ligands for the Niemann Pick C1 Like 1 (NPC1L1) protein, a key mediator of cholesterol transport. Novel analogs were initially evaluated in a brush border membrane NPC1L1 binding assay; subsequently, promising compds. were evaluated in vivo for acute inhibition of cholesterol absorption. These studies identified analogs with low micromolar NPC1L1 binding affinity and acute in vivo efficacy of >50% absorption inhibition at 3 mg/kg.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 1011264-99-5P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(substituted oxazolidinones as NPC1L1 ligands for inhibition of cholesterol absorption)
IT 163222-33-1, Ezetimibe 1011265-16-9 1011265-17-0
1011265-19-2
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substituted oxazolidinones as NPC1L1 ligands for inhibition of cholesterol absorption)
IT 1011264-94-0P 1011265-02-3P 1011265-05-6P
1011265-09-0P 1011265-13-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted oxazolidinones as NPC1L1 ligands for inhibition of cholesterol absorption)
IT 795306-93-3 1011265-14-7 1011265-15-8
1011265-18-1 1011265-20-5 1011265-21-6
1011265-22-7 1011265-23-8 1011265-24-9
1011265-25-0 1011265-26-1 1011265-27-2
1011265-28-3 1011265-29-4 1011265-30-7
1011265-31-8 1011265-32-9 1011265-33-0 1011265-34-1
1011265-35-2 1011265-36-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substituted oxazolidinones as NPC1L1 ligands for inhibition of cholesterol absorption)
IT 122-97-4P, Benzenepropanol 3082-95-9P 795306-91-1P
1011264-91-7P 1011264-92-8P 1011264-93-9P 1011264-95-1P
1011264-96-2P 1011264-97-3P 1011264-98-4P 1011265-01-2P
1011265-03-4P 1011265-04-5P 1011265-06-7P
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1011265-12-5P
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(substituted oxazolidinones as NPC1L1 ligands for inhibition of cholesterol absorption)
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RL: SPN (Synthetic preparation); PREP (Preparation)
(substituted oxazolidinones as NPC1L1 ligands for inhibition of cholesterol absorption)

L8 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1293110 CAPLUS
DOCUMENT NUMBER: 148:69037

TITLE: Antibacterial Oxazolidinones Possessing a Novel C-5 Side Chain. (5R)-trans-3-[3-Fluoro-4-(1-oxotetrahydrothiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylic Acid Amide (PF-00422602), a New Lead Compound

AUTHOR(S): Poel, Toni-Jo; Thomas, Richard C.; Adams, Wade J.; Aristoff, Paul A.; Barbachyn, Michael R.; Boyer, Frederick E.; Brieland, Joan; Brideau, Roger; Brodfuehrer, Joanne; Brown, Alan P.; Choy, Allison L.; Dermyer, Michael; Dority, Michael; Ford, Charles W.; Gadwood, Robert C.; Hanna, Debra; Cai, Hongliang; Huband, Michael D.; Huber, Christopher; Kelly, Rose; Kim, Ji-Young; Martin, Joseph P., Jr.; Pagano, Paul J.; Ross, Daniel; Skerlos, Laura; Sulavik, Mark C.; Zhu, Tong; Zurenko, Gary E.; Prasad, J. V. N. Vara

CORPORATE SOURCE: Michigan Laboratories, Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA

SOURCE: Journal of Medicinal Chemistry (2007), 50(24), 5886-5889
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:69037

AB Oxazolidinones possessing a C-5 carboxamide functionality (reverse amides) represent a new series of compds. that block bacterial protein synthesis. These reverse amides also exhibited less potency against monoamine oxidase (MAO) enzymes and thus possess less potential for the side effects associated with MAO inhibition. The title compound (14) showed reduced in vivo myelotoxicity compared to linezolid in a 14-day safety study in rats, potent in vivo efficacy in murine systemic infection models, and excellent pharmacokinetic properties.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 487041-19-0P 590421-07-1P 591233-31-7P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial oxazolidinones with less potential for side effects)

IT 487040-98-2P 487041-14-5P 487041-28-1P 590420-94-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial oxazolidinones with less potential for side effects)

IT 371195-40-3P 371195-41-4P 487040-99-3P 487041-00-9P 487041-08-7P 487041-09-8P 487041-10-1P 487041-12-3P 487041-13-4P 487041-16-7P 487041-17-8P 487041-18-9P 487041-29-2P 487041-30-5P 590420-98-7P 590420-99-8P 590421-02-6P 590421-03-7P 590421-04-8P 960222-23-5P 960222-24-6P 960222-25-7P 960222-26-8P 960222-27-9P 960222-28-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antibacterial oxazolidinones with less potential for side effects)

L8 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:954100 CAPLUS
DOCUMENT NUMBER: 147:479761

TITLE: Novel Substituted (Pyridin-3-yl)phenyloxazolidinones:

Antibacterial Agents with Reduced Activity against Monoamine Oxidase A and Increased Solubility

AUTHOR(S): Reck, Folkert; Zhou, Fei; Eyermann, Charles J.; Kern, Gunther; Carcanague, Dan; Ioannidis, Georgine; Illingworth, Ruth; Poon, Grace; Gravestock, Michael B.

CORPORATE SOURCE: AstraZeneca Discovery, AstraZeneca R&D Boston, Waltham, MA, 02451, USA

SOURCE: Journal of Medicinal Chemistry (2007), 50(20), 4868-4881
CODEN: JMCMAR; ISSN: 0022-2623

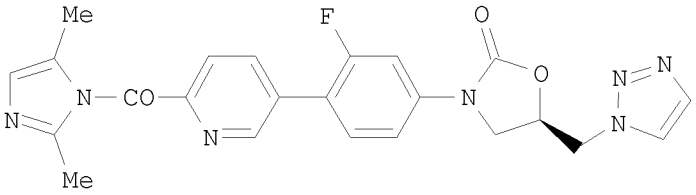
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:479761

GI



I

AB Oxazolidinones represent a new and promising class of antibacterial agents. Current research in this area is mainly concentrated on improving the safety profile and the antibacterial spectrum. Oxazolidinones bearing a (pyridin-3-yl)phenyl moiety (e.g., 3) generally show improved antibacterial activity compared to linezolid but suffer from potent monoamine oxidase A (MAO-A) inhibition and low solubility We now disclose the finding that new analogs of 3 with acyclic substituents on the pyridyl moiety exhibit excellent activity against Gram-pos. pathogens, including linezolid-resistant Streptococcus pneumoniae. Generally, more bulky substituents yielded significantly reduced MAO-A inhibition relative to the unsubstituted compound 3. The MAO-A SAR can be rationalized on the basis of docking studies using a MAO-A/MAO-B homol. model. Solubility was enhanced with incorporation of polar groups. One optimized analog, compound 13(I), showed low clearance in the rat and efficacy against S. pneumoniae in a mouse pneumonia model.

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 74-88-4, Iodomethane, reactions 75-16-1, Methylmagnesium bromide 75-31-0, Isopropylamine, reactions 76-83-5, Trityl chloride 108-24-7, Acetic anhydride 109-01-3, 1-Methylpiperazine 110-91-8, Morpholine, reactions 121-46-0, Bicyclo[2.2.1]hepta-2,5-diene 124-40-3, Dimethylamine, reactions 124-63-0, Methanesulfonyl chloride 141-53-7, Sodium formate 288-32-4, Imidazole, reactions 585-48-8, 2,6-Di-tert-butylpyridine 626-55-1, 3-Bromopyridine 693-98-1, 2-Methyl Imidazole 822-36-6, 4-Methyl Imidazole 920-39-8, Isopropyl magnesium bromide 930-62-1, 2,4-Dimethyl Imidazole 1068-55-9, Isopropyl magnesium chloride 1072-62-4, 2-Ethyl Imidazole 7553-56-2, Iodine, reactions 7726-95-6, Bromine, reactions 10314-99-5, Benzyl 4-(chlorocarbonyl)piperidine-1-carboxylate 26628-22-8, Sodium azide 31181-90-5, 5-Bromopyridine-2-carbaldehyde 64214-66-0, 4-Chloro-N-methoxy-N-methylbutyramide 73183-34-3 88139-91-7, 5-(Bromopyridin-2-yl)methanol 142253-55-2, 1-(tert-Butoxycarbonyl)-azetidine-3-carboxylic acid 149524-42-5 157688-46-5

223463-13-6, 5-Bromo-2-iodopyridine 290307-40-3, 2-(5-Bromopyridin-2-yl)propan-2-ol 870761-84-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(Novel Substituted (Pyridin-3-yl)phenyloxazolidinones: Antibacterial Agents with Reduced Activity against Monoamine Oxidase A and Increased Solubility)

IT 23593-69-3P 148148-48-5P, Benzyl 4-[[methoxy(methyl)amino]carbonyl]piperidine-1-carboxylate 214701-49-2P 416852-69-2P 487041-08-7P
501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P 519003-01-1P
700370-33-8P 820971-67-3P 870694-28-3P 870694-30-7P 870694-32-9P
870694-34-1P 870694-36-3P 870694-37-4P 870694-41-0P 870694-43-2P
870751-84-1P 870761-68-5P 870761-69-6P 870761-71-0P 870761-72-1P
870761-73-2P 870761-74-3P 870761-75-4P 870761-76-5P 870761-78-7P
870761-81-2P 870761-82-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Novel Substituted (Pyridin-3-yl)phenyloxazolidinones: Antibacterial Agents with Reduced Activity against Monoamine Oxidase A and Increased Solubility)

L8 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:903016 CAPLUS
DOCUMENT NUMBER: 147:449059
TITLE: Design and Synthesis of HIV-1 Protease Inhibitors Incorporating Oxazolidinones as P2/P2' Ligands in Pseudosymmetric Dipeptide Isosteres
AUTHOR(S): Reddy, G. S. Kiran Kumar; Ali, Akbar; Nalam, Madhavi N. L.; Anjum, Saima Ghafoor; Cao, Hong; Nathans, Robin S.; Schiffer, Celia A.; Rana, Tariq M.
CORPORATE SOURCE: Chemical Biology Program and Department of Biochemistry and Molecular Pharmacology, University of Massachusetts Medical School, Worcester, MA, 01605, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(18), 4316-4328
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:449059
AB A series of novel HIV-1 protease inhibitors based on two pseudosym. dipeptide isosteres have been synthesized and evaluated. The inhibitors were designed by incorporating N-phenyloxazolidinone-5-carboxamides into the hydroxyethylene and (hydroxyethyl)hydrazine dipeptide isosteres as P2 and P2' ligands. Compds. with (S)-phenyloxazolidinones attached at a position proximal to the central hydroxyl group showed low nM inhibitory activities against wild-type HIV-1 protease. Selected compds. were further evaluated for their inhibitory activities against a panel of multidrug-resistant protease variants and for their antiviral potencies in MT-4 cells. The crystal structures of lopinavir (LPV) and two new inhibitors containing phenyloxazolidinone-based ligands in complex with wild-type HIV-1 protease have been determined A comparison of the inhibitor-protease structures with the LPV-protease structure provides valuable insight into the binding mode of the new inhibitors to the protease enzyme. Based on the crystal structures and knowledge of structure-activity relationships, new inhibitors can be designed with enhanced enzyme inhibitory and antiviral potencies.
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 918544-08-8P 952196-13-3P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(crystal structure of inhibitor with HIV1 protease; preparation and HIV-1 protease-inhibition activity of pseudosym. dipeptide isosteres containing oxazolidinones as P2/P2' ligands)

IT 918543-95-0P 918543-96-1P 918543-98-3P
918544-00-0P 918544-04-4P 918544-06-6P
918544-19-1P 952196-11-1P 952196-12-2P
952196-14-4P 952196-15-5P 952196-16-6P
952196-17-7P 952196-18-8P 952196-19-9P
952196-20-2P 952196-21-3P 952196-22-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and HIV-1 protease-inhibition activity of pseudosym. dipeptide isosteres containing oxazolidinones as P2/P2' ligands)

IT 63-91-2, L-Phenylalanine, reactions 96-81-1 2861-28-1, 1,3-Benzodioxole-5-acetic acid 13335-71-2 32939-32-5 98760-08-8 135942-00-6 162537-11-3 162537-66-8 192725-50-1 198904-85-7 918543-48-3 918543-49-4 918543-52-9 918543-53-0 918543-54-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and HIV-1 protease-inhibition activity of pseudosym. dipeptide isosteres containing oxazolidinones as P2/P2' ligands)

IT 156732-15-9P 918543-55-2P 952196-23-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and HIV-1 protease-inhibition activity of pseudosym. dipeptide isosteres containing oxazolidinones as P2/P2' ligands)

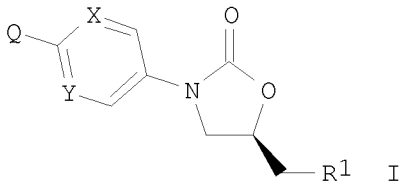
L8 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:226910 CAPLUS
DOCUMENT NUMBER: 146:295903
TITLE: Preparation of oxazolidinones possessing antimicrobial activity and pharmaceutical compositions thereof
INVENTOR(S): Sindkhedkar, Milind D.; Bhavsar, Satish B.; Patil, Vijaykumar J.; Deshpande, Prasad K.; Patel, Mahesh V.
PATENT ASSIGNEE(S): Sindkhedkar, Milind, D., India; Bhavsar, Satish, B.; Patil, Vijaykumar, J.; Deshpande, Prasad, K.; Patel, Mahesh, V.
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007023507	A2	20070301	WO 2006-IN208	20060619
WO 2007023507	A3	20070712		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
IN 2005MU00723 A 20070706 IN 2005-MU723 20050620
EP 1912980 A2 20080423 EP 2006-821680 20060619
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, RS
PRIORITY APPLN. INFO.: IN 2005-MU723 A 20050620
WO 2006-IN208 W 20060619
OTHER SOURCE(S): MARPAT 146:295903
GI



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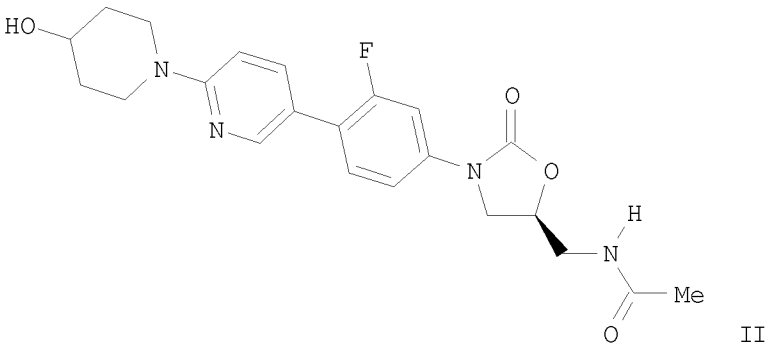


II

AB Title compds. I [R1 = OH, formamide, (un)substituted amine, etc.; X and Y independently = CH, CF or N; Q = (un)substituted heterocyclyl, heteroaryl, aryl, etc.], and their pharmaceutically acceptable salts, were prepared and disclosed as having antimicrobial activity. Thus, e.g., II was prepared by reduction of the corresponding oxopiperidine derivative (preparation given). Several microbial assays are described, e.g., selected I displayed antibacterial activity for Staphylococcus aureus ATCC 25923 equal to 0.5 to ≥ 8 mg/mL. Thus, the present invention provides novel oxazolidinone derivs., processes for making compds. as well as antimicrobial pharmaceutical compns. containing said derivs. as active ingredients and methods of treating microbial infections with the said derivs.

IT 380382-06-9P 380382-07-0P 380382-25-2P 861857-46-7P 928156-85-8P
928157-06-6P 928157-07-7P 928157-08-8P 928157-09-9P 928157-11-3P
928157-12-4P 928157-14-6P 928157-18-0P 928157-19-1P 928157-20-4P
928157-28-2P 928157-29-3P 928157-30-6P 928157-89-5P 928157-90-8P
928157-97-5P 928157-98-6P 928157-99-7P 928158-26-3P 928158-41-2P
928158-42-3P 928158-51-4P 928158-54-7P 928158-55-8P 928158-60-5P
928158-63-8P 928158-64-9P 928158-65-0P 928158-69-4P 928158-70-7P
928158-71-8P 928158-72-9P 928158-73-0P 928158-74-1P
928158-76-3P 928158-77-4P 928158-78-5P 928158-79-6P
928158-80-9P 928158-85-4P 928158-86-5P 928158-90-1P

928158-96-7P 928159-06-2P 928159-10-8P
928159-14-2P 928159-15-3P 928159-16-4P 928159-17-5P 928159-19-7P
928159-21-1P 928159-23-3P 928159-37-9P 928159-65-3P 928159-73-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinones possessing antimicrobial activity and pharmaceutical compns. thereof)

IT 95-14-7, 1H-Benzotriazole 98-88-4, Benzoyl chloride 107-19-7, Propargyl alcohol 108-00-9, N,N-Dimethylethylene diamine 288-32-4, Imidazole, reactions 288-36-8, 1H-1,2,3-Triazole 288-88-0, 1H-1,2,4-Triazole 288-94-8, 1H-Tetrazole 501-53-1 541-41-3, Ethyl chloroformate 623-47-2, Ethyl propiolate 683-57-8, Bromoacetamide 698-63-5, reactions 1759-53-1, Cyclopropanecarboxylic acid 3250-74-6 4076-36-2, 5-Methyl-1H-tetrazole 4418-61-5, 5-Aminotetrazole 4554-16-9, 2,3-Dibromopropionitrile 5777-20-8, 3-Hydroxyisoxazole 10365-98-7, 3-Methoxyphenylboronic acid 10400-19-8, Nicotinyl chloride 13183-79-4, 5-Mercapto-1-methyltetrazole 14389-12-9 16681-77-9, N-Methyltetrazole 16687-60-8, 5-(4-Nitrophenyl)tetrazole 18039-42-4, 5-Phenyltetrazole 18755-49-2, 1H-1,2,3-Triazole-5-carbonitrile 21871-47-6 24854-43-1 36855-39-7 66907-69-5, 5-Diethylamino-1H-tetrazole 67026-01-1 72866-60-5, 1-Chloroethylisocyanate 87199-15-3 104392-74-7 120855-12-1 133237-33-9 149524-45-8 172966-52-8 172966-94-8 186498-02-2 354780-64-6 487041-08-7 501939-82-8 501939-95-3 627543-15-1 648909-91-5 717123-28-9 724793-95-7 928160-23-0 928160-24-1 928160-25-2 928160-27-4 928160-29-6 928160-32-1 928160-34-3 928160-36-5 928160-39-8 928160-42-3 928160-44-5 928160-46-7 928160-48-9 928160-50-3 928160-62-7 928160-64-9 928160-65-0 928160-66-1 928160-67-2 928160-68-3 928160-69-4 928160-70-7 928160-71-8 928160-72-9 928160-73-0 928160-74-1 928160-75-2 928160-76-3 928160-77-4 928160-78-5 928160-79-6 928160-80-9 928160-81-0 928160-82-1 928160-83-2 928160-84-3 928160-85-4 928160-86-5 928160-87-6 928160-88-7 928160-89-8 928160-90-1 928160-91-2 928160-92-3 928160-93-4 928160-94-5 928160-95-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolidinones possessing antimicrobial activity and pharmaceutical compns. thereof)

L8 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:14210 CAPLUS
DOCUMENT NUMBER: 146:121949
TITLE: Oxazolidinecarboxamides as HIV-1 protease inhibitors, and methods of making and using them
INVENTOR(S): Rana, Tariq M.; Ali, Akbar; Cao, Hong; Sai, Kiran Kumar Reddy Ga; Anjum, Saima Ghafoor
PATENT ASSIGNEE(S): University of Massachusetts, USA
SOURCE: PCT Int. Appl., 194pp., which which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002173	A1	20070104	WO 2006-US24109	20060621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,				

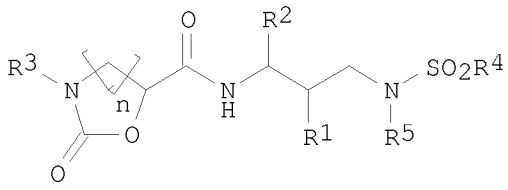
KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,
US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

AU 2006262275 A1 20070104 AU 2006-262275 20060621

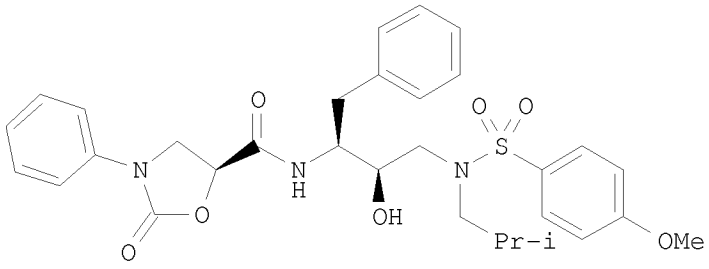
PRIORITY APPLN. INFO.: US 2005-693134P P 20050622
US 2005-749902P P 20051212
US 2006-810234P P 20060602
WO 2006-US24109 W 20060621

OTHER SOURCE(S): MARPAT 146:121949

GI



I



II

AB One aspect of the invention relates to the design, synthesis and biol. activity of novel HIV-1 protease inhibitors of incorporating N-phenyloxazolidine-5-carboxamides into the (hydroxyethylamino)sulfonamide scaffold of formula I as P2 ligands. Compound of formula I wherein n is 1 and 2; R1 is OH, SH, and NH and derivs.; R2 is H, alkyl, cycloalkyl, (hetero)aryl, heterocyclyl(alkyl), and (hetero)aralkyl; R3 is H, alkyl, alkenyl, aminoalkyl, amidoalkyl, ketoalkyl, cycloalkyl, (hetero)aryl, etc.; R4 is alkyl, cycloalkyl, heterocyclyl(alkyl), (hetero)aryl, and (hetero)aralkyl; R5 is H, alkyl, cycloalkyl, heterocyclyl(alkyl), (hetero)aryl, and (hetero)aralkyl; and their stereochem. configurations at any undefined stereocenter is R, S, or a mixture of these configurations, are claimed. The invention relates to inhibitors with variations at the P2 phenyloxazolidine and the P2' phenylsulfonamide moieties. Remarkably, compds. with an (S)-enantiomer of substituted phenyloxazolidines at P2 show highly potent inhibitory activities against wild-type HIV-1 protease. In certain embodiments, the inhibitors of the invention have Ki values in low picomolar (pM) range. In certain embodiments, the inhibitors of the invention were shown to be active against a variety of multi-drug resistant (MDR) HIV-1 proteases, each representing different paradigm of drug resistance. Example compound II was prepared by a general coupling reaction using the corresponding sulfonamide. All the invention compds.

were evaluated for their HIV-1 protease inhibitory activity (data given).
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 918542-66-2P 918542-68-4P 918542-70-8P
918542-72-0P 918542-74-2P 918542-76-4P
918542-78-6P 918542-80-0P 918542-82-2P
918542-84-4P 918542-86-6P 918542-88-8P
918542-90-2P 918542-92-4P 918542-94-6P
918542-96-8P 918542-98-0P 918543-01-8P
918543-04-1P 918543-07-4P 918543-09-6P
918543-11-0P 918543-13-2P 918543-15-4P
918543-17-6P 918543-19-8P 918543-21-2P
918543-23-4P 918543-25-6P 918543-27-8P
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918543-62-1P 918543-63-2P 918543-64-3P
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918543-71-2P 918543-72-3P 918543-73-4P
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918543-91-6P 918543-92-7P 918543-93-8P
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918544-19-1P 918544-21-5P 918544-22-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolidinecarboxamides as HIV-1 protease inhibitors useful as therapeutic agents)
IT 87508-42-7P 160232-08-6P 918543-48-3P
918543-49-4P 918543-51-8P 918543-52-9P
918543-53-0P 918543-54-1P 918543-55-2P
918543-56-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of oxazolidinecarboxamides as HIV-1 protease inhibitors useful as therapeutic agents)

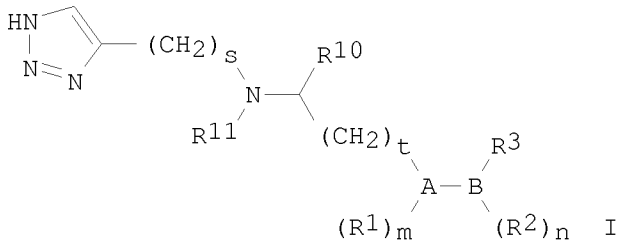
L8 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1312602 CAPLUS
DOCUMENT NUMBER: 146:62721
TITLE: Process for the synthesis of triazoles using heterocyclization, reductive amination and cross-coupling reactions.
INVENTOR(S): Chen, Shili; Lou, Rongliang; Wu, Yusheng; Zhou, Jiacheng; Hanselmann, Roger
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 126pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006133397	A2	20061214	WO 2006-US22424	20060608
WO 2006133397	A3	20070524		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 1904489	A2	20080402	EP 2006-784685	20060608
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
KR 2008020669	A	20080305	KR 2008-700377	20080107

PRIORITY APPLN. INFO.: US 2005-688990P P 20050608
WO 2006-US22424 W 20060608

OTHER SOURCE(S): MARPAT 146:62721
GI



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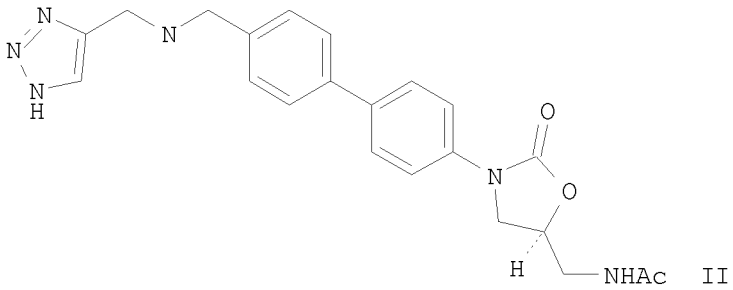

II

AB The invention relates to processes for the preparation of triazoles of formula I. Compds. of formula I wherein A and B are independently Ph, pyridinyl, pyrazinyl, pyrimidinyl, and pyridazinyl; R1 and R2 are independently F, Cl, Br, I, CF3, OH and derivs., CN, NO2, NH2 and derivs, CHO, acyl, CO2H

and derivs., etc.; R3 is (un)substituted oxazolidinone, (un)substituted isoxazolidinone, (un)substituted isoxazoline, and (un)substituted furanone; R10 and R11 are independently H and C1-8 alkyl; m and n are independently 0, 1, 2, 3, and 4; s is 1, 2, 3, 4, 5, and 6; t is 0, 1, 2, 3, 4, 5, and 6; and their methods for preparing them are claimed. These compds. are useful as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents. Example compound II was prepared by azidiation of 4-methoxybenzyl chloride; the resulting 4-methoxybenzyl azide underwent heterocyclization with propargylamine to give the regioisomeric mixture of N-(methoxybenzyl)triazolemethanamines, which underwent reductive amination with 4-formylphenylboronic acid followed by Boc-protection to give a mixture of 4-[[(tert-butoxycarbonyl)[(4-methoxybenzyl)[1,2,3]triazolylmethyl]amino]methyl]phenylboronic acids, which underwent cross-coupling with (S)-N-[3-(3-fluoro-4-iodophenyl)-2-oxoxazolidin-5-ylmethyl]acetamide to give N-boc-N'-(methoxybenzyl)-protected II, which underwent hydrolysis with HCl to give N'-(4-methoxybenzyl)-protected II, which underwent hydrolysis with TFA to give compound II.

IT 31181-90-5P, 5-Bromopyridine-2-carboxaldehyde 70978-37-9P, 4-Methoxybenzyl azide 149524-42-5P 149524-45-8P 149524-47-0P, (3-Fluorophenyl)carbamic acid benzyl ester 487041-08-7P 501939-82-8P 501939-95-3P 504437-66-5P 519003-01-1P 627543-03-7P 724793-80-0P 869884-78-6P 916888-15-8P 916888-16-9P 916888-17-0P 916888-18-1P 916888-19-2P 916888-20-5P 916888-21-6P 916888-22-7P 916888-23-8P 916888-24-9P 916888-25-0P 916888-26-1P 916888-27-2P 916888-28-3P 916888-29-4P 916888-30-7P 916888-32-9P 916888-33-0P 916888-34-1P 916888-35-2P 916888-36-3P 916888-38-5P 916888-39-6P 916888-40-9P 916888-41-0P 916888-43-2P 916888-44-3P 916888-45-4P 916888-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazoles and their salts using heterocyclization, reductive amination, and cross-coupling reactions as the key steps)

L8 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1193553 CAPLUS
DOCUMENT NUMBER: 146:142538
TITLE: Discovery of HIV-1 Protease Inhibitors with Picomolar Affinities Incorporating N-Aryl-oxazolidinone-5-carboxamides as Novel P2 Ligands
AUTHOR(S): Ali, Akbar; Reddy, G. S. Kiran Kumar; Cao, Hong; Anjum, Saima Ghafoor; Nalam, Madhavi N. L.; Schiffer, Celia A.; Rana, Tariq M.
CORPORATE SOURCE: Chemical Biology Program, Department of Biochemistry and Molecular Pharmacology, University of Massachusetts Medical School, Worcester, MA, 01605, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(25), 7342-7356
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:142538

AB The design, synthesis, and biol. evaluation of novel HIV-1 protease inhibitors incorporating N-phenyloxazolidinone-5-carboxamides into the (hydroxyethylamino)sulfonamide scaffold as P2 ligands is described. Series of inhibitors with variations at the P2 phenyloxazolidinone and the P2' phenylsulfonamide moieties were synthesized. Compds. with the (S)-enantiomer of substituted phenyloxazolidinones at P2 show highly

potent inhibitory activities against HIV-1 protease. The inhibitors possessing 3-acetyl, 4-acetyl, and 3-trifluoromethyl groups at the Ph ring of the oxazolidinone fragment are the most potent in each series, with Ki values in the low picomolar (pM) range. The electron-donating groups 4-methoxy and 1,3-dioxolane are preferred at P2' Ph ring, as compds. with other substitutions show lower binding affinities. Attempts to replace the iso-Bu group at P1' with small cyclic moieties caused significant loss of affinities in the resulting compds. Crystal structure anal. of the two most potent inhibitors in complex with the HIV-1 protease provided valuable information on the interactions between the inhibitor and the protease enzyme. In both inhibitor-enzyme complexes, the carbonyl group of the oxazolidinone ring makes hydrogen bond interactions with relatively conserved Asp29 residue of the protease. Potent inhibitors from each series incorporating various phenyloxazolidinone based P2 ligands were selected and their activities against a panel of multidrug-resistant (MDR) protease variants were determined Interestingly, the most potent protease inhibitor starts out with extremely tight affinity for the wild-type enzyme (Ki = 0.8 pM), and even against the MDR variants it retains picomolar to low nanomolar Ki, which is highly comparable with the best FDA-approved protease inhibitors.

REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 918542-68-4P 918542-70-8P 918542-72-0P
918542-74-2P 918542-76-4P 918542-78-6P
918542-80-0P 918542-84-4P 918542-86-6P
918542-88-8P 918542-90-2P 918542-92-4P
918542-94-6P 918542-96-8P 918542-98-0P
918543-01-8P 918543-04-1P 918543-07-4P
918543-09-6P 918543-11-0P 918543-13-2P
918543-15-4P 918543-17-6P 918543-19-8P
918543-21-2P 918543-23-4P 918543-25-6P
918543-33-6P 918543-35-8P 918543-37-0P
918543-39-2P 918543-41-6P 918543-43-8P
918543-45-0P 918543-46-1P 919081-39-3P
919081-40-6P 919081-41-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of HIV-1 protease inhibitors with picomolar affinities incorporating N-aryloxazolidinone-5-carboxamides as novel P2 ligands)

IT 87508-42-7P 99827-73-3P 133577-52-3P
159006-03-8P 160232-08-6P 160232-85-9P 160233-05-6P 191226-98-9P
622866-57-3P 918542-66-2P 918543-48-3P
918543-49-4P 918543-51-8P 918543-52-9P
918543-53-0P 918543-54-1P 918543-55-2P
918543-56-3P 919081-33-7P 919081-34-8P
919081-35-9P 919081-36-0P 919081-37-1P
919081-38-2P 919081-42-8P 919081-43-9P
919081-44-0P 919081-45-1P 919081-46-2P
919081-47-3P 919081-48-4P 919081-49-5P 919081-50-8P 919081-51-9P
919081-52-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of HIV-1 protease inhibitors with picomolar affinities incorporating N-aryloxazolidinone-5-carboxamides as novel P2 ligands)

L8 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:342903 CAPLUS
DOCUMENT NUMBER: 144:390904
TITLE: Phenyl-substituted oxazolidinone derivatives and their preparation, pharmaceutical compositions, and use as

antimicrobials

INVENTOR(S): Das, Biswajit; Ahmed, Shahadat; Yadav, Ajay Singh; Ghosh, Soma; Gujrati, Arti; Sharma, Pankaj; Rattan, Ashok

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006038100	A1	20060413	WO 2005-IB2971	20051006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1799677	A1	20070627	EP 2005-801258	20051006
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN02639	A	20070803	IN 2007-DN2639	20070409

PRIORITY APPLN. INFO.: US 2004-616964P P 20041008
WO 2005-IB2971 W 20051006

OTHER SOURCE(S): CASREACT 144:390904; MARPAT 144:390904

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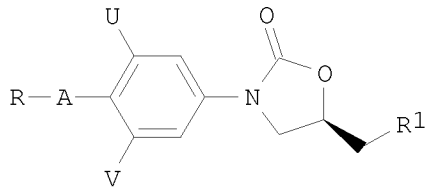

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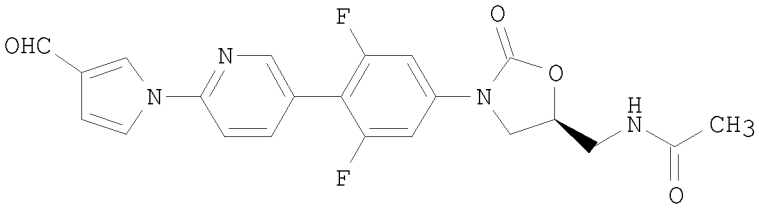

II

AB The invention relates to phenyl-substituted oxazolidinones I, or their pharmaceutically acceptable salts, solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites, as well as processes for their synthesis. In compds. I: A is pyridine-2,5-diyl,

pyrimidine-2,5-diyl, furan-2,5-diyl, thiophene-2,5-diyl, and analogs; U and V are independently selected from H (both U and V cannot be H), lower alkyl, or halo; R is CH:NORf, CH:NOC(O)Rf, CH:NOSORf, CH:NOC(O)NHRf, heterocyclyl, or heteroaryl; Rf is H, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl; R1 is azido, NCS, NHYRf, NRjC(:T)NRfRq, NRfRq, NRj(C:O)ORs; Y is (C:O), (C:S), or SO2; T is O, S, N(CN), N(NO2), CH(NO2); Rj is H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroarylalkyl, or heterocyclylalkyl; Rq is H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl or heterocyclylalkyl; Rs is alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroarylalkyl or heterocyclylalkyl; with the proviso that: when U is H, V is F, R is NHCOCH3 and A is pyridine-2,5-diyl, then R is a 5-membered heteroaryl ring containing two or four N atoms (wherein the 5-membered heteroaryl ring containing four N atoms is linked through an N-atom to pyridine-2,5-diyl and is always substituted); when A is pyrimidine-2,5-diyl and U, V, and R1 are as defined above then R cannot be a 5-membered heterocyclyl ring containing 2 hetero atoms. The invention also relates to pharmaceutical compns. containing I as antimicrobials. I are useful antimicrobial agents (no data), effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria (for example, multiple-resistant staphylococci, streptococci, and enterococci), as well as anaerobic organisms (for example, Bacteroides spp. and Clostridia spp.), and acid fast organisms (for example, Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp.). Approx. 100 compds. I were prepared, and are claimed by name. The synthesis of most compds. I and a variety of intermediates is described. For instance, 5-bromopyridin-2-amine was (1) N-protected with BOC, followed by (2) conversion of the bromide to the boronic acid, (3) Pd-catalyzed coupling of the boronic acid with (S)-N-[[3-(4-iodo-3,5-difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]acetamide, (4) N-deprotection with HCl, and (5) cyclization of the freed amine with 2,5-dimethoxytetrahydrofuran-3-carboxaldehyde, to give invention compound II. I have good activity against multiply resistant Gram-pos. pathogens like methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant Enterococci (VRE), and Streptococcus pneumoniae. Some I have activity against multiple drug-resistant tuberculosis (MDR-TB) strain, while others have significant activity against important anaerobic bacteria. I are also active against MAI sirens and Gram-neg. pathogens like Moraxella catarrhalis and Haemophilus influenza.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 883229-59-2P, N-[[(5S)-3-[3,5-Difluoro-4-[6-[3-(hydroxymethyl)-1H-pyrrol-1-yl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-60-5P, N-[[(5S)-3-[3-Fluoro-4-[2-[3-[(E)-(hydroxyimino)methyl]-1H-pyrrol-1-yl]pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-61-6P, N-[[(5S)-3-[3,5-Difluoro-4-[2-[3-[(E)-(hydroxyimino)methyl]-1H-pyrrol-1-yl]pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-62-7P, N-[[(5S)-3-[4'-[[(E)-[(3,4-Difluorobenzyl)oxy]imino]methyl]-2,3',6-trifluorobiphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-63-8P, N-[[(5S)-3-[4'-[[(E)-(Acetyloxy)imino]methyl]-2,3',6-trifluorobiphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-64-9P, N-[[(5S)-3-[4'-[(E)-[(Benzoyloxy)imino]methyl]-2,3',6-trifluorobiphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-65-0P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-[[(E)-[(methylsulfonyl)oxy]imino]methyl]biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883229-66-1P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-[[(E)-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]oxy]imino]methyl]biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl)acetamide 883229-67-2P, N-[[(5S)-3-[4'-[[(E)-

[[(tert-Butylamino)carbonyl]oxy]imino]methyl]-2,3',6-trifluorobiphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-68-3P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-[[(E)-[[[(4-fluorophenyl)amino]carbonyl]oxy]imino]methyl]biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883229-69-4P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(1,3-oxazol-5-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883229-71-8P, N-[[(5S)-3-[3,5-Difluoro-4-[6-[5-(1,3-oxazol-4-yl)-2-furyl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-72-9P, N-[[(5S)-3-[3,5-Difluoro-4-[6-[5-(1,3-oxazol-4-yl)-2-thienyl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-73-0P, N-[[(5S)-3-[3,5-Difluoro-4-[6-[3-[(E)-(hydroxyimino)methyl]-1H-pyrrol-1-yl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-74-1P, N-[[(5S)-3-[2,3'-Difluoro-4'-(5-methyl-1,2,4-oxadiazol-3-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-75-2P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(5-methyl-1,2,4-oxadiazol-3-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883229-76-3P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-77-4P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(1H-imidazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-78-5P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(1-methyl-1H-tetrazol-5-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-79-6P, (5S)-3-[3,5-Difluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)pyridin-3-yl]phenyl]-5-[(1,3-thiazol-2-ylamino)methyl]-1,3-oxazolidin-2-one 883229-80-9P, N-[[(5S)-3-[2,3'-Difluoro-4'-[3-[(E)-(hydroxyimino)methyl]-1H-pyrrol-1-yl]biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-81-0P, N-[[(5S)-3-[2,3'-Difluoro-4'-(5-methyl-1H-tetrazol-1-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-82-1P, N-[[(S)-3-[3,5-Difluoro-4-[6-(5-methyl-[1,3,4]oxadiazol-2-yl)pyridin-3-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 883229-83-2P, N-[[(S)-3-[4-[6-(5-Amino-[1,3,4]thiadiazol-2-yl)pyridin-3-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 883229-84-3P, N-[[(5S)-3-[4'-(1H-Benzimidazol-2-yl)-2,3',6-trifluorobiphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-85-4P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(1H-1,2,3-triazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-86-5P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(4-phenyl-1H-imidazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-87-6P, N-[[(5S)-3-[3-Fluoro-4-[5-(2-methyl-2H-tetrazol-5-yl)-2-furyl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-88-7P, N-[[(5S)-3-[3-Fluoro-4-[5-(1-methyl-1H-tetrazol-5-yl)-2-furyl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-89-8P, N-[[(5S)-3-[3,5-Difluoro-4-[5-(3-methyl-2,3-dihydro-1H-tetrazol-5-yl)-2-furyl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-90-1P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(1-methyl-1H-benzimidazol-2-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883229-91-2P, N-[5-[4'-[(5S)-5-[(Acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-2',3,6'-trifluorobiphenyl-4-yl]-1,3,4-thiadiazol-2-yl]acetamide 883229-92-3P, N-[[(5S)-3-[2,3'-Difluoro-4'-(1,3-thiazol-2-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-93-4P, N-[[3-[2,3'-Difluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-94-5P, N-[[(5S)-3-[3-Fluoro-4-[2-(1H-imidazol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-95-6P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(1,3-thiazol-2-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883229-96-7P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-[(5S)-5-(hydroxymethyl)-2-oxo-1,3-oxazolidin-3-yl]biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883229-97-8P, N-[[(5S)-3-[2,3'-Difluoro-4'-(5-phenyl-1H-tetrazol-1-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-98-9P, N-[[3-[3-Fluoro-4-[6-(5-phenyl-1H-tetrazol-1-yl)pyridin-3-

yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883229-99-0P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-[5-(hydroxymethyl)isoxazol-3-yl]biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883230-00-0P, N-[[(5S)-3-[2,3'-Difluoro-4'-[5-(hydroxymethyl)isoxazol-3-yl]biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-01-1P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(4-pyridin-3-yl-1H-imidazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-02-2P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(5-phenyl-1H-tetrazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-03-3P, N-[[(5S)-3-[4-[2-(1H-Benzimidazol-1-yl)pyrimidin-5-yl]-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-04-4P, N-[[(5S)-3-[4-[2-(1H-Benzimidazol-1-yl)pyrimidin-5-yl]-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-05-5P, N-[[(5S)-3-[3,5-Difluoro-4-[2-(1H-1,2,4-triazol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-06-6P, N-[[(5S)-3-[3-Fluoro-4-[2-(4-phenyl-1H-imidazol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-07-7P, N-[[(5S)-3-[3-Fluoro-4-[2-(1H-1,2,4-triazol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-08-8P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(5-phenyl-1H-tetrazol-1-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883230-09-9P, N-[[(5S)-3-[3,5-Difluoro-4-[2-(4-phenyl-1H-imidazol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-10-2P, N-[[(5S)-3-[3,5-Difluoro-4-[2-(2-oxo-1,3-oxazolidin-3-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-11-3P, N-[[(5S)-3-[3-Fluoro-4-[2-(1H-1,2,3-triazol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-12-4P, N-[[(5S)-3-[4-[2-(3,5-Dimethyl-1H-pyrazol-1-yl)pyrimidin-5-yl]-3-fluorophenyl]-1,3-oxazolidin-5-yl]methyl]acetamide 883230-13-5P, N-[[(5S)-3-[3-Fluoro-4-[2-(2-oxo-1,3-oxazolidin-3-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-14-6P, N-[[(5S)-3-[4-[6-[4-(Difluoromethyl)-1H-imidazol-1-yl]pyridin-3-yl]-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-16-8P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(1H-1,2,4-triazol-1-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883230-17-9P, N-[[(5S)-3-[3,5-Difluoro-4-[2-(3-formyl-1H-pyrrol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-18-0P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883230-19-1P, N-[[(5S)-3-[3-Fluoro-4-[2-(1H-pyrrol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-20-4P, N-[[(5S)-3-[2,3'-Difluoro-4'-(1H-1,2,4-triazol-1-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-21-5P, N-[[(5S)-3-[3,5-Difluoro-4-[6-[4-[(E)-(methoxyimino)methyl]-1H-imidazol-1-yl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-22-6P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(4-formyl-1H-imidazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-23-7P, N-[[(5S)-3-[4-[6-(4-Cyano-1H-imidazol-1-yl)pyridin-3-yl]-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-24-8P, Methyl 1-[5-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,6-difluorophenyl]pyridin-2-yl]-1H-imidazole-4-carboxylate 883230-25-9P, N-[[(5S)-3-[3,5-Difluoro-4-[6-[4-[(E)-(hydroxyimino)methyl]-1H-imidazol-1-yl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-26-0P, N-[[(5S)-3-[2,6-Difluoro-4'-(1,3-oxazol-5-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-27-1P, N-[[(5S)-3-[2,6-Difluoro-4'-(5-methyl-1,3,4-oxadiazol-2-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-28-2P, N-[[(5S)-3-[2,6-Difluoro-4'-(2-methyl-2H-tetrazol-5-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-29-3P, N-[[(5S)-3-[2,6-Difluoro-4'-(1-methyl-1H-tetrazol-5-

yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-30-6P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(1-methyl-1H-tetrazol-5-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883230-31-7P, N-[[(5S)-3-[2,3'-Difluoro-4'-(1-methyl-1H-tetrazol-5-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-32-8P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(2-methyl-2H-tetrazol-5-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883230-33-9P, N-[[(5S)-3-[2,3'-Difluoro-4'-(5-methyl-1,3,4-oxadiazol-2-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-34-0P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-(5-methyl-1,3,4-oxadiazol-2-yl)biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883230-35-1P, N-[[(5S)-3-[3,5-Difluoro-4-[2-(1H-1,2,3-triazol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-36-2P, N-[[(5S)-3-[3,5-Difluoro-4-[6-[5-(4-fluorophenyl)-1H-tetrazol-1-yl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-37-3P, N-[[(5S)-3-[4'-(1H-Benzimidazol-2-yl)-2,3'-difluorobiphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide hydrochloride 883230-38-4P, N-[[(5S)-3-[2,3'-Difluoro-4'-(2-methyl-2H-tetrazol-5-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-39-5P, N-[[(5S)-3-[3,5-Difluoro-4-[5-(2-methyl-2H-tetrazol-5-yl)-2-thienyl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-40-8P, N-[[(5S)-3-[3,5-Difluoro-4-[6-[4-(hydroxymethyl)-1H-imidazol-1-yl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-41-9P, N-[[(5S)-3-[3,5-Difluoro-4-[2-(1H-pyrrol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-42-0P, N-[[(5S)-3-[3-Fluoro-4-[2-(1H-pyrazol-1-yl)pyrimidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-43-1P, tert-Butyl [[(5R)-3-[3,5-difluoro-4-[6-(1H-1,2,4-triazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl](isoxazol-3-yl)carbamate 883230-44-2P, tert-Butyl [[(5R)-3-[3-fluoro-4-[6-(1,3-oxazol-5-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl](isoxazol-3-yl)carbamate 883230-46-4P, (5S)-3-[2,3'-Difluoro-4'-(1,3-oxazol-5-yl)biphenyl-4-yl]-5-[(isoxazol-3-ylamino)methyl]-1,3-oxazolidin-2-one 883230-47-5P, (5S)-3-[3,5-Difluoro-4-[6-(1H-imidazol-1-yl)pyridin-3-yl]phenyl]-5-[(isoxazol-3-ylamino)methyl]-1,3-oxazolidin-2-one 883230-48-6P, (5S)-5-[(Isoxazol-3-ylamino)methyl]-3-[2,3',6-trifluoro-4'-(4-phenyl-1H-imidazol-1-yl)biphenyl-4-yl]-1,3-oxazolidin-2-one 883230-49-7P, (5S)-3-[3,5-Difluoro-4-[6-(1-methyl-1H-tetrazol-5-yl)pyridin-3-yl]phenyl]-5-[(isoxazol-3-ylamino)methyl]-1,3-oxazolidin-2-one 883230-50-0P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(1H-1,2,4-triazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide 883230-51-1P, N-[[(5S)-3-[2,3'-Difluoro-4'-(1,3-oxazol-5-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide 883230-53-3P, Methyl [[(5S)-3-[3,5-difluoro-4-[6-(1H-1,2,4-triazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]carbamate 883230-54-4P, Methyl [[(5S)-3-[2,3'-difluoro-4'-(1,3-oxazol-5-yl)biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]carbamate 883230-55-5P, (5S)-3-[3,5-Difluoro-4-[6-(1,3-oxazol-5-yl)pyridin-3-yl]phenyl]-5-(isothiocyanatomethyl)-1,3-oxazolidin-2-one 883230-56-6P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(1H-1,2,4-triazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]thiourea 883230-57-7P, N-[[(5S)-3-[3,5-Difluoro-4-[6-(1H-1,2,4-triazol-1-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-N'-methylthiourea 883230-58-8P, N-[[(5S)-3-[4'-(1H-Benzimidazol-2-yl)-2,3',6-trifluorobiphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenyl-substituted oxazolidinone derivs. as antimicrobials)

IT 1746-25-4P, 2,4,5-Triiodoimidazole 41421-03-8P, 2-(4-Bromophenyl)-5-

methyl-1,3,4-oxadiazole 50907-23-8P, 5-Bromo-2-(tetrazol-5-yl)benzene 62802-75-9P, N-(5-Bromopyridin-2-yl)benzamide 69746-31-2P, 5-(4-Bromophenyl)-1-methyl-1H-tetrazole 69746-36-7P, 5-(4-Bromophenyl)-2-methyl-2H-tetrazole 71759-89-2P, 4-Iodo-1H-imidazole 72571-06-3P, 5-(4-Bromophenyl)-1,3-oxazole 86843-90-5P, 5-Bromo-2-(5-phenyl-1H-tetrazol-1-yl)pyridine 105942-08-3P, 4-Bromo-2-fluorobenzonitrile 121745-85-5P, 5-(5-Bromo-2-furyl)-1H-tetrazole 159451-66-8P, tert-Butyl (5-bromopyridin-2-yl)carbamate 167010-30-2P, (S)-N-[[3-(3,5-Difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]acetamide 167010-31-3P, (S)-N-[[3-(4-Iodo-3,5-difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]acetamide 181997-13-7P, 1-(4-Amino-2-fluorophenyl)-1H-1,2,4-triazole 182060-01-1P, 1-(4-Nitro-2-fluorophenyl)-1H-1,2,4-triazole 188975-86-2P, N-[[(5S)-3-[3-Fluoro-4-(trimethylstannyl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 202865-64-3P, 4-Bromo-2-fluorobenzaldehyde oxime 211943-12-3P, 5-(5-Bromo-2-thienyl)-2H-tetrazole 299937-74-9P, 5-(4-Bromo-2-fluorophenyl)-1,3,4-thiadiazol-2-amine 300717-33-3P, N-(5-Bromopyridin-2-yl)-4-fluorobenzamide 321309-25-5P, 5-(5-Bromo-2-thienyl)-1,3-oxazole 380380-59-6P, 5-Bromo-2-(5-methyl-1,3,4-oxadiazol-2-yl)pyridine 380380-60-9P, 5-Bromo-2-(tetrazol-5-yl)pyridine 380380-63-2P, 5-Bromo-2-(1-methyl-1H-tetrazol-5-yl)pyridine 380380-64-3P, 5-Bromo-2-(2-methyl-2H-tetrazol-5-yl)pyridine 380380-69-8P, 5-Bromo-2-(1H-1,2,3-triazol-1-yl)pyridine 380380-78-9P, N-[[(5S)-3-[4-(2-Aminopyrimidin-5-yl)-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 380381-18-0P, 5-Bromo-2-(imidazol-1-yl)pyridine 380382-00-3P, [1-(5-Bromopyridin-2-yl)-1H-imidazol-4-yl]methanol 380382-24-1P, 5-Bromo-2-([1,2,4]triazol-1-yl)pyridine 478258-70-7P, 5-Bromo-2-(1H-pyrrol-1-yl)pyrimidine 501939-82-8P, [(5R)-3-(3-Fluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl methanesulfonate 519017-59-5P, N-(4-Bromo-2-fluorophenyl)benzamide 530081-35-7P, 5-(4-Bromo-2-fluorophenyl)-1H-tetrazole 619296-50-3P, 5-(5-Bromo-2-thienyl)-2-methyl-2H-tetrazole 635702-31-7P, 4-Bromo-2-fluoro-N-hydroxybenzamidine 698982-64-8P, [3-(4-Bromo-2-fluorophenyl)-4,5-dihydroisoxazol-5-yl]methanol 749927-78-4P, 3-(4-Bromo-2-fluorophenyl)-5-methyl-[1,2,4]oxadiazole 831203-31-7P , (5R)-3-(3,5-Difluoro-4-iodophenyl)-5-(hydroxymethyl)-1,3-oxazolidin-2-one 882185-06-0P, N-[[(5S)-3-[3,5-Difluoro-4-(trimethylstannyl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883230-59-9P, 5-(4-Bromo-2-fluorophenyl)oxazole 883230-60-2P, 2-(4-Bromo-2-fluorophenyl)-1H-benzimidazole 883230-61-3P, 5-(5-Bromofuran-2-yl)oxazole 883230-62-4P, 5-Bromo-2-(3-formylpyrrol-1-yl)pyridine 883230-63-5P, 5-Bromo-2-[3-[(hydroxyimino)methyl]pyrrol-1-yl]pyridine 883230-64-6P, N-[5-(4-Bromo-2-fluorophenyl)-1,3,4-thiadiazol-2-yl]acetamide 883230-65-7P, 2-(4-Bromo-2-fluorophenyl)-1,3-thiazole 883230-66-8P, 4-Bromo-2-fluorobenzenecarbothioamide 883230-67-9P, 1-(4-Bromo-2-fluorophenyl)-5-methyl-1H-tetrazole 883230-68-0P, 5-Bromo-2-(1H-imidazol-1-yl)pyrimidine 883230-69-1P, 1-(5-Bromopyrimidin-2-yl)-1H-benzimidazole 883230-70-4P, 5-Bromo-2-(1H-1,2,4-triazol-1-yl)pyrimidine 883230-71-5P, 5-Bromo-2-(4-phenyl-1H-imidazol-1-yl)pyrimidine 883230-72-6P, 1-(4-Bromo-2-fluorophenyl)-5-phenyl-1H-tetrazole 883230-73-7P, [3-(4-Bromo-2-fluorophenyl)isoxazol-5-yl]methanol 883230-74-8P, 5-Bromo-2-[4-(pyridin-3-yl)-1H-imidazol-1-yl]pyridine 883230-75-9P , (5R)-3-(4-Bromo-2-fluorophenyl)-5-(hydroxymethyl)-1,3-oxazolidin-2-one 883230-76-0P, Phenyl (4-bromo-2-fluorophenyl)carbamate 883230-77-1P, 3-(5-Bromopyrimidin-2-yl)-1,3-oxazolidin-2-one 883230-78-2P, 5-Bromo-2-(1H-1,2,3-triazol-1-yl)pyrimidine 883230-79-3P, 5-Bromo-2-(3,5-dimethyl-1H-pyrazol-1-yl)pyrimidine 883230-80-6P, 5-Bromo-2-(3-formylpyrrol-1-yl)pyrimidine 883230-81-7P, 5-Bromo-2-[4-(difluoromethyl)-1H-imidazol-1-yl]pyridine 883230-82-8P,

1-(5-Bromopyridin-2-yl)-1H-imidazole-4-carboxaldehyde 883230-83-9P, 1-(4-Bromo-2-fluorophenyl)-1H-1,2,4-triazole 883230-84-0P, 1-(5-Bromopyridin-2-yl)-1H-imidazole-4-carboxaldehyde O-methyloxime 883230-85-1P, 5-Bromo-2-(4-iodo-1H-imidazol-1-yl)pyridine 883230-86-2P, 1-(5-Bromopyridin-2-yl)-1H-imidazole-4-carbonitrile 883230-87-3P, 1-(5-Bromopyridin-2-yl)-1H-imidazole-4-carboxaldehyde oxime 883230-88-4P, Methyl 1-(5-bromopyridin-2-yl)-1H-imidazole-4-carboxylate 883230-89-5P, 1-(5-Bromopyridin-2-yl)-1H-imidazole-4-carboxylic acid 883230-90-8P, 5-(4-Bromo-2-fluorophenyl)-2-methyl-1H-tetrazole 883230-91-9P, 5-(4-Bromo-2-fluorophenyl)-1-methyl-1H-tetrazole 883230-92-0P, 2-(4-Bromo-2-fluorophenyl)-5-methyl-1,3,4-oxadiazole 883230-93-1P, 5-Bromo-2-[5-(4-fluorophenyl)-1H-tetrazol-1-yl]pyridine 883230-94-2P, 5-Bromo-2-(1H-pyrazol-1-yl)pyrimidine 883230-95-3P, 5-(5-Bromopyridin-2-yl)-1,3,4-thiadiazol-2-amine 883230-96-4P, 5-(4-Bromo-2-furyl)-2-methyl-1H-tetrazole 883230-97-5P, 5-(4-Bromo-2-furyl)-1-methyl-1H-tetrazole 883230-98-6P, 5-Bromo-2-(4-phenyl-1H-imidazol-1-yl)pyridine 883231-00-3P, tert-Butyl [[(5R)-3-(3,5-difluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl](1,3-thiazol-2-yl)carbamate 883231-02-5P, [(5R)-3-(3,5-Difluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl methanesulfonate 883231-03-6P, tert-Butyl [[(5R)-3-(3,5-difluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl](isoxazol-3-yl)carbamate 883231-04-7P, 3-Fluoro-4-[(hydroxyimino)methyl]benzeneboronic acid 883231-05-8P, 3-Fluoro-4-(1,3-oxazol-5-yl)benzeneboronic acid 883231-06-9P, [4-(1H-Benzimidazol-2-yl)-3-fluorophenyl]boronic acid 883231-07-0P, [6-(1H-1,2,4-Triazol-1-yl)pyridin-3-yl]boronic acid 883231-08-1P, [5-(1,3-Oxazol-5-yl)-2-furyl]boronic acid 883231-09-2P, [5-(1,3-Oxazol-5-yl)-2-thienyl]boronic acid 883231-10-5P, [6-(1H-Imidazol-2-yl)pyridin-3-yl]boronic acid 883231-11-6P, [6-(3-Formyl-1H-pyrrol-1-yl)pyridin-3-yl]boronic acid 883231-12-7P, [6-[3-[(Z)-(Hydroxyimino)methyl]-1H-pyrrol-1-yl]pyridin-3-yl]boronic acid 883231-13-8P, [6-(1-Methyl-1H-tetrazol-5-yl)pyridin-3-yl]boronic acid 883231-14-9P, [6-(2-Methyl-2H-tetrazol-5-yl)pyridin-3-yl]boronic acid 883231-15-0P, [6-(5-Methyl-1,3,4-oxadiazol-2-yl)pyridin-3-yl]boronic acid 883231-16-1P, [3-Fluoro-4-(5-methyl-1H-tetrazol-1-yl)phenyl]boronic acid 883231-17-2P, [3-Fluoro-4-(5-phenyl-1H-tetrazol-1-yl)phenyl]boronic acid 883231-18-3P, [6-(5-Phenyl-1H-tetrazol-1-yl)pyridin-3-yl]boronic acid 883231-19-4P, [6-(4-Pyridin-3-yl-1H-imidazol-1-yl)pyridin-3-yl]boronic acid 883231-20-7P, [6-[(tert-Butoxycarbonyl)amino]pyridin-3-yl]boronic acid 883231-21-8P, tert-Butyl [5-[4-[(5R)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,6-difluorophenyl]pyridin-2-yl]carbamate 883231-22-9P, N-[[(5S)-3-[4-(6-Aminopyridin-3-yl)-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 883231-23-0P, tert-Butyl (5-bromopyrimidin-2-yl)carbamate 883231-25-2P, [2-[(tert-Butoxycarbonyl)amino]pyrimidin-5-yl]boronic acid 883231-26-3P, tert-Butyl [5-[4-[(5R)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-2-fluorophenyl]pyrimidin-2-yl]carbamate 883231-27-4P, N-[[(5S)-2-Oxo-3-[2,3',6-trifluoro-4'-[(E)-(hydroxyimino)methyl]biphenyl-4-yl]-1,3-oxazolidin-5-yl]methyl]acetamide 883231-28-5P, 2-(4-Bromo-2-fluorophenyl)-1-methyl-1H-benzimidazole 883231-29-6P, tert-Butyl [[(5R)-3-[3,5-difluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl](isoxazol-3-yl)carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenyl-substituted oxazolidinone derivs. as antimicrobials)

IT 51-17-2, 1H-Benzimidazole 67-51-6, 3,5-Dimethylpyrazole 78-39-7, Triethyl orthoacetate 79-19-6, Thiosemicarbazide 79-20-9, Methyl acetate 95-54-5, 1,2-Phenylenediamine, reactions 98-88-4, Benzoyl chloride 107-18-6, Allyl alcohol, reactions 107-19-7, Propargyl

alcohol 107-20-0, Chloroacetaldehyde 288-13-1, 1H-Pyrazole 288-32-4, 1H-Imidazole, reactions 288-36-8, 1H-1,2,3-Triazole 288-88-0, 1H-1,2,4-Triazole 367-24-8, 4-Bromo-2-fluoroaniline 369-34-6, 3,4-Difluoronitrobenzene 403-43-0, 4-Fluorobenzoyl chloride 497-25-6, 2-Oxazolidinone 501-53-1, Benzyl chloroformate 623-00-7, 4-Bromobenzonitrile 624-28-2, 2,5-Dibromopyridine 670-95-1, 4-Phenyl-1H-imidazole 696-59-3, 2,5-Dimethoxytetrahydrofuran 1072-97-5, 2-Amino-5-bromopyridine 1122-91-4, 4-Bromobenzaldehyde 1195-45-5, p-Fluorophenyl isocyanate 1548-13-6, 4-Trifluoromethylphenyl isocyanate 1609-86-5, tert-Butyl isocyanate 1899-24-7, 5-Bromofuran-2-carboxaldehyde 2160-62-5, 5-Bromothiophene-2-carbonitrile 4701-17-1, 5-Bromothiophene-2-carboxaldehyde 4915-06-4, 5-Bromo-2-furonitrile 7752-82-1, 2-Amino-5-bromopyrimidine 32779-36-5, 5-Bromo-2-chloropyrimidine 36635-61-7, Tosylmethyl isocyanide 50634-05-4, 2,5-Dimethoxytetrahydrofuran-3-carboxaldehyde 51746-85-1, 3-(1H-Imidazol-4-yl)pyridine 53939-30-3, 5-Bromo-2-chloropyridine 57848-46-1, 4-Bromo-2-fluorobenzaldehyde 60456-26-0, (R)-(-)-Glycidyl butyrate 71121-36-3, Trifluoromethylphenyl isocyanate 85118-01-0, 3,4-Difluorobenzyl bromide 97483-77-7, 5-Bromopyridine-2-carbonitrile 149524-45-8, N-[[(5S)-3-(3-Fluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 264600-97-7, tert-Butyl (isoxazol-3-yl)carbamate 380380-56-3, (5S)-5-(Aminomethyl)-3-(3-fluorophenyl)-1,3-oxazolidin-2-one 487041-08-7, (5R)-3-(3-Fluoro-4-iodophenyl)-5-(hydroxymethyl)-1,3-oxazolidin-2-one 883230-99-7, (S)-N-[[3-(3,5-Difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]amine 883231-01-4, 3-(3,5-Difluoro-4-iodophenyl)-5-(hydroxymethyl)-1,3-oxazolidin-2-one 883231-30-9, (S)-[3-[4-[2-(1,2,4-Triazol-1-yl)pyridin-5-yl]-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl isothiocyanate
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of phenyl-substituted oxazolidinone derivs. as antimicrobials)

L8 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:193594 CAPLUS
DOCUMENT NUMBER: 144:274261
TITLE: Preparation of 3-biphenyl-2-oxazolidone derivatives as antiinfective agents
INVENTOR(S): Lou, Rongliang; Bhattacharjee, Ashoke; Chen, Yi; Chen, Shili; Adegboyega, Oyelere K.; Wang, Deping; Wu, Yusheng; Zhou, Jiacheng
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006022794	A1	20060302	WO 2004-US39966	20041201

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,

KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1778653	A1	20070502	EP 2004-812487	20041201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008508271	T	20080321	JP 2007-523532	20041201
PRIORITY APPLN. INFO.:			US 2004-591771P P	20040728
			WO 2004-US39966 W	20041201

OTHER SOURCE(S): CASREACT 144:274261; MARPAT 144:274261

AB The title 3-biphenyl-2-oxazolidone derivs. with general formula of M-L-A(R1)m-D(R2)n-Het-CH2-R3 [wherein m and n = independently 0-4; A and D = independently Ph, pyridyl, pyrazinyl, pyrimidinyl, or pyridazinyl; Het = disubstituted 2-oxazolidinone, 5(2H)-isoxazolone, isoxazoline, or 2,5-dihydrofuranone; M = CN, alkyl, (un)substituted alkenyl, alkynyl, etc.; L = bond, -O-, -NH-, =N-O-, etc.; R1 and R2 = independently halo, CF3, CN, OH, NO2, NH2, etc.; R3 = independently OH, CF3, NH2, CO2H, etc.], or pharmaceutically acceptable salts, esters, or prodrugs thereof were prepared For example, (5S)-N-[3-[4'-(amino-cyanomethyl)-2-fluorobiphenyl-4-yl]-2-oxo-oxazolidin-5-ylmethyl]acetamide was prepared in a multi-step synthesis. The title compds. are useful as anti-infective, anti-proliferative, and anti-inflammatory agents (no data).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 106-95-6, 3-Bromo-propene, reactions 151-18-8, 3-Aminopropionitrile 372-09-8, Cyanoacetic acid 542-81-4, 2-Methylthio ethyl chloride 624-28-2, 2,5-Dibromopyridine 1119-51-3 2039-82-9, 4-Bromostyrene 2450-71-7, Propargyl amine 3355-28-0, 1-Bromo-but-2-yne 4654-39-1, 2-(4-Bromophenyl)ethanol 4784-77-4, Crotyl bromide 5162-44-7, 4-Bromo-1-butene 5848-24-8 10191-60-3 17875-18-2 18542-42-2, 2-(Methylthio)ethylamine 22818-40-2, D-p-Hydroxyphenylglycine 23418-85-1, 3-Butynyl tosylate 24424-99-5, Di-tert-butyl dicarbonate 25015-63-8, 4,4,5,5-Tetramethyl-[1,3,2]dioxaborolane 58479-61-1, (1,1-Dimethylethyl)-diphenylsilyl chloride 59016-93-2, 4-Hydroxymethyl phenylboronic acid 64341-49-7, 1-Bromo-but-3-en-2-ol 77758-50-0, 4-Pentyn-1-ol tosylate 149524-45-8 232944-38-6 487041-08-7 502509-20-8 843647-60-9 843647-66-5 847490-59-9 877876-67-0 877876-68-1 877876-69-2 877876-70-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-biphenyl-2-oxazolidone derivs. as antiinfective agents)

L8 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1292133 CAPLUS
DOCUMENT NUMBER: 144:36355
TITLE: Preparation of 3-(4-(2-dihydroisoxazol-3-ylpyridin-5-yl)phenyl)-5-triazol-1-ylmethyloxazolidin-2-ones as MAO inhibitors for the treatment of bacterial infection.
INVENTOR(S): Gravestock, Michael Barry; Carcanague, Daniel Robert
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116024	A1	20051208	WO 2005-GB2059	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

AU 2005247671	A1	20051208	AU 2005-247671		20050524
CA 2567457	A1	20051208	CA 2005-2567457		20050524
EP 1753753	A1	20070221	EP 2005-746284		20050524
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CN 1989135	A	20070627	CN 2005-80025003		20050524
BR 2005011535	A	20080102	BR 2005-11535		20050524
JP 2008500319	T	20080110	JP 2007-514091		20050524
US 20070208062	A1	20070906	US 2006-569150		20061115
MX 2006PA13540	A	20070126	MX 2006-PA13540		20061122
IN 2006DN07612	A	20070622	IN 2006-DN7612		20061215
NO 2006005873	A	20070220	NO 2006-5873		20061219
KR 2007022784	A	20070227	KR 2006-727035		20061222
PRIORITY APPLN. INFO.:			GB 2004-11595	A	20040525
			GB 2005-56	A	20050105
			WO 2005-GB2059	W	20050524

OTHER SOURCE(S): CASREACT 144:36355; MARPAT 144:36355

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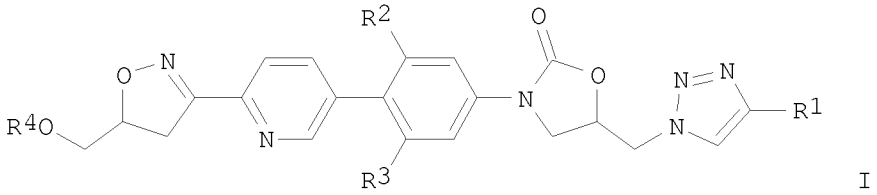

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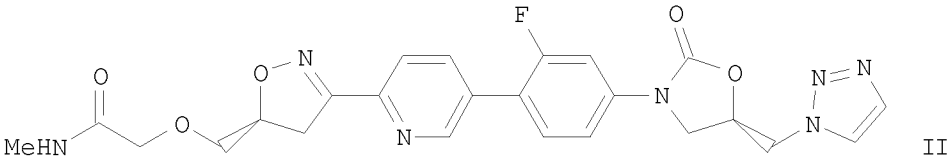


II

AB Title compds. [I; R1 = H, halo, cyano, Me, NCCH2, FCH2, F2CH, F3C, MeS, alkynyl; R2, R3 = H, F, Cl, CF3; R4 = NCCH2, HO2CCH2, (substituted) alkyl, aminocarbonylmethyl], were prepared Thus, [[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl]pyridin-2-yl]4,5-dihydroisoxazol-5-yl]methoxy]acetic acid (preparation given) was stirred with pentafluorophenol, 4-dimethylaminopyridine, and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride were stirred together for 4 h in DMF to give the pentafluorophenyl ester, which was heated with MeNH2 in THF/dioxane at 60° for 1.5 h to give title compound (II). II showed a min. inhibitory concentration of 0.06 μg/mL against

Streptococcus pneumoniae.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 74-89-5, Methylamine, reactions 75-03-6, Ethyl iodide 75-16-1, Methylmagnesium bromide 106-95-6, Allyl bromide, reactions 109-01-3, 1-Methylpiperazine 121-46-0, Bicyclo[2.2.1]hepta-2,5-diene 124-40-3, Dimethylamine, reactions 590-17-0, Bromoacetonitrile 1118-68-9, N,N-Dimethylglycine 2051-78-7, Allyl butyrate 13139-16-7 31181-90-5 136088-69-2 149524-42-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinones as MAO inhibitors for the treatment of bacterial infection)
IT 487041-08-7P 501939-52-2P 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P 519003-01-1P 700370-33-8P 702680-55-5P 702681-58-1P 702682-50-6P 702682-53-9P 702682-67-5P 870994-79-9P 870994-80-2P 870994-81-3P 870994-82-4P 870994-83-5P 870994-84-6P 870994-85-7P 870994-86-8P 870994-87-9P 870994-88-0P 870994-89-1P 870994-90-4P 870994-91-5P 870994-92-6P 870994-93-7P 870994-94-8P 870994-95-9P 870994-96-0P 870994-97-1P 870994-98-2P 870994-99-3P 870995-00-9P 870995-01-0P 870995-02-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinones as MAO inhibitors for the treatment of bacterial infection)

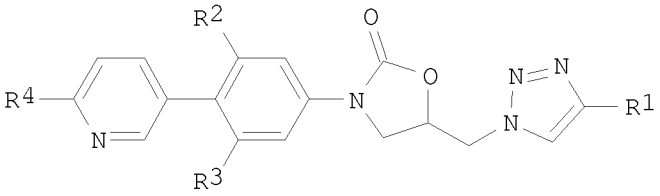
L8 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1292080 CAPLUS
DOCUMENT NUMBER: 144:36354
TITLE: Preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Reck, Folkert; Zhou, Fei
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116023	A1	20051208	WO 2005-GB2055	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247670	A1	20051208	AU 2005-247670	20050524
CA 2567929	A1	20051208	CA 2005-2567929	20050524
EP 1753755	A1	20070221	EP 2005-746538	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				

CN 1989134	A	20070627	CN 2005-80025002		20050524
BR 2005011524	A	20071226	BR 2005-11524		20050524
JP 2008500318	T	20080110	JP 2007-514088		20050524
US 20080021071	A1	20080124	US 2006-569208		20061116
IN 2006DN07661	A	20070817	IN 2006-DN7661		20061218
NO 2006005902	A	20070220	NO 2006-5902		20061219
KR 2007023765	A	20070228	KR 2006-727032		20061222
PRIORITY APPLN. INFO.:			GB 2004-11592	A	20040525
			GB 2005-53	A	20050105
			WO 2005-GB2055	W	20050524

OTHER SOURCE(S): MARPAT 144:36354

GI



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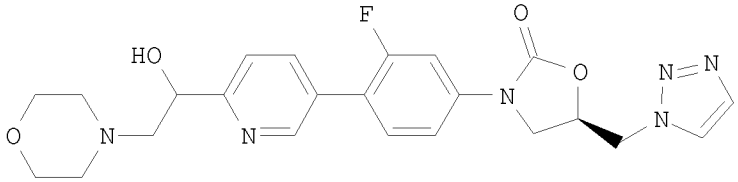


II

AB Title compds. I [R1 = H, halo, CN, etc.; R2-3 = H, F, Cl, CF3; R4 = alkyl, alkoxy, hydroxyalkoxy, etc.] are prepared For instance, II is prepared by the coupling of 1-(5-bromopyridin-2-yl)-2-(morpholin-4-yl)ethanol (preparation given) and (R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (preparation given) (DMF, (Ph3P)4P, 75°, 3 h). Compds. of the invention exhibit good antibacterial activity against standard Gram-pos. organisms with a MIC in the range of 0.01-256 μg/mL. I also exhibit relatively low levels of MAO-A inhibition.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 62-56-6, Thiourea, reactions 76-83-5, Trityl chloride 109-83-1, 2-(Methylamino)ethanol 110-91-8, Morpholine, reactions 111-42-2, Diethanolamine, reactions 121-46-0, Bicyclo[2.2.1]hepta-2,5-diene 124-63-0, Methanesulfonyl chloride 626-55-1, 3-Bromopyridine 930-62-1, 2,4-Dimethylimidazole 3430-13-5, 5-Bromo-2-methylpyridine 15159-40-7, 4-Morpholinecarbonyl chloride 31181-90-5, 5-Bromopyridine-2-carboxaldehyde 40137-22-2 73183-34-3 88139-91-7, (5-Bromopyridin-2-yl)methanol 97483-77-7, 5-Bromo-2-cyanopyridine 149524-42-5 290307-40-3, 2-(5-Bromopyridin-2-yl)propan-2-ol 827628-30-8 870694-43-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)

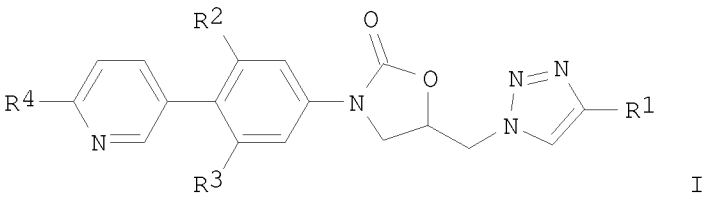
IT 23593-69-3P 214701-49-2P 294851-95-9P 380380-60-9P 380380-63-2P 380380-64-3P 487041-08-7P 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P 519003-01-1P 700370-33-8P 700370-34-9P

870694-28-3P 870694-29-4P 870694-34-1P 870694-35-2P 870694-38-5P
870694-39-6P 870694-40-9P 870694-41-0P 870694-42-1P 870714-93-5P
870714-94-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)

L8 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1291974 CAPLUS
DOCUMENT NUMBER: 144:36352
TITLE: Preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Reck, Folkert; Zhou, Fei
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116022	A1	20051208	WO 2005-GB2051	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247668	A1	20051208	AU 2005-247668	20050524
CA 2566963	A1	20051208	CA 2005-2566963	20050524
EP 1753754	A1	20070221	EP 2005-746537	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
CN 1989137	A	20070627	CN 2005-80025063	20050524
BR 2005011526	A	20071226	BR 2005-11526	20050524
JP 2008500317	T	20080110	JP 2007-514087	20050524
US 20080021012	A1	20080124	US 2006-569408	20061120
MX 2006PA13537	A	20070126	MX 2006-PA13537	20061122
IN 2006DN07668	A	20070817	IN 2006-DN7668	20061218
NO 2006005889	A	20070220	NO 2006-5889	20061219
KR 2007023766	A	20070228	KR 2006-727033	20061222
PRIORITY APPLN. INFO.:			GB 2004-11593 A	20040525
			GB 2005-54 A	20050105
			WO 2005-GB2051 W	20050524

OTHER SOURCE(S): CASREACT 144:36352; MARPAT 144:36352
GI



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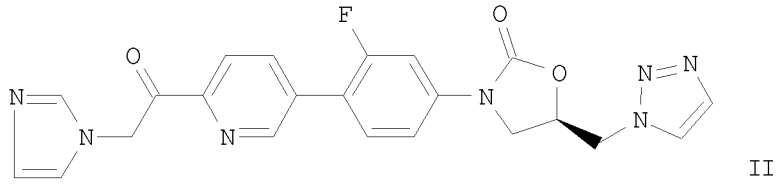


II

AB Title compds. I [R1 = H, halo, CN, etc.; R2-3 = H, F, Cl, CF3; R4 = carboxy, etc.] are prepared For instance, II is prepared by the coupling of 1-(5-bromopyridin-2-yl)-2-(1H-imidazol-1-yl)ethanone (preparation given) and (R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (preparation given) (DMF, (Ph3P)4P, 75°, 3 h). Compds. of the invention exhibit good antibacterial activity against standard Gram-pos. organisms with a MIC in the range of 0.01-256 μg/mL. I also exhibit relatively low levels of MAO-A inhibition compared to similarly substituted analogs.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 76-83-5, Trityl chloride 109-01-3, 1-Methylpiperazine 121-46-0, Bicyclo[2.2.1]hepta-2,5-diene 124-63-0, Methanesulfonyl chloride 288-32-4, Imidazole, reactions 693-98-1, 2-Methylimidazole 822-36-6, 4-Methylimidazole 930-62-1, 2,4-Dimethylimidazole 1072-62-4, 2-Ethylimidazole 10314-99-5 25015-63-8 31181-90-5, 5-Bromopyridine-2-carbaldehyde 36947-68-9 39684-28-1, O-tert-Butylhydroxylamine hydrochloride 50995-95-4, 2-Propylimidazole 64214-66-0, 4-Chloro-N-methoxy-N-methylbutyramide 68641-49-6 73183-34-3 97483-77-7, 5-Bromo-2-cyanopyridine 102644-75-7 142253-55-2, 1-(tert-Butoxycarbonyl)azetidine-3-carboxylic acid 149524-42-5 157688-46-5 223463-13-6, 5-Bromo-2-iodopyridine 870761-84-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)

IT 23593-69-3P 148148-48-5P 214701-49-2P 380380-60-9P 380380-63-2P 380380-64-3P 416852-69-2P 487041-08-7P 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P 519003-01-1P 700370-33-8P 700370-34-9P 820971-67-3P 870694-29-4P 870694-41-0P 870694-42-1P 870761-68-5P 870761-70-9P 870761-71-0P 870761-72-1P 870761-73-2P 870761-74-3P 870761-75-4P 870761-76-5P 870761-77-6P 870761-78-7P 870761-79-8P 870761-80-1P 870761-81-2P 870761-82-3P 870761-83-4P 870807-36-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)

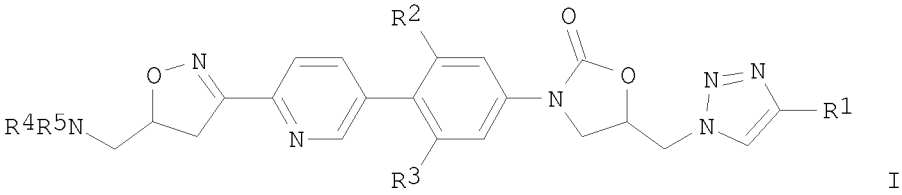
L8 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1291814 CAPLUS
DOCUMENT NUMBER: 144:36349
TITLE: Preparation of 3-[4-[6-(4,5-dihydroisoxazol-3-yl)pyridin-3-yl]-3-phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-ones as antibacterials.
INVENTOR(S): Carcanague, Daniel Robert; Gravestock, Michael Barry
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116021	A1	20051208	WO 2005-GB2040	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247663	A1	20051208	AU 2005-247663	20050524
CA 2567454	A1	20051208	CA 2005-2567454	20050524
EP 1753756	A1	20070221	EP 2005-746731	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1989136	A	20070627	CN 2005-80025055	20050524
BR 2005011554	A	20080102	BR 2005-11554	20050524
JP 2008500315	T	20080110	JP 2007-514084	20050524
US 20080064689	A1	20080313	US 2006-569148	20061115
MX 2006PA13539	A	20070126	MX 2006-PA13539	20061122
IN 2006DN07658	A	20070817	IN 2006-DN7658	20061218
NO 2006005863	A	20070220	NO 2006-5863	20061219
KR 2007027614	A	20070309	KR 2006-727174	20061222

PRIORITY APPLN. INFO.:	GB 2004-11594	A	20040525
	GB 2005-55	A	20050105
	WO 2005-GB2040	W	20050524

OTHER SOURCE(S): CASREACT 144:36349; MARPAT 144:36349
GI



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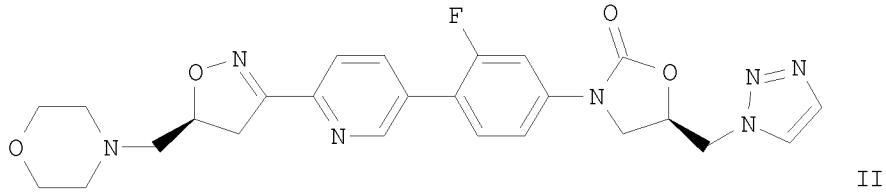


II

AB Title compds. [I; R1 = H, halo, Me, NCCH2, FCH2, F2CH, F3C MeS, alkynyl; R2, R3 = H, F, Cl, CF3; R4, R5 = H, Me, (substituted) allyl, Me, NCCH2, CH2CO2H, CO2H, COR6, etc.; R4R5N = (substituted) 5-6 membered (unsatd.) heterocyclyl, (substituted) imidazolyl; R6 = H, Me, cyclopropyl, methylcyclopropyl, CH2CO2H, etc.], were prepared Thus, 4-[[(5S)-3-(5-bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]morpholine (preparation given), (5R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given), K2CO3, and (PPh3)4Pd were heated together in DMF/H2O at 80° for 30 min. to give title compound (II). II showed a min. inhibitory concentration of 0.13 μg/mL against Streptococcus pneumoniae.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 109-01-3, 1-Methylpiperazine 109-83-1, 2-(Methylamino)ethanol 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 121-46-0, Bicyclo[2.2.1]hepta-2,5-diene 123-75-1, Pyrrolidine, reactions 123-90-0, Thiomorpholine 141-43-5, Ethanolamine, reactions 288-32-4, Imidazole, reactions 694-05-3, 1,2,3,6-Tetrahydropyridine 1118-68-9, N,N-Dimethylglycine 1676-90-0 2051-78-7, Allyl butyrate 4408-64-4 5292-43-3, tert-Butyl bromoacetate 13726-84-6 15761-38-3 15761-39-4 22838-58-0 31181-90-5, 5-Bromopyridine-2-carboxaldehyde 52605-49-9, Sarcosine ethyl ester hydrochloride 126090-54-8 136088-69-2 149524-42-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinones as antibacterials)

IT 487041-08-7P 501939-52-2P, 5-Bromopyridine-2-carboxaldehyde oxime 501939-53-3P 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P 519003-01-1P 700370-33-8P 702680-55-5P 702682-50-6P 702682-53-9P 702682-67-5P 756874-08-5P 870653-75-1P 870653-76-2P 870893-35-9P 870893-43-9P 870893-44-0P 870893-45-1P 870893-46-2P 870893-47-3P 870893-48-4P 870893-49-5P 870893-50-8P 870893-51-9P 870893-52-0P 870893-53-1P 870893-54-2P 870893-55-3P 870893-56-4P 870893-57-5P 870893-58-6P 870893-59-7P 870893-60-0P 870893-61-1P 870893-62-2P 870893-63-3P 870893-64-4P 870893-65-5P 870893-66-6P 870893-67-7P 870893-68-8P 870893-69-9P 870893-70-2P 870894-53-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinones as antibacterials)

L8 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1015924 CAPLUS
DOCUMENT NUMBER: 143:477903
TITLE: Heterocyclic ring scaffolds as small-molecule cholesterol absorption inhibitors
AUTHOR(S): Ritter, Tobias; Kvaerno, Lisbet; Werder, Moritz; Hauser, Helmut; Carreira, Erick M.
CORPORATE SOURCE: Laboratorium fuer Organische Chemie, ETH-Hoenggerberg, Zurich, CH-8093, Switz.
SOURCE: Organic & Biomolecular Chemistry (2005), 3(19), 3514-3523
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:477903
AB Enantioselective and diastereoselective syntheses of a substituted oxazolidinone, isoxazoline and pyrazoline as β-lactam surrogates are described. The substituted heterocycles were designed to incorporate side chains closely resembling those found in the β-lactam cholesterol absorption inhibitor ezetimibe. Addnl., the in vitro inhibitory efficacy of the novel compds. as cholesterol absorption inhibitors is reported using a brush border membrane vesicle assay.
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 437-29-6P 63072-14-0P 795306-87-5P 795306-88-6P 795306-89-7P
795306-90-0P 795306-91-1P 869588-19-2P 869588-20-5P
869588-21-6P 869588-22-7P 869588-23-8P 869588-24-9P 869588-25-0P
869588-27-2P 869588-29-4P 869588-30-7P 869588-31-8P 869588-32-9P
869588-33-0P 869588-34-1P 869588-35-2P 869588-38-5P 869588-40-9P
869588-42-1P 869588-43-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted oxazolidinone, isoxazoline and pyrazoline derivs. (β-lactam surrogates/cholesterol absorption inhibiting fragment of ezetimibe) and study of their pharmacol. activity)

L8 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:588915 CAPLUS
DOCUMENT NUMBER: 143:97346
TITLE: Preparation of halogenated biaryl oxazolidinones as antiinflammatory agents
INVENTOR(S): Chen, Shili; Zhou, Jiacheng; Wu, Yunsheng; Wang, Deping; Salvino, Joseph M.; Oyelere, Adegboyega K.; Lou, Rongliang
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA; Bhattacharjee, Ashoke; Chen, Yi
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061468	A1	20050707	WO 2004-US39988	20041201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

US 20050153971	A1	20050714	US 2004-1446		20041201
US 7129259	B2	20061031			
EP 1713785	A1	20061025	EP 2004-812498		20041201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU					
JP 2007514782	T	20070607	JP 2006-545691		20041201
US 20060148869	A1	20060706	US 2006-362133		20060223
PRIORITY APPLN. INFO.:			US 2003-530371P	P	20031217
			US 2004-576267P	P	20040602
			US 2004-1446	A3	20041201
			WO 2004-US39988	W	20041201

OTHER SOURCE(S): CASREACT 143:97346; MARPAT 143:97346
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A and B independently = Ph, pyridyl, pyrazinyl, etc.; M = (un)substituted alkyl, alkenyl, alkynyl; X = O, -N(O)-, -O-N=, etc.; L = (un)substituted alkyl, alkenyl, alkynyl; R1 = halo, CF3, NO2, etc.; R2 = CN, halo, CF3, etc.; R3 = OR4, NR4R4, C(O)R4, etc.; R4 = H, alkyl, alkenyl, etc.; m = 0-4; n = 0-4] and their pharmaceutically acceptable salts, are prepared and disclosed as antiinflammatory agents. Thus, e.g., II was prepared by alkylation of amine III (preparation given) with 3-bromo-1,1,1-trifluoro-2-propanol. The activity of I was evaluated using surface binding studies and fluorescence polarization (no data). I should prove useful as antiinflammatory agents. Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 844635-37-6P 856907-12-5P 856907-14-7P 856907-15-8P 856907-21-6P
856907-47-6P 856907-48-7P 856907-49-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of halogenated biaryl oxazolidinones as antiinflammatory agents)

IT 843673-48-3P 843673-63-2P 856907-01-2P 856907-02-3P 856907-03-4P
856907-04-5P 856907-05-6P 856907-06-7P 856907-07-8P 856907-08-9P
856907-09-0P 856907-10-3P 856907-11-4P 856907-13-6P 856907-17-0P
856907-18-1P 856907-19-2P 856907-20-5P 856907-22-7P 856907-23-8P
856907-24-9P 856907-25-0P 856907-26-1P 856907-27-2P 856907-28-3P
856907-29-4P 856907-30-7P 856907-31-8P 856907-32-9P 856907-33-0P
856907-34-1P 856907-35-2P 856907-36-3P 856907-37-4P 856907-38-5P
856907-39-6P 856907-40-9P 856907-41-0P 856907-42-1P 856907-43-2P
856907-44-3P 856907-45-4P 856907-46-5P 856907-50-1P
856907-51-2P 856907-52-3P 856907-53-4P
856907-70-5P 856907-71-6P 856907-72-7P 856907-73-8P 856907-74-9P

856907-75-0P 856907-76-1P 856907-77-2P
856907-78-3P 856907-79-4P 856907-80-7P 856907-81-8P
856907-82-9P 856907-83-0P 856907-84-1P 856907-85-2P 856907-86-3P
856907-87-4P 856907-88-5P 856907-89-6P 856907-91-0P 856907-92-1P
856907-93-2P 856907-94-3P 856907-95-4P 856907-96-5P 856907-97-6P
856907-98-7P 856907-99-8P 856908-00-4P 856908-01-5P 856908-02-6P
856908-03-7P 856908-56-0P 856908-57-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of halogenated biaryl oxazolidinones as antiinflammatory agents)

IT 372-04-3P 656-66-6P 31181-90-5P 64068-31-1P 68819-84-1P
88139-91-7P 139071-79-7P 148684-05-3P 149524-42-5P
149524-45-8P 149524-47-0P 252367-70-7P 252736-26-8P 380380-55-2P
380380-56-3P 487041-08-7P 501939-82-8P 501939-95-3P
504437-66-5P 519003-01-1P 627543-03-7P 724793-80-0P 843647-51-8P
843647-52-9P 843647-53-0P 843647-54-1P 843647-66-5P 843673-44-9P
843673-45-0P 843673-47-2P 843673-60-9P 843673-61-0P 847490-54-4P
847490-56-6P 847490-57-7P 847490-58-8P 847490-59-9P 847490-64-6P
847490-65-7P 847490-71-5P 847490-72-6P 856907-54-5P 856907-55-6P
856907-56-7P 856907-57-8P 856907-58-9P 856907-59-0P 856907-60-3P
856907-61-4P 856907-62-5P 856907-63-6P 856907-64-7P 856907-65-8P
856907-66-9P 856907-67-0P 856907-68-1P 856907-69-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of halogenated biaryl oxazolidinones as antiinflammatory agents)

L8 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:570890 CAPLUS
DOCUMENT NUMBER: 143:97344
TITLE: A preparation of quinoline and [1,8]naphthyridine derivatives, useful as antibiotics
INVENTOR(S): Hubschwerlen, Christian; Specklin, J. L.; Baeschlin, Daniel Kaspar; Sigwalt, Christine; Mueller, Stefan; Cappi, Michael
PATENT ASSIGNEE(S): Morphochem A.-G., Germany
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058888	A2	20050630	WO 2004-EP14500	20041220
WO 2005058888	A3	20050818		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1557416		A1	20050727	EP 2004-1506		20040123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
AU 2004299278		A1	20050630	AU 2004-299278		20041220
CA 2549675		A1	20050630	CA 2004-2549675		20041220
EP 1709044		A2	20061011	EP 2004-804099		20041220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS						
CN 1898238		A	20070117	CN 2004-80038072		20041220
BR 2004017193		A	20070306	BR 2004-17193		20041220
JP 2007516263		T	20070621	JP 2006-544382		20041220
IN 2006MN00693		A	20070323	IN 2006-MN693		20060613
MX 2006PA06769		A	20061219	MX 2006-PA6769		20060615
KR 2007067003		A	20070627	KR 2006-714403		20060718
US 20080027040		A1	20080131	US 2007-583419		20070928
PRIORITY APPLN. INFO.:				US 2003-530822P	P	20031218
				EP 2004-1506	A	20040123
				WO 2004-EP14500	W	20041220

OTHER SOURCE(S): CASREACT 143:97344; MARPAT 143:97344
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of quinoline and [1,8]naphthyridine derivs. of formula I [wherein: A is (cyclo)alkylene, alk(en/yn)ylene, or heteroarylene, etc.; Q is N, C(OH), or heteroalkyl, etc.; X is N, CH, C(F), C(OH), or C(NH2), etc.; Y is N, CH, or C(OMe), etc.; Z and L are independently (CH2)1-3; R1 is H, halogen, or NH2, etc.; R2 is H, F, or Cl; R3 is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; R4 is a derivative of oxazole, furan, or isoxazole], useful as antimicrobial agents (no biol. data). The invention compds. are effective against a variety of multi-drug resistant bacteria. For instance, [1,8]naphthyridine derivative II was prepared via amination of 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-[1,8]naphthyridine-3-carboxylic acid by piperidine derivative III with a yield of 64%.

IT 57260-71-6P 79099-07-3P 112257-12-2P 185132-51-8P 270594-18-8P
275387-83-2P 444335-16-4P 510729-01-8P 790703-40-1P
790703-49-0P 790703-64-9P 790703-74-1P 790703-85-4P
790704-02-8P 790704-08-4P 790704-14-2P 790704-18-6P 790704-30-2P
790704-34-6P 790704-38-0P 790704-73-3P 790704-80-2P 790704-84-6P
790705-08-7P 790705-15-6P 790705-19-0P 790705-23-6P 847952-25-4P
847952-26-5P 856676-99-8P 856677-01-5P 856677-03-7P 856677-05-9P
856677-15-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoline and [1,8]naphthyridine derivs. useful as antibiotics)

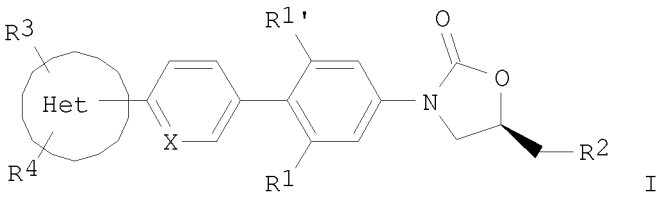
L8 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:564663 CAPLUS
DOCUMENT NUMBER: 143:97343
TITLE: Preparation of oxazolidinone broad-spectrum antibiotics
INVENTOR(S): Rhee, Jae Keol; Im, Weon Bin; Cho, Chong Hwang; Choi, Sung Hak; Lee, Tae Ho
PATENT ASSIGNEE(S): Dong-A Pharm.Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058886	A1	20050630	WO 2004-KR3327	20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2005061271	A	20050622	KR 2004-58809	20040727
AU 2004299413	A1	20050630	AU 2004-299413	20041217
CA 2549062	A1	20050630	CA 2004-2549062	20041217
EP 1699784	A1	20060913	EP 2004-808458	20041217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1894242	A	20070110	CN 2004-80037612	20041217
BR 2004017800	A	20070410	BR 2004-17800	20041217
JP 2007514737	T	20070607	JP 2006-545238	20041217
US 20070155798	A1	20070705	US 2006-596412	20060613
MX 2006PA06955	A	20061219	MX 2006-PA6955	20060616
IN 2006CN02167	A	20070608	IN 2006-CN2167	20060616

PRIORITY APPLN. INFO.: KR 2003-93342 A 20031218
KR 2004-58809 A 20040727
WO 2004-KR3327 W 20041217

OTHER SOURCE(S): CASREACT 143:97343; MARPAT 143:97343
GI



I

AB Title compds. I [X = C, N; R1-1' = H, F; R2 = amino, alkoxy, triazolyl, etc.; R3-4 = H, alkyl, etc.; Het = heterocyclic ring, heteroarom. ring, etc.] are prepared For instance, (R)-3-[4-[2-(2-methyltetrazol-5-yl)pyridin-5-yl]-3-fluorophenyl]-5-hydroxymethyloxazolidin-2-one (II) is prepared from 2-(2-methyltetrazol-5-yl)-5-bromopyridine and (R)-3-(4-tributylstannyl-3-fluorophenyl)-2-oxo-5-oxazolidinylmethanol (preparation given). II exhibits MIC50 = 0.5 μg/mL against MRSA and 0.25 μg/mL against VRE. I show inhibitory activity against a broad spectrum of bacteria and lower toxicity. The amino acid or phosphate prodrugs of the invention show good

water solubility Further, the derivs. of the present invention may exert potent antibacterial activity vs. various human and animal pathogens, including Gram-pos. bacteria such as Staphylococci, Enterococci and Streptococci, anaerobic microorganisms such as Bacteroides and Clostridia, and acid-resistant microorganisms such as Mycobacterium tuberculosis and Mycobacterium avium.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 856866-72-3P 856866-75-6P 856866-79-0P
856866-80-3P 856866-84-7P 856866-85-8P
856866-90-5P 856866-94-9P 856866-96-1P
856867-00-0P 856867-14-6P 856867-20-4P 856867-27-1P
856867-30-6P 856867-34-0P 856867-37-3P 856867-41-9P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinone broad-spectrum antibiotics effective against MRSA and VRE)

IT 700370-32-7P 831201-38-8P 856866-58-5P 856866-61-0P 856866-63-2P
856866-64-3P 856866-66-5P 856866-68-7P 856866-70-1P 856866-71-2P
856866-73-4P 856866-76-7P 856866-77-8P 856866-78-9P 856866-81-4P
856866-82-5P 856866-87-0P 856866-89-2P 856866-91-6P 856866-92-7P
856866-95-0P 856866-98-3P 856866-99-4P 856867-01-1P 856867-03-3P
856867-05-5P 856867-06-6P 856867-08-8P 856867-09-9P
856867-10-2P 856867-11-3P 856867-12-4P 856867-15-7P
856867-17-9P 856867-18-0P 856867-21-5P 856867-22-6P 856867-24-8P
856867-25-9P 856867-28-2P 856867-31-7P 856867-35-1P 856867-38-4P
856867-39-5P 856867-40-8P 856867-43-1P 856867-44-2P 856867-46-4P
856867-47-5P 856867-49-7P 856867-50-0P 856867-52-2P 856867-53-3P
856867-54-4P 856867-55-5P 856867-56-6P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinone broad-spectrum antibiotics effective against MRSA and VRE)

IT 288-36-8, 1,2,3-Triazole 288-88-0, 1H-1,2,4-Triazole 372-19-0, 3-Fluoroaniline 501-53-1 624-28-2, 2,5-Dibromopyridine 813-19-4, Hexabutylditin 3303-84-2, BOC-β-alanine 4530-20-5, BOCglycine 13734-41-3 15761-38-3 15761-39-4 17969-33-4 21160-53-2 60456-26-0 137348-86-8 176961-51-6 188975-86-2 380380-64-3, 2-(2-Methyltetrazol-5-yl)-5-bromopyridine 380381-17-9 380382-24-1, 2-([1,2,4]Triazol-1-yl)-5-bromopyridine 501939-53-3 501939-94-2 856867-07-7 856867-63-5 856867-64-6 856867-65-7 856867-66-8 856867-67-9 856867-68-0 856867-69-1 856867-70-4 935509-56-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolidinone broad-spectrum antibiotics effective against MRSA and VRE)

IT 97483-77-7P, 2-Cyano-5-bromopyridine 149524-42-5P 149524-47-0P 380380-59-6P 380380-60-9P, 2-(Tetrazol-5-yl)-5-bromopyridine 380380-63-2P, 2-(1-Methyltetrazol-5-yl)-5-bromopyridine 380380-69-8P, 2-([1,2,3]Triazol-1-yl)-5-bromopyridine 487041-08-7P 856867-57-7P 856867-58-8P 856867-59-9P 856867-60-2P 856867-61-3P 856867-62-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinone broad-spectrum antibiotics effective against MRSA and VRE)

L8 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:182682 CAPLUS
DOCUMENT NUMBER: 142:280384
TITLE: Preparation of macrocyclic azalide and azalactam derivatives as antibacterial agents and process for the production of the same
INVENTOR(S): Miura, Tomoaki; Kanemoto, Kenichi; Natsume, Satomi; Ohkura, Naoto; Fujihira, Yumiko; Watanabe, Takashi; Fushimi, Hideki; Atsumi, Kunio; Ajito, Keiichi
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 446 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019238	A1	20050303	WO 2004-JP12323	20040820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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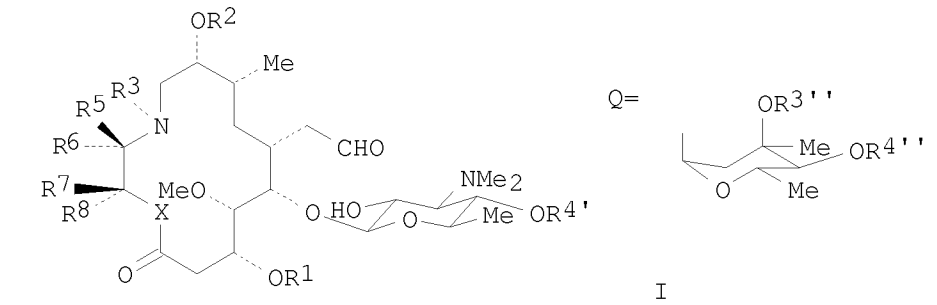
EP 1661904	A1	20060531	EP 2004-772279	20040820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070042974	A1	20070222	US 2006-569063	20060928
US 7365174	B2	20080429		

PRIORITY APPLN. INFO.:	JP 2003-208407	A	20030822
	WO 2004-JP12323	W	20040820

OTHER SOURCE(S): MARPAT 142:280384
GI



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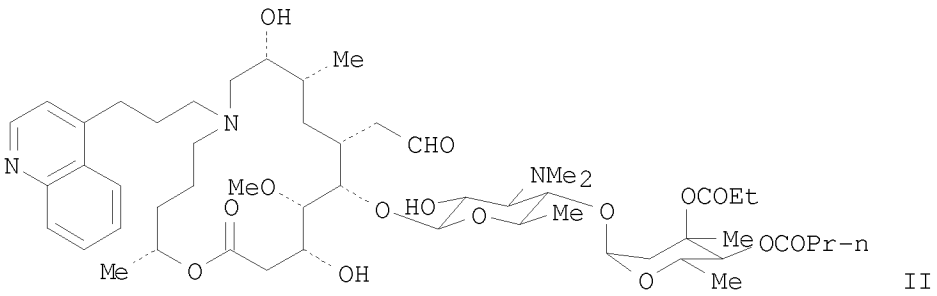

II

AB Compds. represented by the general formula (I) or pharmaceutically acceptable salts thereof [wherein R1 = H, straight-chain C1-6 alkylcarbonyl; R2 = H, C1-6 alkylcarbonyl; R3 = H, C1-6 alkyl, C1-6 alkylcarbonyl, C1-6 alkenyl, C2-6 alkenylcarbonyl, C2-6 alkynyl, or Ar-B- group (wherein Ar = aryl or heterocyclyl; B = C1-6 alkyl, C1-6 alkylcarbonyl, C2-6 alkenyl, C2-6 alkenylcarbonyl, C2-6 alkynyl); R5, R6, R7, R8 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar-B'- group (wherein B' = C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl); X = O, NR4 (wherein R4 = H, C1-6 alkyl or C1-6 alkyl which may be substituted with Ar); and R4' = H, a group represented by the general formula Q (wherein R3", R4" = H, straight-chain or branched C1-6 alkylcarbonyl)] are prepared These compds. are effective in the prevention and/or treatment of infections with microbes. For example, compound (II) showed min. inhibitory concentration of 0.25, 0.015, 0.03, 0.25, 0.06, 0.5, and 2 μg/mL against Staphylococcus aureus 209P JC-1, Streptococcus pneumoniae DPI Type I, S. pneumoniae IP692, S. pneumoniae TH-662, S. pyogenes Cook, Moraxella catarrhalis W-0506, and Haemophilus influenzae 9334, resp., vs. 0.5, 0.25, 0.5, >128, 0.25, 2, and 2, resp., for midecamycin (mydecamycin).

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 847260-51-9P 847260-52-0P 847260-53-1P 847260-54-2P 847260-55-3P
847260-56-4P 847260-57-5P 847260-58-6P 847260-59-7P 847260-60-0P
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847261-93-2P 847278-22-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of macrocyclic azalide and azalactam derivs. as antibacterial agents)

IT 50-00-0, Formaldehyde, reactions 62-53-3, Aniline, reactions 90-11-9, 1-Bromonaphthalene 96-33-3, Methyl acrylate 97-72-3, Isobutyric anhydride 98-59-9, p-Toluenesulfonyl chloride 106-31-0, Butyric anhydride 106-95-6, Allyl bromide, reactions 108-24-7, Acetic anhydride 108-86-1, Bromobenzene, reactions 108-95-2, Phenol, reactions 108-98-5, Thiophenol, reactions 109-04-6, 2-Bromopyridine 109-83-1, 2-(Methylamino)ethanol 109-89-7, Diethylamine, reactions 123-11-5, p-Anisaldehyde, reactions 124-63-0, Methanesulfonyl chloride 141-43-5, 2-Aminoethanol, reactions 156-87-6, 3-Aminopropanol 288-32-4, Imidazole, reactions 540-38-5, 4-Iodophenol 541-41-3, Ethyl chloroformate 573-17-1, 9-Bromophenanthrene 580-13-2, 2-Bromonaphthalene 591-18-4, 1-Bromo-3-iodobenzene 591-51-5, Phenyllithium 612-58-8, 3-Methylquinoline 625-92-3, 3,5-Dibromopyridine 626-55-1, 3-Bromopyridine 834-67-3, 1-Bromo-4-(morpholin-4-ylsulfonyl)benzene 939-26-4, 2-(Bromomethyl)naphthalene 1073-06-9, 3-Bromofluorobenzene 1120-87-2, 4-Bromopyridine 1468-39-9, Isovaleric anhydride 1532-97-4, 4-Bromoisoquinoline 1730-25-2, Allylmagnesium bromide 1779-49-3, Methyltriphenylphosphonium bromide 1826-67-1, Vinylmagnesium bromide 2113-57-7, 3-Bromobiphenyl 2136-75-6, Triphenylphosphoranylideneacetaldehyde 2393-23-9, 4-Methoxybenzylamine 2799-16-8, (R)-(-)-1-Amino-2-propanol 2799-17-9, (S)-(+)-1-Amino-2-propanol 3964-04-3, 4-Bromoquinoline 4422-32-6, 3-(3-Bromophenyl)pyridine 4595-59-9, 5-Bromopyrimidine 5332-24-1, 3-Bromoquinoline 5332-25-2, 6-Bromoquinoline 6638-79-5, N,O-Dimethylhydroxylamine hydrochloride 6867-30-7, Lithium acetylide ethylenediamine complex 7064-31-5, 5-(4-Bromophenyl)isoxazole 7101-95-3, 3-Bromo-6-nitroquinoline 7342-82-7, 3-Bromobenzo[b]thiophene 10491-63-1, 3-Allyldihydrofuran-2-one 13325-10-5, 4-Aminobutanol 13633-25-5, 4-Phenylbutyl bromide 13788-92-6 15862-22-3, 3-(5-Bromopyridin-3-yl)pyridine 16814-81-6, (S)-5-Amino-2-hydroxypentanoic acid 17965-78-5, 3-Bromo-1,8-naphthyridine 18328-11-5, 4-Phenylbutyraldehyde 19524-06-2, 4-Bromopyridine hydrochloride 23395-72-4, 6-Cyanoquinoline 24424-99-5, Di-tert-butyl dicarbonate 26628-22-8, Sodium azide 39620-02-5, 5-Bromonicotinoyl chloride 39795-60-3, 4-(4-Bromophenyl)pyridine 51376-06-8, 5-Bromobenzo[c][1,2,5]oxadiazole 63996-36-1, 2-(4-Bromophenyl)pyridine 72133-27-8, 3-(4-Bromophenoxy)-6-methylpyridine 74014-49-6, 9-O-Acetylrokitamycin 99815-05-1 104501-60-2, 2-(tert-Butyldimethylsilyloxy)propionaldehyde 111819-71-7,

(R)-2-(tert-Butyldimethylsilyloxy)propionaldehyde 129013-83-8, 3-(4-Bromophenyl)pyridine 136415-74-2, 3-(Quinolin-4-yl)propanal 142137-17-5, 3-Bromo-5-phenylpyridine 179897-89-3, 5-Bromo-2-fluorobenzonitrile 342405-21-4, 4-(3-Bromophenyl)-2-methylthiazole 375857-96-8, 1-(3-Bromophenyl)-1H-1,2,4-triazole 425379-95-9 448950-96-7 448952-72-5 487041-08-7 530080-22-9, 1-(4-Bromo-3-fluorophenyl)-1H-tetrazole 847259-97-6, N-(3-Azidobutyl)-N-(4-phenylbutyl)amine 847264-30-6, 3-(2-Bromophenyl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of macrocyclic azalide and azalactam derivs. as antibacterial agents)

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847278-23-3P 847278-24-4P 847278-36-8P 847278-37-9P 847372-17-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of macrocyclic azalide and azalactam derivs. as antibacterial agents)

L8 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:182657 CAPLUS
DOCUMENT NUMBER: 142:280195
TITLE: Preparation of biaryl heterocyclic compounds and methods of making and using the same in pharmaceutical applications
INVENTOR(S): Zhou, Jiacheng; Bhattacharjee, Ashoke; Chen, Shili;

Chen, Yi; Farmer, Jay J.; Goldberg, Joel A.; Hanselmann, Roger; Lou, Rongliang; Orbin, Alia; Oyelere, Adegboyega K.; Salvino, Joseph M.; Springer, Dane M.; Tran, Jennifer; Wang, Deping; Wu, Yusheng
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019211	A2	20050303	WO 2004-US17101	20040602
WO 2005019211	A3	20060330		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2528089	A1	20050303	CA 2004-2528089	20040602
EP 1656370	A2	20060517	EP 2004-776193	20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1832932	A	20060913	CN 2004-80021883	20040602
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MX 2005PA13132	A	20060525	MX 2005-PA13132	20051205
IN 2005KN02516	A	20061013	IN 2005-KN2516	20051207
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PRIORITY APPLN. INFO.:

US 2003-475430P	P	20030603
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US 2003-531584P	P	20031219
US 2004-859476	A1	20040602
WO 2004-US17101	W	20040602
US 2005-118808	A1	20050429

OTHER SOURCE(S): CASREACT 142:280195; MARPAT 142:280195
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A and B independently = Ph, pyridyl, pyrazinyl, pyrimidinyl, or pyridazinyl; R1 and R2 = independently = halo, CF3, CN, NO2, NR42, COR4, etc.; R3 = OR4, NR42, COR4, CO2R4, CSR4, etc.; R4 independently = H, (un)substituted-alkyl, -alkenyl, -alkynyl, -aryl, etc.; M = (un)substituted, (un)saturated carbocycle or aryl heterocycle containing one

or more heteroatoms chosen from N, O, and S; L = X, L1, L1X, XL2, L1XL2, XL1XL2, L1XL2X, X2, L1X2, X2L2, L1X2L2 wherein X independently = O, NR4, NO, N(OR4), NR4NR4, etc., and L1 and L2 are independently = (un)substituted-alkyl, -alkenyl, -alkynyl; m = 0-4; n = 0-4], and their pharmaceutically acceptable salts, are prepared Thus, e.g., II was prepared by conversion of TBDPS protected 1-bromo-4-(2-hydroxyethyl)benzene to the arylboronic acid which is coupled with N-[3-(3-fluoro-4-iodophenyl)-2-oxo-oxazolidin-5-ylmethyl]acetamide followed by desilylation, mesylation, and substitution with imidazole. I are disclosed as potential anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents (no data). More particularly, the invention relates to a family of compds. having both a biaryl moiety and at least one heterocyclic moiety that are useful as such agents.

IT 51-45-6, 1H-Imidazole-4-ethanamine, reactions 56-92-8 66-77-3, 1-Naphthaldehyde 68-41-7, D-Cycloserine 94-53-1, Piperonylic acid 98-01-1, 2-Furaldehyde, reactions 98-58-8, 4-Bromobenzenesulfonyl chloride 103-76-4, N-(2-Hydroxyethyl)piperazine 106-53-6, 4-Bromobenzenethiol 107-19-7, 3-Hydroxy-1-propyne 107-91-5 109-97-7, Pyrrole 288-13-1, Pyrazole 288-14-2, Isoxazole 288-32-4, Imidazole, reactions 288-35-7, 2H-1,2,3-Triazole 339-72-0, L-Cycloserine 456-22-4, 4-Fluorobenzoic acid 486-74-8, 4-Quinolinecarboxylic acid 498-60-2, 3-Furaldehyde 500-22-1, 3-Pyridylcarboxaldehyde 584-13-4, 4H-1,2,4-Triazol-4-amine 586-75-4, 4-Bromobenzoyl chloride 617-89-0, 2-Aminomethylfuran 622-26-4, 4-(2-Hydroxyethyl)piperidine 624-28-2, 2,5-Dibromopyridine 822-55-9, 4-(Hydroxymethyl)imidazole 872-35-5 872-85-5, 4-Pyridinecarboxaldehyde 1010-95-3 1072-67-9 1121-60-4, 2-Pyridylcarboxaldehyde 1450-93-7, 2-Aminoimidazole hemisulfate 1670-82-2, Indole-6-carboxylic acid 1750-42-1, 3-Isoxazolamine 1820-80-0, 3-Aminopyrazole 2039-82-9, 4-Bromostyrene 2450-71-7, Propargylamine 2637-34-5, 2-Mercaptopyridine 3132-64-7, Epibromohydrin 3179-31-5, 3-Mercapto-1,2,4-triazole 3731-53-1, 4-Aminomethylpyridine 4265-16-1, 2-Benzofurancarboxaldehyde 4363-93-3, 4-Quinolinecarboxaldehyde 4382-54-1, 5-Methoxyindole-2-carboxylic acid 4403-36-5 4418-61-5, 1H-Tetrazol-5-amine 4530-20-5, BOC-glycine 4556-23-4, 4-Mercaptopyridine 4654-39-1, 4-Bromophenethyl alcohol 5345-27-7, 3-Methylsulfonylbenzoic acid 5470-96-2, 2-Quinolinecarboxaldehyde 5685-05-2, 2(3H)-Thiazolethione 5685-06-3 6066-82-6 6238-14-8, 1-Azabicyclo[2.2.2]octan-3-amine 6973-60-0, N-Methylpyrrole-2-carboxylic acid 7223-38-3, N,N-Dimethylpropargyl amine 7554-65-6, 4-Methylpyrazole 7755-92-2, 1-Piperazinecarboxaldehyde 10004-44-1 13669-42-6, 3-Quinolinecarboxaldehyde 14678-02-5 15761-38-3 16532-79-9, 4-Bromophenylacetonitrile 16691-43-3 18686-82-3, 1,3,4-Thiadiazole-2(3H)-thione 19012-03-4, 1-Methylindole-3-carboxaldehyde 20772-10-5 22818-40-2 23012-14-8 23418-85-1, Butyn-3-yltosylate 25015-63-8, 4,4,5,5-Tetramethyl-[1,3,2]dioxaborolane 26177-44-6, 4-Bromobenzylamine hydrochloride 27643-15-8, 1,2,3-Thiadiazole-4-carboxaldehyde 30189-36-7 31645-12-2 32362-75-7 35161-71-8, N-Methylpropargyl amine 36635-61-7, Tosylmethylisocyanide 37622-90-5, Ethyl 4-pyrazolecarboxylate 37718-11-9, 4-Pyrazolecarboxylic acid 39684-80-5 40299-87-4, N-Bromoacetylmorpholine 41253-21-8 50634-05-4 51138-06-8 53487-52-8 55401-97-3, 2-Bromomethylpyridine 55829-43-1, 1-Piperazineacetamide 58619-56-0, 1-Piperazineacetonitrile 58859-46-4 59016-93-2, 4-Hydroxymethylbenzeneboronic acid 59032-27-8 61607-68-9 66892-25-9 79710-86-4, Tetrahydrofuran-3-carboxaldehyde 83948-53-2 85363-04-8 87199-17-5, 4-Formylbenzeneboronic acid 89711-08-0 96797-15-8 113826-06-5 132834-59-4 137049-00-4 149524-45-8 154016-48-5 167010-31-3 173850-43-6, 4-Isoxazolemethanamine 193269-78-2 248270-25-9 251294-65-2 337508-68-6 486415-29-6 487041-08-7 627543-03-7 843647-60-9 843673-58-5

843673-69-8 843673-84-7 847490-94-2 847490-95-3 847490-97-5
847490-98-6 847490-99-7 877875-99-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of biaryl heterocyclic compound as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents)

IT 27460-85-1P 31181-90-5P 65373-53-7P, 4-Isoxazolecarboxaldehyde
83782-72-3P 88139-91-7P 121614-49-1P 126790-85-0P 148684-05-3P
155742-48-6P, 4-Oxazolemethanol 370103-73-4P 422560-40-5P
477775-44-3P 479079-15-7P 501939-95-3P 504437-66-5P 519003-01-1P
627541-93-9P 627543-08-2P 691889-35-7P 843647-51-8P 843647-52-9P
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843673-50-7P 843673-64-3P 843673-66-5P 843673-68-7P 843673-72-3P
843673-73-4P 843673-74-5P 843673-75-6P 843673-77-8P 843673-79-0P
843673-80-3P 843673-81-4P 847490-42-0P 847490-43-1P 847490-44-2P
847490-45-3P 847490-46-4P 847490-47-5P 847490-48-6P 847490-49-7P
847490-50-0P 847490-51-1P 847490-52-2P 847490-53-3P 847490-54-4P
847490-55-5P 847490-56-6P 847490-57-7P 847490-58-8P 847490-59-9P
847490-60-2P 847490-61-3P 847490-62-4P 847490-64-6P 847490-65-7P
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847490-71-5P 847490-72-6P 847490-73-7P 847490-74-8P
847490-75-9P 847490-76-0P 847490-77-1P 847490-78-2P 847490-79-3P
847490-80-6P 847490-81-7P 847490-82-8P 847490-83-9P 847490-84-0P
847490-85-1P 847490-86-2P 847490-87-3P 847490-88-4P 847490-89-5P
847490-90-8P 847490-91-9P 847490-92-0P 847490-93-1P 847491-00-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biaryl heterocyclic compound as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents)

L8 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:120906 CAPLUS
DOCUMENT NUMBER: 142:219289
TITLE: Process for the synthesis of biaryl oxazolidinones
INVENTOR(S): Wu, Yusheng; Chen, Shili; Chen, Yi; Hanselmann, Roger; Lou, Rongliang; Zhou, Jiacheng
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012271	A2	20050210	WO 2004-US24339	20040728
WO 2005012271	A3	20050929		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050043317	A1	20050224	US 2004-859476	20040602
US 6969726	B2	20051129		

EP 1660465	A2	20060531	EP 2004-779405		20040728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
JP 2007500708	T	20070118	JP 2006-522029		20040728
US 20050203147	A1	20050915	US 2005-118808		20050429
US 7148219	B2	20061212			
US 20060148869	A1	20060706	US 2006-362133		20060223
US 20060264426	A1	20061123	US 2006-486769		20060714
PRIORITY APPLN. INFO.:			US 2003-490855P	P	20030729
			US 2003-529731P	P	20031215
			US 2003-530371P	P	20031217
			US 2003-531584P	P	20031219
			US 2004-576163P	P	20040602
			US 2004-859476	A	20040602
			US 2003-475430P	P	20030603
			US 2003-475453P	P	20030603
			US 2004-576267P	P	20040602
			WO 2004-US24339	W	20040728
			US 2004-1446	A3	20041201
			US 2005-118808	A1	20050429

OTHER SOURCE(S): CASREACT 142:219289; MARPAT 142:219289

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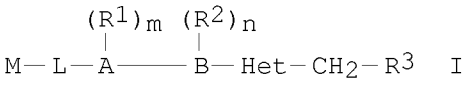

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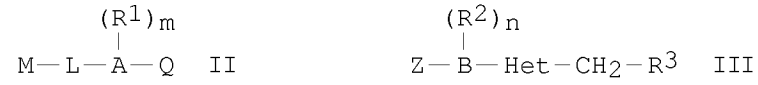
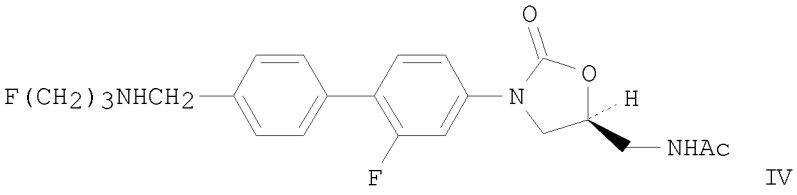


II III



IV

AB The present invention relates to processes for the preparation of biaryloxazolidinones (I) [A, B = Ph, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl; Het-CH2-R3 = Q1, Q2, Q3, Q4; M-L = M-X, M-L1, M-L1-X, M-X-L2, M-L-X-L2, M-X-L1-X-L2, M-L1-X-L2-X, M-X-X-, M-L1-X-X-, M-X-X-L2, -L1-X-X-L2; wherein X = -, (un)substituted NH, -N(OH)-, -SO2NH-,-NHSO2-, -NH-N=, =N-NH-, -NH-NH-, -NHC(O)O-, -OC(O)NH-, -NHC(O)NH- or -NHC(NH)NH-, -O-N=, =N-O-, -N=, =N-, etc.; L1, L2 = each (un)substituted C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl; alternatively, L in M-L is a bond and M = each (un)substituted C3-14 saturated, unsatd., or aromatic carbocycle, 3-14 membered saturated, unsatd., or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of N, O, and S, C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, cyano; R1, R2 = F, Cl, Br, iodo, CF3, each (un)substituted OH, NH2, CO2H, or CONH2, cyano, NO2, etc.; R3 = each (un)substituted OH, NH2, CO2H, CONH2, NHCONH2, SO2NH2, etc.; m , n = 0-4] which comprises coupling of the compound of formula (II) (Q = borane having the formula BY2; Y = HO, C1-6 alkoxy, C2-6 alkenyloxy, C2-6 alkynyloxy,

etc.) with the compound of formula (III) (Z = iodo, Br, Cl, sulfonate). These compds. I are useful as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents (no data). Thus, [4-[[N-(3-fluoropropyl)-N-(tert-butylcarbonyl)amino]methyl]phenyl]boronic acid and (5S)-N-[3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-ylmethyl]acetamide were stirred with tetrakis(triphenylphosphine)palladium (0) and K2CO3 in a mixture of toluene, ethanol, and water at reflux for 8 h to give (5S)-[[4'-[5-[(acetylamino)methyl]-2-oxooxazolidin-3-yl]-2'-fluorobiphenyl-4-yl]methyl](3-fluoropropyl)carbamic acid tert-Bu ester which was stirred with HCl/1,4-dioxane at room temperature for 12 h to give (5S)-N-[[3-[2-fluoro-4'-[(3-fluoropropylamino)methyl]biphenyl-4-yl]-2-oxooxazolidin-5-yl]methyl]acetamide monohydrochloride (IV).

IT 372-04-3P, Methanesulfonic acid 3-fluoropropyl ester 139071-79-7P 149524-42-5P, (5R)-3-(3-Fluorophenyl)-5-hydroxymethyloxazolidin-2-one 149524-45-8P 149524-47-0P 252736-26-8P 380380-55-2P 380380-56-3P 487041-08-7P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyloxazolidin-2-one 501939-82-8P, (5R)-Methanesulfonic acid [3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-yl]methyl ester 627543-03-7P 724793-80-0P 843673-44-9P 843673-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; process for synthesis of biaryloxazolidinones by Suzuki coupling reaction of arylboronic acids with aryl halides or sulfonates)

L8 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:58200 CAPLUS
DOCUMENT NUMBER: 142:155957
TITLE: Preparation of 3-aryloxazolidin-2-one derivatives as antibiotics
INVENTOR(S): Hammond, Milton L.; Fukuda, Yasumichi
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005422	A1	20050120	WO 2004-US20738	20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004256086	A1	20050120	AU 2004-256086	20040629
AU 2004256086	B2	20071206		
CA 2529294	A1	20050120	CA 2004-2529294	20040629
EP 1646630	A1	20060419	EP 2004-777200	20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1816547	A	20060809	CN 2004-80018955	20040629

JP 2007521284	T	20070802	JP 2006-517740		20040629
US 20070293493	A1	20071220	US 2007-559868		20070302
PRIORITY APPLN. INFO.:			US 2003-483901P	P	20030702
			US 2004-546985P	P	20040224
			WO 2004-US20738	W	20040629

OTHER SOURCE(S): CASREACT 142:155957; MARPAT 142:155957

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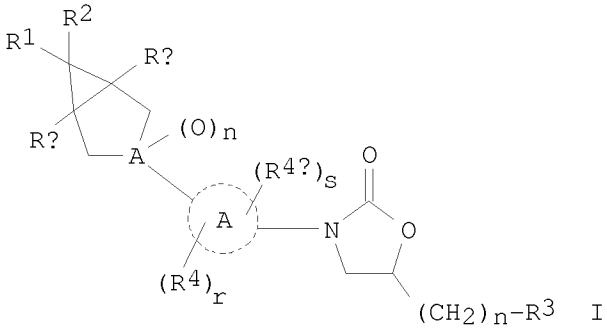
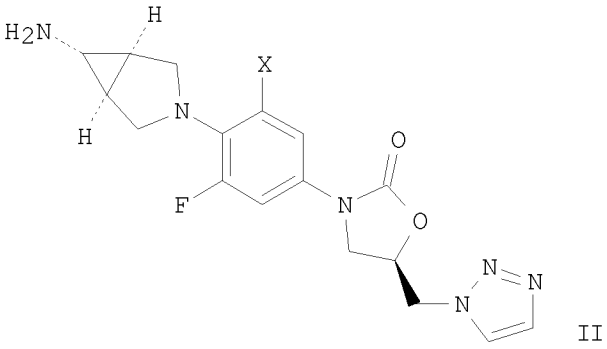


I



II

AB New oxazolidinones having a cyclopropyl moiety (I) [R1, R2 = independently H, NR5R6, CR7R8R9, C(R)2OR14, CH2NHR14, C(O)R13, C(:NOH)H, C(:NOR13)H, C(:NR13)R13, C(:NOR33)R, C(O)N(R13)2, C(O)N(R13)2, C(=NOH)N(R13)2, NHC(:X1)N(R13)2, (C:NH)R7, N(R13)C(:X1)N(R13)2, CO2R13, SO2R14, N(R13)SO2R14, N(R13)COR14, cyano-C1-6 alkyl, cyano, CH:C(R)2, etc.; A = C, CH, N; --- represents an optional bond; ring A = aryl, heteroaryl, heterocyclyl; Rx = H, C1-6 alkyl; R3 = (un)substituted aromatic heterocyclic group containing at least one nitrogen in the ring which is attached through a bond on any N; R4, R4a = H, halogen, C1-6 alkoxy, C1-6 alkyl; r, s = 1-3, provided that r+s≤4; R5, R6 = H, each (un)substituted C1-6 alkyl, C1-6 acyl, or C1-6 alkylsulfonyl, etc.; R7 = H, halo, cyano, CO2R, CON(R)2, CHO, CH2NHAc, C(:NOR), OH, C1-6 alkoxy, C1-6 alkyl, alkenyl, (CH2)nNH2, etc.; R8, R9 = H, cyano, each (un)substituted C1-6 alkyl or Ph; X1 = O, S, NR13, NCN, NCO2R16, or NSO2R14; R13 = H, C1-6 alkyl, C6-10 aryl, NR5R6, SR8, S(O)R8, S(O)2R8, cyano, OH, C1-6 alkoxycarbonyl, CO2H, etc.; R14 = amino, C1-6 alkyl, C1-6 haloalkyl, (un)substituted Ph, etc.; R15 = C1-6 alkyl or (un)substituted benzyl; m, n, p, q = 0, 1], enantiomers, diastereomers, or pharmaceutically acceptable salts, hydrates, or prodrugs thereof are prepared These compds. are effective as antibacterial agents against aerobic and anaerobic pathogens such as multi-resistant staphylococci, streptococci and enterococci, Bacteroides sp., Clostridia sp., as well as acid-fast organisms such as Mycobacterium

tuberculosis and other mycobacterial species. They are coadministered with vitamin B2, vitamin B4, vitamin B12, or folic acid to prevent or treat oxazolidinone-associated side effect such as normocyctic anemia, peripheral sensory neuropathy, sideroblastic anemia, peripheral sensory neuropathy, optic neuropathy, seizures, thrombocytopenia, cheilosis, hypo-regenerative anemia, megaloblastic anemia and seborrheic dermatitis. Thus, (R)-5-azidomethyl-3-[4-[(1α,5α,6α)-6-(tert-butoxycarbonylamino)-3-azabicyclo[3.1.0]hexan-3-yl]-3-fluorophenyl]oxazolidin-2-one (370 mg) and 2,5-norbornadiene (891 mg) in dioxane (65 mL) was heated at 70° for 6 h, and then concentrated in vacuo. A suspension of the residue in diethylene glycol di-Me ether (18.5 mL) was heated at 140° for 10 min to give 1-[(5R)-3-[4-[(1α,5α,6α)-6-(tert-butoxycarbonylamino)-3-azabicyclo[3.1.0]hexan-3-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole which was treated with 12 N HCl/MeOH at room temperature for 10.5 h to give, after workup, compound (II) (X = H). II (X = F) showed min. inhibitory concentration of 0.25, 0.25, 1, and 1 μg/mL against methicillin-resistant Staphylococcus aureus, penicillin- and quinolone-resistant S. pneumoniae, S. pyogenes IID692, vancomycin- and quinolone-resistant Enterococcus faecium, and Moraxella catarrhalis ATCC25238, resp.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 57-14-7, N,N-Dimethylhydrazine 100-44-7, Benzyl chloride, reactions 121-46-0, 2,5-Norbornadiene 121-51-7, 3-Nitrobenzenesulfonyl chloride 124-63-0, Methanesulfonyl chloride 369-34-6, 3,4-Difluoronitrobenzene 501-53-1, Benzyl chloroformate 26628-22-8, Sodium azide 29569-85-5 37595-74-7, N-Phenylbis(trifluoromethanesulfonimide) 58479-61-1, tert-Butyldiphenylsilyl chloride 60456-26-0, (R)-Glycidyl butyrate 66684-58-0, 3,4,5-Trifluoronitrobenzene 73183-34-3 134575-17-0 252330-13-5 487041-08-7, (5R)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyloxazolidin-2-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aryloxazolidin-2-one derivs. as antibacterial agents)

IT 392659-98-2P 501939-70-4P 501939-71-5P 501939-95-3P 504435-65-8P 504435-66-9P 504436-16-2P 504436-78-6P 504437-06-3P 504437-27-8P 504437-37-0P 504437-38-1P 504437-74-5P 504437-90-5P 504438-19-1P 504438-20-4P 505028-23-9P 505028-24-0P 519003-01-1P 828252-95-5P 828252-96-6P 828252-97-7P 828252-99-9P 828253-00-5P 828915-27-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryloxazolidin-2-one derivs. as antibacterial agents)

L8 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:58198 CAPLUS
DOCUMENT NUMBER: 142:155938
TITLE: Preparation of cyclopropyl group substituted oxazolidinones as antibiotics
INVENTOR(S): Fukuda, Yasumichi
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005005420	A1	20050120	WO 2004-US20737		20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004256085	A1	20050120	AU 2004-256085		20040629
AU 2004256085	B2	20071206			
CA 2529293	A1	20050120	CA 2004-2529293		20040629
EP 1654259	A1	20060510	EP 2004-777199		20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK					
CN 1816545	A	20060809	CN 2004-80018905		20040629
JP 2007521283	T	20070802	JP 2006-517739		20040629
US 20070203187	A1	20070830	US 2007-655840		20070122
US 20070185132	A1	20070809	US 2007-559869		20070319
PRIORITY APPLN. INFO.:			US 2003-483904P	P	20030702
			US 2004-546980P	P	20040224
			US 2004-546984P	P	20040224
			US 2004-878637	A3	20040629
			WO 2004-US20737	W	20040629

OTHER SOURCE(S): CASREACT 142:155938; MARPAT 142:155938
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones I and II [wherein R1, R2 = independently H, NH2, CH3 and derivs., CHO and derivs., CONH2 and derivs., SO2H and derivs., (un)substituted heterocyclyl, etc.; Y, Z = (un)substituted arylene, heteroarylene; R1a = defined as R1 less H, V = O, H, OH, or halo; A = C or N with provisos; Rx = H, alkyl; R3 =NHC(:O)H and derivs., NHSO2H and derivs., (un)substituted NH-heteroaryl, etc.; B = (CH2)n; n = 0-1; and their enantiomers, diastereomers, or their pharmaceutically acceptable salts, esters, hydrates or prodrugs] are effective against aerobic and anaerobic pathogens such as multi-resistant Staphylococci, Streptococci and Enterococci, Bacteroides, Clostridia, as well as acid-fast organisms such as Mycobacterium tuberculosis, and other mycobacterial species. Thus, reacting N-[[(5S)-3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-yl]methyl]acetamide with bis(pinacolato)diboron, and Pd-coupling with 5-bromo-2-(1-cyanocyclopropan-1-yl)pyridine gave oxazolidinone III. The prepared oxazolidinones were tested for antibacterial activity against a variety of strains, such as Staphylococcus aureus, Streptococcus pneumoniae and Enterococcus faecium. III inhibited Staphylococcus aureus Smith in vitro with a min. inhibitory concentration of 0.06 μg/mL.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 501939-70-4P 501939-71-5P 501939-77-1P 501939-78-2P 501939-95-3P
501940-32-5P 504436-81-1P 504437-66-5P 519003-01-1P 827628-14-8P
827628-20-6P 827628-21-7P 827628-22-8P 827628-23-9P 827628-24-0P

827628-25-1P 827628-26-2P 827628-27-3P 827628-28-4P
827628-29-5P 827628-30-8P 827628-34-2P 827628-36-4P
827628-37-5P 827628-38-6P 827628-39-7P 827628-40-0P
827628-41-1P 827628-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of cyclopropyl-oxazolidinones as antibiotics)
IT 501-53-1, Benzyl chloroformate 658-07-1, 2,6-Difluoro-4-nitrophenol 766-11-0, 5-Bromo-2-fluoropyridine 5777-20-8, 3-Hydroxyisoxazole 18162-48-6, tert-Butyldimethylsilyl chloride 60456-26-0, (R)-Glycidyl butyrate 73183-34-3 104392-74-7 134575-17-0 144873-99-4, 2-Bromo-5-cyanomethylpyridine 149524-42-5, 5-(R)-3-(3-Fluorophenyl)-5-hydroxymethyloxazolidin-2-one 149524-45-8 252330-13-5 264600-97-7 312325-72-7 360773-84-8 487041-08-7, 5-(R)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyloxazolidin-2-one 501940-36-9 700370-33-8 827628-15-9 827628-16-0 827628-31-9 827628-32-0 827628-33-1 827628-35-3 827628-43-3 892450-12-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclopropyl-oxazolidinones as antibiotics)

L8 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:55212 CAPLUS
DOCUMENT NUMBER: 142:155937
TITLE: Preparation of cyclopropyl group substituted oxazolidinones as antibiotics
INVENTOR(S): Fukuda, Yasumichi
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005398	A2	20050120	WO 2004-US20734	20040629
WO 2005005398	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004256083	A1	20050120	AU 2004-256083	20040629
AU 2004256083	B2	20071011		
CA 2530140	A1	20050120	CA 2004-2530140	20040629
US 20050038092	A1	20050217	US 2004-878637	20040629
EP 1646629	A2	20060419	EP 2004-777196	20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1816548	A	20060809	CN 2004-80019102	20040629
BR 2004011688	A	20061226	BR 2004-11688	20040629

JP 2007521281	T	20070802	JP 2006-517736		20040629
MX 2006PA00228	A	20060627	MX 2006-PA228		20051221
NO 2006000558	A	20060202	NO 2006-558		20060202
US 20070203187	A1	20070830	US 2007-655840		20070122
IN 2008DN02477	A	20080606	IN 2008-DN2477		20080325
PRIORITY APPLN. INFO.:			US 2003-483904P	P	20030702
			US 2004-546984P	P	20040224
			US 2004-878637	A3	20040629
			WO 2004-US20734	W	20040629
			IN 2005-DN5837	A3	20051215

OTHER SOURCE(S): CASREACT 142:155937; MARPAT 142:155937
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones I and II [wherein R1 = H, CH3 and derivs., CHO and derivs., CN, (un)substituted heterocyclyl; Y = NH and derivs., O, CN, S, SO, SO2; A, B = (un)substituted arylene, heteroarylene, heterocyclylene, etc.; D = (CH2)n; n = 0-1; R3 = NH2 and derivs., aryl, NRC(:X2)H and derivs.; R = H, alkyl; X2 = O, S, NH, etc.; Z = substituted aromatic heterocyclic group containing 1 to 4 nitrogens and at least one double bond;; and their enantiomers, diastereomers, or their pharmaceutically acceptable salts, esters, hydrates or prodrugs] are effective against aerobic and anaerobic pathogens such as multi-resistant Staphylococci, Streptococci and Enterococci, Bacteroides, Clostridia, as well as acid-fast organisms such as Mycobacterium tuberculosis, and other mycobacterial species. Thus, II•HCl was prepared by reacting N-[5(S)-3-(4-iodophenyl)-2-oxooxazolidin-5-ylmethyl]acetamide (preparation given) with bis(pinacolato)diboron, Pd-coupling with 5-bromo-2-[(1α,5α,6β)-3-tert-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (preparation given), and BOC-deprotection. The prepared oxazolidinones were tested for antibacterial activity against a variety of strains, such as Staphylococcus aureus, Streptococcus pneumoniae and Enterococcus faecium. II inhibited Staphylococcus aureus Smith in vitro with a min. inhibitory concentration of 0.125 μg/mL.

IT 186393-22-6P 312325-72-7P 326597-62-0P 487041-08-7P, 5-(R)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyloxazolidin-2-one
501939-70-4P 501939-71-5P 501939-77-1P 501939-78-2P 501939-95-3P
501940-36-9P 504436-81-1P 504437-66-5P 519003-01-1P 537033-52-6P
700370-33-8P 827628-14-8P 827628-21-7P 827628-35-3P 827628-36-4P
827628-37-5P 827628-38-6P 827628-39-7P 827628-40-0P
827628-41-1P 831202-40-5P 831202-89-2P 831202-90-5P 831202-91-6P
831202-92-7P 831202-94-9P 831202-95-0P 831202-96-1P 831202-97-2P
831202-98-3P 831202-99-4P 831203-00-0P 831203-01-1P
831203-03-3P 831203-04-4P 831203-06-6P 831203-08-8P 831203-13-5P
831203-18-0P 831203-22-6P 831203-23-7P 831203-25-9P 831203-26-0P
831203-27-1P 831203-28-2P 831203-29-3P 831203-31-7P
831203-32-8P 831203-33-9P 831203-34-0P 831222-12-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of cyclopropyl-oxazolidinones as antibiotics)

IT 79-03-8, Propionyl chloride 109-01-3, N-Methylpiperazine 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 501-53-1, Benzyl chloroformate 574-98-1 624-28-2, 2,5-Dibromopyridine 658-07-1, 2,6-Difluoro-4-nitrophenol 1116-98-9, tert-Butyl cyanoacetate 1759-53-1, Cyclopropanecarboxylic acid 3976-75-8, cis-Tetrahydrothiophene-3,4-diol 4358-64-9

4876-59-9, 4-Dimethylaminopiperidine dihydrochloride 5365-14-0, 2,2-Dichlorocyclopropanecarboxylic acid 5414-19-7, Bis(2-bromoethyl) ether 5777-20-8, 3-Hydroxyisoxazole 13726-69-7 13831-31-7, Acetoxyacetyl chloride 15761-39-4 16532-79-9, 4-Bromophenylacetonitrile 18162-48-6, tert-Butyldimethylsilyl chloride 32779-36-5, 5-Bromo-2-chloropyrimidine 57260-71-6, 1-tert-Butoxycarbonylpiperazine 60456-26-0, (R)-Glycidyl butyrate 65007-00-3, 2-Pyridyl trifluoromethanesulfonate 73183-34-3 73286-70-1 88950-64-5 89727-88-8 91257-99-7 104392-74-7 107873-03-0, 2,2-Difluorocyclopropanecarboxylic acid 114897-91-5, 4-Bromo-2-fluorophenylacetonitrile 139585-70-9, 2-Bromo-5-cyanopyridine 149524-42-5, 5-(R)-3-(3-Fluorophenyl)-5-hydroxymethyloxazolidin-2-one 149524-45-8 156772-63-3 160005-43-6 167010-27-7 202585-79-3 203866-13-1 252330-13-5 264600-97-7 380380-63-2 515863-85-1 831202-93-8 831203-02-2 831203-12-4 831203-16-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclopropyl-oxazolidinones as antibiotics)

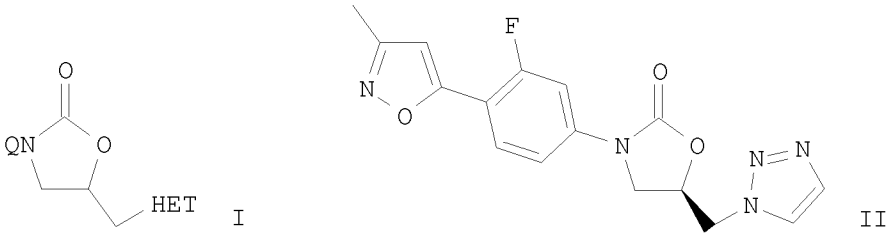
IT 627541-90-6P 831203-05-5P 831203-09-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclopropyl-oxazolidinones as antibiotics)

L8 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:799584 CAPLUS
DOCUMENT NUMBER: 141:296028
TITLE: Preparation of azolylmethyloxazolidinones as antibacterials.
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083206	A1	20040930	WO 2004-GB1132	20040316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1603903	A1	20051214	EP 2004-720909	20040316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006520775	T	20060914	JP 2006-505972	20040316
US 20060079695	A1	20060413	US 2005-550038	20050921
US 7186738	B2	20070306		

PRIORITY APPLN. INFO.:	GB 2003-6357	A	20030320
	WO 2004-GB1132	W	20040316

OTHER SOURCE(S): MARPAT 141:296028
GI



I II

AB Title compds. [I; HET = pyrazolyl, imidazolyl, triazolyl, tetrazolyl; Q = (substituted) azolylphenyl, azolylpyridinyl, azolyloxazolyl, azolylthiazolyl, etc.], were prepared Thus, (R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given), (PPh3)2PdCl2, and 5-tributylstannyl-3-methylisoxazole were heated together at 100° in dioxane for 16 h to give title compound (II). II showed a min. inhibitory concentration of 1 μg/mL against Staphylococcus aureus MSQS (methicillin resistant and quinolone resistant).
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 60-34-4, Methylhydrazine 121-46-0, Bicyclo[2.2.1]hepta-2,5-diene 122-04-3, 4-Nitrobenzoyl chloride 501-53-1, Benzyl chloroformate 590-17-0, Bromoacetonitrile 1066-54-2, Trimethylsilylacetylene 2101-87-3, 1-Azido-4-methoxybenzene 10160-87-9, 3,3-Diethoxyprop-1-yne 60456-26-0 117924-33-1, Di-tert-butyl N,N-diethylphosphoramidite 126085-89-0 126085-91-4, Ethyl 5-tributylstannylisoxazole-3-carboxylate 149524-42-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azolylmethyloxazolidinones as antibacterials)
IT 52898-51-8P 487041-08-7P 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P 519003-01-1P 765287-07-8P 765287-09-0P 765287-10-3P 765287-11-4P 765287-12-5P 765287-13-6P 765287-14-7P 765287-15-8P 765287-16-9P 765287-17-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azolylmethyloxazolidinones as antibacterials)

L8 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:783252 CAPLUS
DOCUMENT NUMBER: 141:420280
TITLE: An in vitro assay for evaluation of small-molecule inhibitors of cholesterol absorption
AUTHOR(S): Kvaerno, Lisbet; Ritter, Tobias; Werder, Moritz; Hauser, Helmut; Carreira, Erick M.
CORPORATE SOURCE: Laboratorium fuer Organische Chemie, ETH Hoenggerberg, Zurich, 8093, Switz.
SOURCE: Angewandte Chemie, International Edition (2004), 43(35), 4653-4656
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:420280
AB An intestinal brush border membrane vesicle assay has been devised for the convenient in vitro testing of small mols. for inhibition of cholesterol

absorption. The assay was used to identify new nonhydrolyzable glycosides as potent cholesterol-absorption inhibitors and an oxazolidinone as an effective replacement of the β-lactam scaffold of ezetimibe.

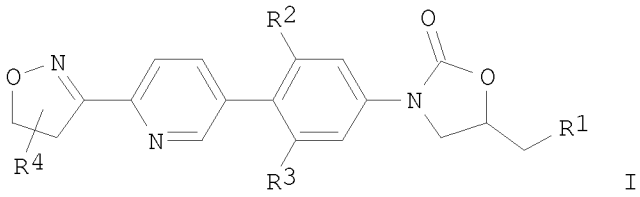
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 3082-96-0P 10343-06-3P 53008-65-4P 74808-10-9P 89064-71-1P
92420-89-8P 130703-21-8P 163380-20-9P 190448-46-5P 190448-61-4P
190448-62-5P 795306-53-5P 795306-55-7P 795306-58-0P 795306-59-1P
795306-61-5P 795306-66-0P 795306-68-2P 795306-73-9P 795306-75-1P
795306-79-5P 795306-81-9P 795306-82-0P 795306-87-5P 795306-88-6P
795306-89-7P 795306-91-1P 795306-92-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in vitro assay for evaluation of small-mol. inhibitors of cholesterol absorption)

L8 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:756715 CAPLUS
DOCUMENT NUMBER: 141:260739
TITLE: Preparation of hydroxymethyl dihydroisoxazole derivatives useful as antibiotic agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Carcanague, Daniel Robert
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004078753	A1	20040916	WO 2004-GB730		20040224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004218206	A1	20040916	AU 2004-218206		20040224
CA 2517706	A1	20040916	CA 2004-2517706		20040224
EP 1599471	A1	20051130	EP 2004-713945		20040224
EP 1599471	B1	20080528			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004007835	A	20060214	BR 2004-7835		20040224
CN 1753888	A	20060329	CN 2004-80005423		20040224
JP 2006519247	T	20060824	JP 2006-505893		20040224
IN 2005DN03554	A	20070824	IN 2005-DN3554		20050810
US 20060270637	A1	20061130	US 2005-546373		20050819
US 7192974	B2	20070320			
ZA 2005006789	A	20060531	ZA 2005-6789		20050824
MX 2005PA09205	A	20051018	MX 2005-PA9205		20050829
NO 2005004523	A	20051201	NO 2005-4523		20050930
PRIORITY APPLN. INFO.:			GB 2003-4723	A	20030301
			GB 2003-18607	A	20030808
			WO 2004-GB730	W	20040224

OTHER SOURCE(S): MARPAT 141:260739
GI



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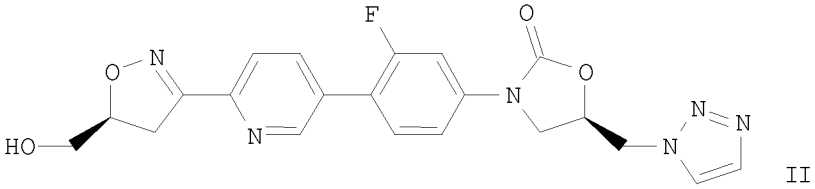

II

AB The title compds. I [R1 = -NH(C=W)R5 or (substituted)1,2,3-Triazolyl; W = O or S; R2, R3 = H, F, Cl, CF3, OMe, SMe, and Et; R5 = H, alkyl, Me, 5-halo-2-thienyl, etc.; R4 = hydroxymethyl] were prepared as antibacterial agents. For example, compound II was prepared from (5R)-3-(3-fluorophenyl)-5-hydroxymethyloxazolidin-2-one in a multi-step synthesis. Antibacterial properties of II against several types of bacteria were determined [MIC(μg/mL): methicillin sensitive and quinolone sensitive staphylococcus aureus (0.25), methicillin resistant and quinolone resistant staphylococcus aureus (0.5), streptococcus pneumoniae (0.02), haemophilus influenza (4), and Moraxella catarrhalis (0.5)].

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 56-40-6, Glycine, reactions 56-41-7, Alanine, reactions 56-87-1, Lysine, reactions 61-90-5, Leucine, reactions 63-91-2, Phenylalanine, reactions 67-48-1, Choline chloride 72-18-4, Valine, reactions 73-32-5, Iso-leucine, reactions 79-22-1, Methylchloroformate 98-97-5, Pyrazine-2-carboxylic acid 107-19-7, Propargyl alcohol 107-97-1, Sarcosine 108-30-5, Dihydrofuran-2,5-dione, reactions 108-55-4, Glutaric anhydride 147-85-3, Proline, reactions 507-09-5, Thioacetic acid, reactions 625-45-6, Methoxyacetic acid 626-86-8, Adipic acid monoethyl ester 627-95-2 628-12-6, 2-Methoxyethyl chloroformate 931-20-4, 1-Methyl-2-piperidone 1118-68-9, N,N-Dimethylglycine 1589-49-7, 3-Methoxy-1-propanol 1676-90-0 2051-78-7, Allyl butyrate 2398-81-4, Nicotinic acid 1-oxide 2544-06-1, 3-Methoxypropionic acid 2556-73-2, N-Methyl-caprolactam 3303-84-2, N-(tert-Butoxycarbonyl)-β-alanine 3970-21-6, 2-Methoxyethoxymethyl chloride 4125-98-8, N-Methyl isoleucine 4530-20-5, N-(tert-Butoxycarbonyl)-glycine 4595-61-3, Pyrimidine-5-carboxylic acid 6283-74-5 6976-17-6, 4-(Methylamino)butyric acid hydrochloride 13139-15-6, N-(tert-Butoxycarbonyl)-L-leucine 13139-16-7, N-(tert-Butoxycarbonyl)-L-isoleucine 13149-00-3, cis-Hexahydrophthalic anhydride 13726-85-7, N-(tert-Butoxycarbonyl)-L-glutamine 13734-34-4, N-(tert-Butoxycarbonyl)-L-phenylalanine 13734-41-3, N-(tert-Butoxycarbonyl)-L-valine

15674-67-6, N,N-Diethyl-β-alanine hydrochloride 15761-38-3, N-(tert-Butoxycarbonyl)-L-alanine 20260-53-1, Nicotinoyl chloride hydrochloride 25015-63-8, Pinacolborane 28322-92-1, Sulfur trioxide pyridine complex 31181-90-5, 5-Bromo-pyridine-2-carbaldehyde 34582-32-6 34619-03-9 52498-32-5 66693-99-0 69954-66-1, 4-(Dimethylamino)butanoic acid hydrochloride 73183-34-3 74808-10-9 84358-13-4 98137-58-7 149524-42-5 756874-07-4 756874-19-8 756874-20-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

IT 21382-30-9P 26410-96-8P 124073-08-1P 131177-90-7P 149524-45-8P 487041-08-7P 501939-52-2P 501939-53-3P 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P 504437-66-5P 519003-01-1P 700370-33-8P 700370-36-1P 700370-37-2P 700370-39-4P 700370-40-7P 702680-55-5P 702682-53-9P 702682-67-5P 756874-06-3P 756874-08-5P 756874-09-6P 756874-11-0P 756874-12-1P 756874-13-2P 756874-14-3P 756874-15-4P 756874-16-5P 756874-17-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

L8 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:609963 CAPLUS
DOCUMENT NUMBER: 141:140424
TITLE: Preparation of 3-aryl-2-oxo-5-oxazolidinecarboxamides and analogs as antibacterial agents
INVENTOR(S): Thomas, Richard Charles; Poel, Toni-jo; Barbachyn, Michael Robert; Gordeev, Mikhail Fedor; Luehr, Gary W.; Renslo, Adam; Singh, Upinder; Josyula, Vara Prasad Venkata Nagendra
PATENT ASSIGNEE(S): Pfizer, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 169 pp., Cont.-in-part of U.S. Ser. No. 373,286.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20040147760	A1	20040729	US 2003-646440		20030822
US 7141588	B2	20061128			
US 20040044052	A1	20040304	US 2003-373286		20030224
US 6919329	B2	20050719			
US 20070015801	A1	20070118	US 2006-524754		20060921
PRIORITY APPLN. INFO.:			US 2002-359495P	P	20020225
			US 2003-373286	A2	20030224
			US 2003-646440	A3	20030822

OTHER SOURCE(S): MARPAT 141:140424
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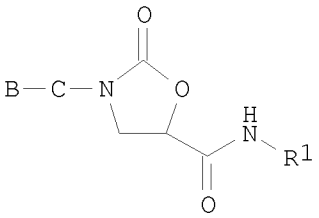

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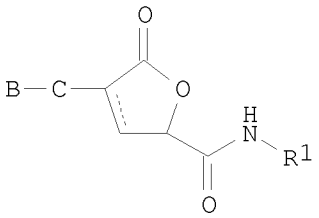

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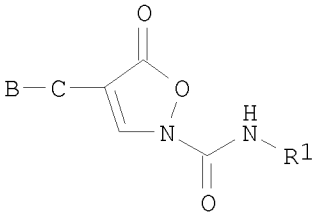
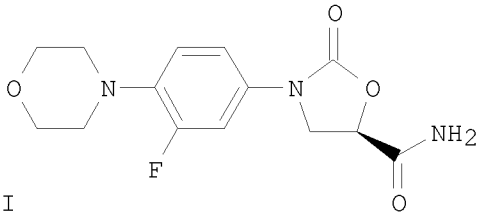


III



IV

AB Title compds. of formula I, II, and III [wherein B = (un)substituted cycloalkyl, cycloalkenyl, aryl, or heterocyclyl; C = (hetero)aryl (un)substituted with 1-3 R2; or BC = (un)substituted bicyclic heterocycle; R1 = H, OH, cycloalkyl, NH2, or (un)substituted alkyl, alkoxy, or alkenyl; R2 = independently H, NH2, NO2, CN, halo, or (un)substituted alkyl; with provisos; and pharmaceutically acceptable salts thereof] were prepared as antibacterial agents. Examples include syntheses and biol. data for 91 compds. For instance, benzyl 3-fluoro-4-(4-morpholinyl)phenylcarbamate was stirred with BuLi in THF at -78° for 30 min. Cycloaddn. with potassium (2R)-glycidate gave the 2-oxo-5-oxazolidinecarboxylic acid, which was amidated using oxalyl chloride and ammonium to afford (R)-(-)-IV. Compds. of the invention inhibited growth of Staphylococcus aureus with MIC values ranging from 0.5 μg/mL to 16 μg/mL. Thus, I, II, and III and their pharmaceutical compns. are useful for the treatment of microbial infections.

REFERENCE COUNT: 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 487041-12-3P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-pyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-18-9P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-38-3P, (5R)-(-)-3-[3-Fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S-oxide 487041-40-7P, (5R)-(-)-3-[3,5-Difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S-oxide 590421-69-5P, (5R)-3-[4-(4-Oxo-3,4-dihydro-1(2H)-pyridinyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antibacterial agent; preparation of aryloxazolidinecarboxamides and analogs as antibacterial agents)

IT 487040-98-2P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 487041-01-0P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-methyl-2-oxooxazolidine-5-carboxamide 487041-02-1P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-allyl-2-oxooxazolidine-5-carboxamide 487041-03-2P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-propyl-2-oxooxazolidine-5-carboxamide 487041-04-3P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-methoxy-2-oxooxazolidine-5-carboxamide

487041-05-4P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-hydroxy-2-oxooxazolidine-5-carboxamide 487041-07-6P, (5R)-(-)-3-[4-(3-Pyridyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-11-2P, (5R)-(-)-3-[4-(4-Pyridyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-14-5P, (5R)-(-)-3-[4-(Tetrahydro-2H-pyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-15-6P, (5R)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S-oxide 487041-19-0P , (5R)-(-)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-20-3P, (5R)-(-)-3-[3-Fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxooxazolidine-5-carboxamide 487041-26-9P, (5R)-(-)-3-[4-(Thiomorpholin-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-28-1P, (5R)-(-)-3-[3,5-Difluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 487041-31-6P, (5R)-(-)-3-[4-(Thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-35-0P, (5R)-(-)-3-[3-Fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-45-2P, (5R)-(-)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 590420-65-8P, (5R)-(-)-3-(2,3-Dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-67-0P, (5R)-(-)-3-(2,3-Dihydro-3-ethyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-70-5P, (5R)-(-)-3-(2,3-Dihydro-3-isopropyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-72-7P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-73-8P, (5R)-(-)-N-Ethyl-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-74-9P, (5R)-(-)-N-(2-Hydroxyethyl)-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-75-0P, (5R)-N-(2-Fluoroethyl)-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-76-1P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-ethyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-77-2P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-isopropyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-78-3P, (5R)-(-)-3-(2,3-Dihydro-3-methyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590420-81-8P, (5R)-(-)-3-(2,3-Dihydro-3-ethyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590420-83-0P, (5R)-(-)-3-(2,3-Dihydro-3-isopropyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590420-87-4P, (5R)-(-)-N-Methyl-3-[4-(Tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 590420-88-5P, (5R)-(-)-N-Methyl-3-[3,5-difluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590420-89-6P, (5R)-(-)-N-Methyl-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S-oxide 590420-92-1P 590420-94-3P, (5R)-3-[3,5-Difluoro-4-(Tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 590421-05-9P 590421-07-1P 590421-09-3P 590421-10-6P, (5R)-3-((2R)-2,3-Dihydro-1-formyl-2-methyl-1H-indol-5-yl)-2-oxooxazolidine-5-carboxamide 590421-17-3P, (5R)-3-[(2R)-2,3-Dihydro-1-(hydroxyacetyl)-2-methyl-1H-indol-5-yl]-2-oxooxazolidine-5-carboxamide 590421-20-8P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-methyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590421-21-9P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-ethyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590421-22-0P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-isopropyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590421-23-1P, (5R)-3-[4-(5,7-Dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-25-3P, (5R)-N-Methyl-3-[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-26-4P 590421-31-1P

, (5R)-(-)-3-[3,5-Difluoro-4-[1-(methoxycarbonyl)-3-methylazetidin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 590421-40-2P, (5R)-(-)-N-Methyl-3-[3,5-difluoro-4-[1-(methoxycarbonyl)-3-methylazetidin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 590421-41-3P, (5R)-3-(3,4-Dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-43-5P, (5R)-N-Methyl-3-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-44-6P, (5R)-N-(2-Fluoroethyl)-3-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-45-7P, (5R)-3-(3,4-Dihydro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-48-0P, (5R)-N-Methyl-3-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-49-1P, (5R)-3-[3-Fluoro-4-(5-oxo-5,6-dihydro-4H-[1,3,4]thiadiazin-2-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-57-1P, (5R)-3-[4-(1,1-Dioxido-2,3-dihydro-4H-1,4-thiazin-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-60-6P, (5R)-3-[4-(2,5-Dihydro-1H-pyrrol-1-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-65-1P, (5R)-3-(1-Methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 590421-68-4P, (5R)-N-Methyl-3-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 590421-75-3P, (5R)-N-Methyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-76-4P, (5R)-N-Ethyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-77-5P, (5R)-3-[4-(4-Oxo-3,4-dihydro-1(2H)-pyridinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-83-3P, (5R)-N-Methyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-84-4P, (5R)-N-Ethyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-85-5P, (5R)-N-(2-Fluoroethyl)-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-86-6P, (5R)-3-[4-(4-Oxo-3,4-dihydro-1(2H)-pyridinyl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-92-4P, (5R)-N-Methyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-93-5P, (5R)-N-Ethyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-94-6P, (5R)-3-[4-[3,4-Dihydro-4-(hydroxyimino)-2H-pyridin-1-yl]-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-95-7P, (5R)-3-(2,2-Difluoro-4-methyl-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-00-7P, (5R)-N-Methyl-3-(2,2-difluoro-4-methyl-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-01-8P, (5R)-3-(8-Fluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 590422-07-4P, (5R)-N-Methyl-3-(8-fluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 590422-08-5P, (5R)-3-(4-Methyl-3-thioxo-3,4-dihydro-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-10-9P 590422-11-0P, (5R)-3-(4-Fluoro-3-methyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590422-19-8P, (5R)-3-(3-Ethyl-4-fluoro-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590422-24-5P, (5R)-3-(4-Fluoro-3-isopropyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590422-29-0P, (5R)-3-(4-Fluoro-3-methyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-N-methyl-2-oxooxazolidine-5-carboxamide 590422-30-3P, (5R)-3-(3-Ethyl-4-fluoro-2-oxo-2,3-dihydro-6-benzoxazolyl)-N-methyl-2-oxooxazolidine-5-carboxamide 590422-31-4P, (5R)-3-(4-Fluoro-3-isopropyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-N-methyl-2-oxooxazolidine-5-carboxamide 590422-32-5P 590422-33-6P 590422-34-7P 590422-35-8P 590422-36-9P 590422-37-0P 590422-38-1P 590422-39-2P 590422-40-5P

590422-41-6P, (5R)-3-[3-Fluoro-4-(1-imino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-42-7P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-imino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-43-8P, (5R)-3-[3-Fluoro-4-(1-methylimino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-44-9P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-methylimino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-45-0P, (5R)-3-[3,5-Difluoro-4-(1-imino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-46-1P, (5R)-N-Methyl-3-[3,5-Difluoro-4-(1-imino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-47-2P, (5R)-3-[3,5-Difluoro-4-(1-methylimino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-48-3P, (5R)-N-Methyl-3-[3,5-Difluoro-4-(1-methylimino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-49-4P, (5R)-3-(2,3-Dihydro-3-methyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-50-7P, (5R)-N-Methyl-3-(2,3-dihydro-3-methyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-51-8P, (5R)-3-(2,3-Dihydro-3-ethyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-52-9P, (5R)-N-Methyl-3-(2,3-dihydro-3-ethyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-53-0P, (5R)-3-(2,3-Dihydro-3-isopropyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-54-1P, (5R)-N-Methyl-3-(2,3-dihydro-3-isopropyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-55-2P, (5R)-3-[3,5-Difluoro-4-(1-formyl-3-methylazetidin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-56-3P, (5R)-N-Methyl-3-[3,5-difluoro-4-(1-formyl-3-methylazetidin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-57-4P, (5R)-3-[3-Fluoro-4-(1-formyl-3-methylazetidin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-58-5P, (5R)-N-Methyl-3-[3-fluoro-4-(1-formyl-3-methylazetidin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-59-6P, (5R)-3-(3,4-Dihydro-5-fluoro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-60-9P, (5R)-N-Methyl-3-(3,4-dihydro-5-fluoro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-61-0P, (5R)-3-(3,4-Dihydro-5-fluoro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-62-1P, (5R)-N-Methyl-3-(3,4-dihydro-5-fluoro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-63-2P, (5R)-3-(2-Formyl-2,3,4,5-tetrahydro-1H-2-benzazepin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-64-3P, (5R)-N-Methyl-3-(2-formyl-2,3,4,5-tetrahydro-1H-2-benzazepin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-65-4P, (5R)-3-[2-(Hydroxyacetyl)-2,3,4,5-tetrahydro-1H-2-benzazepin-7-yl]-2-oxooxazolidine-5-carboxamide 590422-66-5P, (5R)-N-Methyl-3-[2-(hydroxyacetyl)-2,3,4,5-tetrahydro-1H-2-benzazepin-7-yl]-2-oxooxazolidine-5-carboxamide 590422-67-6P, (5R)-3-(3-Formyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-68-7P, (5R)-N-Methyl-3-(3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-69-8P, (5R)-3-[3-(Hydroxyacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxooxazolidine-5-carboxamide 590422-70-1P, (5R)-N-Methyl-3-[3-(hydroxyacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxooxazolidine-5-carboxamide 590422-71-2P 590422-72-3P 590422-73-4P 590422-74-5P 590422-75-6P 590422-76-7P 590422-77-8P 590422-78-9P 591233-29-3P 591233-31-7P 591233-33-9P 591233-35-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(antibacterial agent; preparation of aryloxazolidinecarboxamides and analogs as antibacterial agents)

IT 21762-75-4P, 3,4-Dihydro-7-nitro-2H-1,4-benzothiazin-3-one 22246-16-8P, 6-Nitro-3,4-dihydro-1H-quinolin-2-one 23451-98-1P, 2-Amino-5-nitrobenzenethiol 23499-01-6P, 1-(4-Nitrophenyl)piperidin-4-one 25203-34-3P, 2-Methylpropyl 4-bromophenylcarbamate 32418-07-8P, 6-Nitro-3-ethyl-3H-benzoxazol-2-one 32418-08-9P, 6-Nitro-3-isopropyl-3H-benzoxazol-2-one 53981-23-0P, 2-Amino-3-fluorophenol 57334-19-7P, 6-Amino-3-methyl-3H-benzothiazol-2-one 59020-09-6P, 3-(Trimethylstannyl)pyridine 60471-27-4P, 6-Nitro-3-ethyl-3H-benzothiazol-2-one 60471-30-9P, 6-Amino-3-ethyl-3H-benzothiazol-2-one 99584-10-8P, 6-Amino-3-methyl-3H-benzoxazol-2-one 101084-61-1P, 6-Nitro-3-methyl-3H-benzoxazol-2-one 141068-81-7P, 4-Methyl-7-amino-2H-1,4-benzoxazin-3-one 160564-65-8P, 2-(Benzyloxy)-6-fluoroaniline 160564-66-9P, 2-(Benzyloxy)-6-fluorobenzamide 184159-06-6P, 6-Nitro-3-isopropyl-3H-benzothiazol-2-one 184159-07-7P, 6-Amino-3-isopropyl-3H-benzothiazol-2-one 184159-08-8P, 6-Amino-3-ethyl-3H-benzoxazol-2-one 233775-29-6P, 1-Methyl-6-nitro-3,4-dihydro-1H-quinolin-2-one 233775-30-9P, 6-Amino-1-methyl-3,4-dihydro-1H-quinolin-2-one 233775-40-1P, 3,4-Dihydro-4-methyl-7-nitro-2H-1,4-benzothiazin-3-one 233775-44-5P, 3,4-Dihydro-4-methyl-7-amino-2H-1,4-benzothiazin-3-one 288570-78-5P, 2-Methylpropyl [3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]carbamate 288570-82-1P, 2-Methylpropyl [4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]carbamate 371195-41-4P, 4-(3,6-Dihydro-2H-thiopyran-4-yl)-3,5-difluorobenzenamine 383199-85-7P, 4-(2,6-Difluoro-4-nitrophenyl)thiomorpholine 383199-89-1P, 4-(2,6-Difluorophenyl)thiomorpholine 1,1-dioxide 383199-90-4P, 4-(2,6-Difluoro-4-nitrophenyl)thiomorpholine 1,1-dioxide 383199-91-5P, 4-(1,1-Dioxido-4-thiomorpholinyl)-3,5-difluoroaniline 439097-58-2P, 1-(2-Fluoro-4-nitrophenyl)piperidin-4-one 470710-70-4P, 3-Fluoro-4-(tetrahydro-2H-thiopyran-4-yl)benzenamine 473871-38-4P, Isobutyl [4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]carbamate 487040-99-3P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylic acid 487041-00-9P, (5R)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carbonyl chloride 487041-06-5P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-benzyloxy-2-oxooxazolidine-5-carboxamide 487041-08-7P, (5R)-(-)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyl-2-oxazolidinone 487041-09-8P, (-)-Methyl (5R)-3-(3-fluoro-4-iodophenyl)-2-oxooxazolidine-5-carboxylate 487041-10-1P, (5R)-(-)-3-(3-Fluoro-4-iodophenyl)-2-oxooxazolidine-5-carboxamide 487041-13-4P, (5R)-3-[4-(Trimethylstannyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-16-7P, (-)-Methyl (5R)-3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxylate 487041-17-8P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-21-4P, (-)-Phenylmethyl 4-[4-[(5R)-5-(aminocarbonyl)-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinecarboxylate 487041-22-5P, 1-(Phenylmethyl)-4-[4-((5R)-5-carboxy-2-oxo-3-oxazolidinyl)-2-fluorophenyl]-1-piperazinecarboxylate 487041-23-6P, Phenylmethyl 4-[2-fluoro-4-[(5R)-5-(methoxycarbonyl)-2-oxo-3-oxazolidinyl]phenyl]-1-piperazinecarboxylate 487041-24-7P, (5R)-3-[3-Fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxooxazolidine-5-carboxamide 487041-25-8P, (5R)-3-[3-Fluoro-4-(piperazin-1-yl)phenyl]-2-oxooxazolidine-5-carboxamide 487041-27-0P, Ethyl (5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-29-2P, Butyl (5R)-3-[3,5-difluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-30-5P 487041-32-7P, Butyl (5R)-3-[4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-33-8P 487041-34-9P, Butyl (5R)-3-[4-

(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-36-1P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-37-2P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-39-4P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S-oxide 487041-41-8P, Butyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-42-9P, Butyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S-oxide 487041-43-0P, Butyl (5R)-3-[3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-47-4P, 2-Methylpropyl [4-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)phenyl]carbamate 487041-48-5P, 2-Methylpropyl [4-(tetrahydro-2H-thiopyran-4-yl)phenyl]carbamate 487041-49-6P, 4-(Tetrahydro-2H-thiopyran-4-yl)benzenamine 487041-50-9P, Butyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-51-0P, Butyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-52-1P , (5R)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-hydroxymethyl-2-oxazolidinone S,S-dioxide 487041-53-2P, Methyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 565459-83-8P, 3,5-Difluoro-4-(4-thiomorpholinyl)aniline 565459-90-7P, 1-(2,6-Difluoro-4-nitrophenyl)piperidin-4-one 590420-63-6P 590420-64-7P 590420-66-9P, Butyl (5R)-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxylate 590420-68-1P, Butyl (5R)-3-(2,3-dihydro-3-ethyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxylate 590420-69-2P 590420-71-6P, Methyl (5R)-3-(2,3-dihydro-3-isopropyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxylate 590420-79-4P, Butyl (5R)-3-(2,3-dihydro-3-methyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590420-80-7P 590420-82-9P, Butyl (5R)-3-(2,3-dihydro-3-ethyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590420-84-1P, 2-(Isopropylamino)-5-nitrophenol 590420-85-2P, 6-Amino-3-isopropyl-3H-benzoxazol-2-one 590420-86-3P, Butyl (5R)-3-(2,3-dihydro-3-isopropyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590420-90-9P, Methyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 590420-91-0P, Methyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S-oxide 590420-93-2P, Methyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 590420-95-4P, 1-(3,5-Difluorophenyl)-2,5-dimethyl-1H-pyrrole 590420-96-5P, 4-[4-(2,5-Dimethyl-1H-pyrrol-1-yl)-2,6-difluorophenyl]tetrahydro-2H-thiopyran-4-ol 590420-97-6P, 1-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-2,5-dimethyl-1H-pyrrole 590420-98-7P, Isobutyl [4-(3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]carbamate 590420-99-8P, Isobutyl [4-(tetrahydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]carbamate 590421-00-4P 590421-01-5P, Isobutyl [4-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)-3,5-difluorophenyl]carbamate 590421-02-6P, 3,5-Difluoro-4-(tetrahydro-2H-thiopyran-4-yl)benzenamine 590421-03-7P, Butyl (5R)-3-[3,5-difluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 590421-04-8P, Butyl (5R)-3-[3,5-difluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 590421-06-0P 590421-08-2P 590421-11-7P, (2R)-2,3-Dihydro-2-methyl-1H-indol-5-amine 590421-12-8P, (2R)-5-(2,5-Dimethyl-1H-pyrrol-1-yl)-2,3-dihydro-2-methyl-1H-indole 590421-13-9P, Phenylmethyl (2R)-5-(2,5-dimethyl-1H-pyrrol-1-yl)-2,3-dihydro-2-methyl-1H-indole-1-carboxylate 590421-14-0P, Phenylmethyl (2R)-5-amino-2,3-dihydro-2-methyl-1H-indole-1-carboxylate 590421-15-1P, Phenylmethyl (2R)-2,3-dihydro-5-[(5R)-5-(methoxycarbonyl)-2-oxo-3-oxazolidinyl]-2-methyl-1H-indole-1-carboxylate 590421-16-2P, Methyl (5R)-3-((2R)-2,3-dihydro-1-formyl-2-methyl-1H-indol-5-yl)-2-oxooxazolidine-5-carboxylate 590421-18-4P, Methyl (5R)-3-[(2R)-2,3-dihydro-1-

[(phenylmethoxy)acetyl]-2-methyl-1H-indol-5-yl]-2-oxooxazolidine-5-carboxylate 590421-19-5P, Methyl (5R)-3-[(2R)-2,3-dihydro-1-(hydroxyacetyl)-2-methyl-1H-indol-5-yl]-2-oxooxazolidine-5-carboxylate 590421-24-2P, Methyl (5R)-3-[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxylate 590421-27-5P 590421-28-6P 590421-29-7P 590421-30-0P 590421-32-2P, Ethyl 2-cyano-2-(4-nitro-2,6-difluorophenyl)propionate 590421-33-3P, 3-Methyl-3-(4-amino-2,6-difluorophenyl)azetidin-2-one 590421-34-4P 590421-35-5P 590421-36-6P, Methyl 3-(4-amino-2,6-difluorophenyl)-3-methylazetidine-1-carboxylate 590421-37-7P 590421-38-8P, Methyl (5R)-3-[3,5-difluoro-4-[1-(methoxycarbonyl)-3-methylazetidin-3-yl]phenyl]-2-oxooxazolidine-5-carboxylate 590421-39-9P 590421-42-4P, (5R)-3-(3,4-Dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590421-46-8P, (5R)-3-(3,4-Dihydro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590421-47-9P 590421-50-4P 590421-51-5P 590421-52-6P, [[(tert-Butoxycarbonylhydrazono)(2-fluoro-4-nitrophenyl)methyl]sulfanyl]acetic acid methyl ester 590421-53-7P, 2-(2-Fluoro-4-nitrophenyl)-4H-[1,3,4]thiadiazin-5-one 590421-54-8P, 2-(4-Amino-2-fluorophenyl)-4H-[1,3,4]thiadiazin-5-one 590421-55-9P, (5R)-3-[3-Fluoro-4-(5-oxo-5,6-dihydro-4H-[1,3,4]thiadiazin-2-yl)phenyl]-2-oxooxazolidine-5-carboxylic acid butyl ester 590421-56-0P 590421-58-2P, Methyl (2R)-3-[[4-(1,1-dioxidothiomorpholin-4-yl)-3,5-difluorophenyl]amino]-2-hydroxypropanoate 590421-59-3P, Methyl (5R)-3-[4-(1,1-dioxido-2,3-dihydro-4H-1,4-thiazin-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxylate 590421-61-7P, 1-(2,6-Difluoro-4-nitrophenyl)-2,5-dihydro-1H-pyrrole 590421-62-8P, 4-(2,5-Dihydro-1H-pyrrol-1-yl)-3,5-difluoroaniline 590421-63-9P, Methyl (2R)-3-[[4-(2,5-dihydro-1H-pyrrol-1-yl)-3,5-difluorophenyl]amino]-2-hydroxypropanoate 590421-64-0P, Methyl (5R)-3-[4-(2,5-dihydro-1H-pyrrol-1-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxylate 590421-66-2P, (5R)-3-(1-Methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590421-67-3P 590421-70-8P, 1-(2-Fluoro-4-nitrophenyl)-4-[(trimethylsilyl)oxy]-1,2,3,6-tetrahydropyridine 590421-71-9P, 1-(2-Fluoro-4-nitrophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-72-0P, 1-(4-Amino-2-fluorophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-73-1P, (R)-3-[[3-Fluoro-4-(4-oxo-3,4-dihydro-2H-pyridin-1-yl)phenyl]amino]-2-hydroxypropionic acid ethyl ester 590421-74-2P 590421-78-6P, 1-(4-Nitrophenyl)-4-(triisopropylsilanyloxy)-1,2,3,6-tetrahydropyridine 590421-79-7P, 1-(4-Nitrophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-80-0P, 1-(4-Aminophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-81-1P, (5R)-3-[4-(4-Oxo-3,4-dihydro-2H-pyridin-1-yl)phenyl]-2-oxooxazolidine-5-carboxylic acid ethyl ester 590421-82-2P 590421-87-7P, 1-(2,6-Difluoro-4-nitrophenyl)-4-(triisopropylsilanyloxy)-1,2,3,6-tetrahydropyridine 590421-88-8P, 1-(2,6-Difluoro-4-nitrophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-89-9P, 1-(4-Amino-2,6-fluorophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-90-2P, (5R)-3-[3,5-Difluoro-4-(4-oxo-3,4-dihydro-2H-pyridin-1-yl)phenyl]-2-oxooxazolidine-5-carboxylic acid butyl ester 590421-91-3P 590421-96-8P, 2,2-Difluoro-4-methyl-7-nitro-4H-1,4-benzoxazin-3-one 590421-97-9P, 2,2-Difluoro-4-methyl-7-amino-4H-1,4-benzoxazin-3-one 590421-98-0P, (5R)-3-(2,2-Difluoro-4-methyl-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590421-99-1P 590422-02-9P, 8-Fluoro-6-nitro-3,4-dihydro-1H-quinolin-2-one 590422-03-0P, 8-Fluoro-1-methyl-6-nitro-3,4-dihydro-1H-quinolin-2-one 590422-04-1P, 6-Amino-8-fluoro-1-methyl-3,4-dihydro-1H-quinolin-2-one 590422-05-2P, (R)-3-[(8-Fluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)amino]-2-hydroxypropionic acid methyl ester 590422-06-3P, (5R)-3-(8-Fluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590422-09-6P,

(5R)-3-(3,4-Dihydro-4-methyl-3-thioxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590422-12-1P, 4-Fluoro-1,3-benzoxazol-2(3H)-one 590422-13-2P, 4-Fluoro-6-nitro-1,3-benzoxazol-2(3H)-one 590422-15-4P, 4-Fluoro-3-methyl-6-nitro-1,3-benzoxazol-2(3H)-one 590422-16-5P, 6-Amino-4-fluoro-3-methyl-1,3-benzoxazol-2(3H)-one 590422-17-6P, Methyl (2R)-3-[(4-fluoro-3-methyl-2-oxo-2,3-dihydro-6-benzoxazolyl)amino]-2-hydroxypropanoate 590422-18-7P, Methyl (5R)-3-(4-fluoro-3-methyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590422-20-1P, 3-Ethyl-4-fluoro-6-nitro-1,3-benzoxazol-2(3H)-one 590422-21-2P, 6-Amino-3-ethyl-4-fluoro-1,3-benzoxazol-2(3H)-one 590422-22-3P, Methyl (2R)-3-[(3-ethyl-4-fluoro-2-oxo-2,3-dihydro-6-benzoxazolyl)amino]-2-hydroxypropanoate 590422-23-4P, Methyl (5R)-3-(3-ethyl-4-fluoro-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590422-25-6P, 4-Fluoro-3-isopropyl-6-nitro-1,3-benzoxazol-2(3H)-one 590422-26-7P, 6-Amino-4-fluoro-3-isopropyl-1,3-benzoxazol-2(3H)-one 590422-27-8P, Methyl (2R)-3-[(4-fluoro-3-isopropyl-2-oxo-2,3-dihydro-6-benzoxazolyl)amino]-2-hydroxypropanoate 590422-28-9P, Methyl (5R)-3-(4-fluoro-3-isopropyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 591233-30-6P 591233-32-8P 591233-34-0P 591233-36-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aryloxazolidinecarboxamides and analogs as antibacterial agents)

IT 725261-08-5P 725261-09-6P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(1-methyl-1H-tetrazol-5-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-10-9P, (5R)-N-Methyl-3-[3-Fluoro-4-(6-[[1,2,4]triazol-1-yl]pyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-11-0P, (5R)-3-[3-Fluoro-4-(6-[[1,2,4]triazol-1-yl]pyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-12-1P, (5R)-3-[3-Fluoro-4-[6-(5-methyl-[1,2,4]oxadiazol-3-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-13-2P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(5-methyl-[1,2,4]oxadiazol-3-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-14-3P, (5R)-N-Methyl-3-[3-Fluoro-4-(6-(tetrazol-1-yl)pyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-15-4P, (5R)-3-[3-Fluoro-4-(6-tetrazol-1-ylpyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-16-5P, (5R)-3-[3-Fluoro-4-(6-pyrazol-1-ylpyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-17-6P, (5R)-N-Methyl-3-[3-Fluoro-4-(6-pyrazol-1-ylpyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-18-7P, (5R)-3-[3-Fluoro-4-(6-[[1,2,3]triazol-1-yl]pyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-19-8P, (5R)-3-[3-Fluoro-4-[6-(5-methyl-[1,3,4]oxadiazol-2-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-20-1P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(5-methyl-[1,3,4]oxadiazol-2-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-21-2P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(2-oxooxazolidin-3-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-22-3P, (5R)-3-[3-Fluoro-4-[6-(2-oxooxazolidin-3-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-23-4P, (5R)-3-[3-Fluoro-4-[6-(2-oxoimidazolidin-1-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-24-5P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(2-oxoimidazolidin-1-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-25-6P, (5R)-3-[3-Fluoro-4-(6-oxazol-5-ylpyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-26-7P, (5R)-N-Methyl-3-[3-Fluoro-4-(6-oxazol-5-ylpyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-27-8P, (5R)-N-Methyl-3-[3-Fluoro-4-(6-[[1,2,4]oxadiazol-3-yl]pyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-28-9P, (5R)-3-[3-Fluoro-4-(6-[[1,2,4]oxadiazol-3-yl]pyridin-3-yl)phenyl]-2-oxooxazolidine-5-carboxylic

amide 725261-29-0P, (5R)-3-[3-Fluoro-4-[6-(3-methyl-[1,2,4]oxadiazol-5-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-30-3P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(3-methyl-[1,2,4]oxadiazol-5-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-31-4P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(5-oxo-1,5-dihydro-[1,2,4]triazol-4-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-32-5P, (5R)-3-[3-Fluoro-4-[6-(5-oxo-1,5-dihydro-[1,2,4]triazol-4-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-33-6P, (5R)-3-[4-(6-Acetylaminopyridin-3-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-34-7P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(2-hydroxyacetylamino)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-35-8P, (5R)-3-[3-Fluoro-4-[6-(2-hydroxyacetylamino)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-36-9P, (5R)-3-[3-Fluoro-4-[6-(4-hydroxyacetylpiperazin-1-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-37-0P, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(4-hydroxyacetylpiperazin-1-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-38-1P, (5R)-3-[4-[6-(4-Cyanopiperazin-1-yl)pyridin-3-yl]-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-39-2P, (5R)-N-Methyl-3-[4-[6-(4-Cyanopiperazin-1-yl)pyridin-3-yl]-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-40-5P , (5R)-N-Methyl-3-[3-Fluoro-4-[2-(4-hydroxyacetylpiperazin-1-yl)pyrimidin-5-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-41-6P, (5R)-N-Methyl-3-[4-[2-(4-Cyanopiperazin-1-yl)pyrimidin-5-yl]-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-42-7P, (5R)-3-[3-Fluoro-4-(4-oxo-3,4,5,6-tetrahydro-[1,2']bipyridinyl-5'-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-43-8P, (5R)-N-Methyl-3-[3-Fluoro-4-(4-oxo-3,4,5,6-tetrahydro-[1,2']bipyridinyl-5'-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-44-9P 725261-45-0P 725261-46-1P 725261-47-2P 725261-48-3P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-hydroxyacetyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-49-4P, (5R)-3-[3-Fluoro-4-(1-hydroxyacetyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-50-7P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-methoxyacetyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-51-8P, (5R)-3-[3-Fluoro-4-(1-methoxyacetyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-52-9P, (5R)-3-[3-Fluoro-4-(1-methylsulfanylacetyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-53-0P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-methylsulfanylacetyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-54-1P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-formyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-55-2P, (5R)-3-[3-Fluoro-4-(1-formyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-56-3P, (5R)-N-Methyl-4-[4-(5-Carbamoyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]-3,6-dihydro-2H-pyridine-1-carboxylic acid methylamide 725261-57-4P, 4-[4-(5-Carbamoyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]-3,6-dihydro-2H-pyridine-1-carboxylic acid amide 725261-58-5P, 4-[4-(5-Carbamoyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]-3,6-dihydro-2H-pyridine-1-carboxylic acid methylamide 725261-59-6P, 4-[4-(5-Carbamoyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]-3,6-dihydro-2H-pyridine-1-carboxylic acid methyl ester 725261-60-9P, 4-[2-Fluoro-4-(5-methylcarbamoyl-2-oxooxazolidin-3-yl)phenyl]-3,6-dihydro-2H-pyridine-1-carboxylic acid methyl ester 725261-61-0P, (5R)-N-Methyl-3-[4-(1-Cyano-1,2,3,6-tetrahydropyridin-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-62-1P, (5R)-3-[4-(1-Cyano-1,2,3,6-tetrahydropyridin-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-63-2P,

(5R)-3-[3-Fluoro-4-(1-(methanesulfonyl)-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-64-3P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-(methanesulfonyl)-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-65-4P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-pyrimidin-2-yl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-66-5P, (5R)-3-[3-Fluoro-4-[1-(3-oxobutyryl)-1,2,3,6-tetrahydropyridin-4-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-67-6P, (5R)-N-Methyl-3-[3-Fluoro-4-[1-(3-oxobutyryl)-1,2,3,6-tetrahydropyridin-4-yl]phenyl]-2-oxooxazolidine-5-carboxamide 725261-68-7P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-(((methanesulfonyl)amino)acetyl)-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-69-8P, (5R)-3-[3-Fluoro-4-(1-(((methanesulfonyl)amino)acetyl)-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-70-1P 725261-71-2P 725261-72-3P 725261-73-4P 725261-74-5P 725261-75-6P 725261-76-7P 725261-77-8P 725261-78-9P 725261-79-0P, (5R)-3-[4-(1,1-Dioxo-1,2-dihydrothiopyran-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-80-3P, (5R)-N-Methyl-3-[4-(1,1-Dioxo-1,2-dihydrothiopyran-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-81-4P, 3-[4-(1,2-Dihydropyran-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxylic acid methylamide 725261-83-6P, 3-[4-(1,1-Dioxo-1,2-dihydrothiopyran-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 725261-85-8P, (5R)-3-[3,5-Difluoro-4-(tetrahydropyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-87-0P, (5R)-N-Methyl-3-[3,5-Difluoro-4-(tetrahydropyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-89-2P, (5R)-N-Methyl-3-[3,5-Difluoro-4-(4-oxocyclohex-1-enyl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-91-6P, (5R)-3-[3,5-Difluoro-4-(4-oxocyclohex-1-enyl)phenyl]-2-oxooxazolidine-5-carboxamide 725261-92-7P, (5R)-3-(4-Fluorobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725261-93-8P, (5R)-N-Methyl-3-(4-Fluorobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725261-94-9P, (5R)-N-Methyl-3-(4-Fluorobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725261-95-0P, (5R)-3-(4-Fluorobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725261-96-1P, (5R)-3-(4-Fluoro-2-methylbenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725261-97-2P, (5R)-N-Methyl-3-(4-Fluoro-2-methylbenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725261-98-3P 725261-99-4P 725262-00-0P 725262-01-1P 725262-02-2P, (5R)-N-Methyl-3-(4-Fluoro-2-(methanesulfonyl)benzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-03-3P, (5R)-3-(4-Fluoro-2-(methanesulfonyl)benzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-04-4P, (5R)-N-Methyl-3-(4-Fluoro-2-(methanesulfonyl)benzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-05-5P, (5R)-3-(4-Fluoro-2-(methanesulfonyl)benzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-06-6P, (5R)-3-(4-Fluoro-2-(morpholin-4-yl)benzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-07-7P 725262-08-8P 725262-09-9P, (5R)-3-[2-(1,1-Dioxothiomorpholin-4-yl)-4-fluorobenzothiazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-10-2P, (5R)-N-Methyl-3-[2-(1,1-Dioxothiomorpholin-4-yl)-4-fluorobenzothiazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-12-4P, (5R)-N-Methyl-3-[4-Fluoro-2-(4-oxopiperidin-1-yl)benzothiazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-14-6P, (5R)-3-[4-Fluoro-2-(4-oxopiperidin-1-yl)benzothiazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-16-8P, (5R)-3-[4-Fluoro-2-(4-oxopiperidin-1-yl)benzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-18-0P, (5R)-N-Methyl-3-[4-Fluoro-2-(4-oxopiperidin-1-yl)benzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-20-4P, (5R)-N-Methyl-3-[4-Fluoro-2-(4-oxo-4H-pyridin-1-yl)benzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-22-6P, (5R)-3-[4-Fluoro-2-(4-oxo-4H-pyridin-1-yl)benzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide

725262-23-7P, (5R)-3-[4-Fluoro-2-(4-oxo-4H-pyridin-1-yl)benzothiazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-24-8P, (5R)-N-Methyl-3-[4-Fluoro-2-(4-oxo-4H-pyridin-1-yl)benzothiazol-6-yl]-2-oxo-5-oxazolidine-5-carboxamide 725262-26-0P, (5R)-N-Methyl-3-(2-Amino-4-fluorobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-27-1P, (5R)-3-(2-Amino-4-fluorobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-28-2P, (5R)-3-(2-Amino-4-fluorobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-30-6P, (5R)-N-Methyl-3-(2-Amino-4-fluorobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725262-32-8P, (5R)-N-Methyl-3-[4-Fluoro-2-(4-oxo-3,4-dihydro-2H-pyridin-1-yl)benzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-34-0P, (5R)-3-[2-(4-Oxo-3,4-dihydro-2H-pyridin-1-yl)benzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725262-36-2P, (5R)-N-Methyl-3-(4,4-Difluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 725262-37-3P, (5R)-3-(4,4-Difluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 725262-39-5P, (5R)-3-(4,4,8-Trifluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 725262-40-8P, (5R)-N-Methyl-3-(4,4,8-trifluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 725262-42-0P 725262-43-1P
725262-44-2P 725262-45-3P 725262-46-4P 725262-47-5P 725262-48-6P
725262-49-7P 725262-50-0P 725262-51-1P 725262-52-2P 725262-53-3P
725262-54-4P 725262-55-5P 725262-56-6P 725262-57-7P 725262-58-8P
725262-59-9P 725262-60-2P 725262-61-3P 725262-62-4P 725262-63-5P
725262-64-6P 725262-65-7P 725262-66-8P 725262-67-9P 725262-68-0P
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725262-74-8P 725262-75-9P 725262-76-0P 725262-77-1P 725262-78-2P
725262-79-3P 725262-80-6P 725262-81-7P 725262-82-8P 725262-83-9P
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725262-89-5P 725262-90-8P 725262-91-9P 725262-92-0P 725262-93-1P
725262-94-2P 725262-95-3P 725262-96-4P 725262-97-5P 725262-98-6P
725262-99-7P 725263-00-3P 725263-01-4P 725263-02-5P 725263-03-6P
725263-04-7P 725263-05-8P 725263-06-9P 725263-07-0P 725263-08-1P
725263-09-2P 725263-10-5P 725263-11-6P 725263-12-7P 725263-13-8P
725263-14-9P 725263-15-0P 725263-16-1P 725263-17-2P 725263-18-3P
725263-19-4P 725263-20-7P 725263-21-8P 725263-22-9P 725263-23-0P
725263-24-1P 725263-25-2P 725263-26-3P 725263-27-4P 725263-28-5P
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725263-40-1P 725263-41-2P 725263-42-3P 725263-43-4P 725263-44-5P
725263-45-6P 725263-46-7P 725263-47-8P 725263-48-9P 725263-50-3P
725263-52-5P 725263-53-6P 725263-54-7P 725263-55-8P 725263-56-9P
725263-57-0P, (5R)-3-(3-tert-Butyl-4-fluoro-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-58-1P, (5R)-N-Methyl-3-(3-tert-Butyl-4-fluoro-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-59-2P, (5R)-3-(3-tert-Butyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-60-5P, (5R)-N-Methyl-3-(3-tert-Butyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-61-6P, (5R)-3-[4-Fluoro-2-oxo-3-(2,2,2-trifluoroethyl)-2,3-dihydrobenzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725263-62-7P, (5R)-N-Ethyl-3-(3-tert-Butyl-2-oxo-2,3-dihydrobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-aryl-2-oxo-5-oxazolidinecarboxamides and analogs as antibacterial agents)

IT 725263-63-8P, (5R)-N-Ethyl-3-[4-Fluoro-2-oxo-3-(2,2,2-trifluoroethyl)-2,3-dihydrobenzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725263-64-9P, (5R)-3-(3-tert-Butyl-2-oxo-2,3-dihydrobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-65-0P, (5R)-N-Methyl-3-(3-tert-Butyl-2-oxo-2,3-

dihydrobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-66-1P, (5R)-N-Methyl-3-[4-Fluoro-2-oxo-3-(2,2,2-trifluoroethyl)-2,3-dihydrobenzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725263-67-2P, (5R)-3-[2-Oxo-3-(2,2,2-trifluoroethyl)-2,3-dihydrobenzothiazol-6-yl]-2-oxooxazolidine-5-carboxamide 725263-68-3P, (5R)-N-Methyl-3-[2-oxo-3-(2,2,2-trifluoroethyl)-2,3-dihydrobenzothiazol-6-yl]-2-oxooxazolidine-5-carboxamide 725263-69-4P, (5R)-3-[2-Oxo-3-(2,2,2-trifluoroethyl)-2,3-dihydrobenzoxazol-6-yl]-2-oxooxazolidine-5-carboxamide 725263-70-7P, (5R)-3-(3-Cyclopropyl-4-fluoro-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-71-8P, (5R)-N-Methyl-3-(3-Cyclopropyl-4-fluoro-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-72-9P, (5R)-3-(3-Cyclopropyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-74-1P, (5R)-N-Methyl-3-(3-Cyclopropyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-75-2P, (5R)-3-(3-Cyclopropyl-4-fluoro-2-oxo-2,3-dihydrobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-76-3P, (5R)-N-Methyl-3-(3-Cyclopropyl-4-fluoro-2-oxo-2,3-dihydrobenzothiazol-6-yl)-2-oxooxazolidine-5-carboxamide 725263-77-4P, (5R)-3-(4-tert-Butyl-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-78-5P, (5R)-N-Methyl-3-(4-tert-Butyl-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-79-6P, (5R)-3-(4-tert-Butyl-5-fluoro-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-80-9P, (5R)-N-Methyl-3-(4-tert-Butyl-5-fluoro-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-81-0P, (5R)-3-(4-tert-Butyl-5-fluoro-3-oxo-3,4-dihydro-2H-benzo[1,4]thiazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-82-1P, (5R)-3-(4-Cyclopropyl-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-83-2P, (5R)-N-Methyl-3-(4-Cyclopropyl-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-84-3P, (5R)-3-(4-Cyclopropyl-5-fluoro-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-85-4P, (5R)-N-Methyl-3-(4-Cyclopropyl-5-fluoro-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-86-5P, (5R)-3-(4-Cyclopropyl-5-fluoro-3-oxo-3,4-dihydro-2H-benzo[1,4]thiazin-7-yl)-2-oxooxazolidine-5-carboxamide 725263-87-6P, (5R)-3-[3-Oxo-4-(2,2,2-trifluoroethyl)-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl]-2-oxooxazolidine-5-carboxamide 725263-88-7P, (5R)-N-Methyl-3-[3-oxo-4-(2,2,2-trifluoroethyl)-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl]-2-oxooxazolidine-5-carboxamide 725263-89-8P, (5R)-3-[5-Fluoro-3-oxo-4-(2,2,2-trifluoroethyl)-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl]-2-oxooxazolidine-5-carboxamide 725263-90-1P, (5R)-N-Methyl-3-[5-Fluoro-3-oxo-4-(2,2,2-trifluoroethyl)-3,4-dihydro-2H-benzo[1,4]oxazin-7-yl]-2-oxooxazolidine-5-carboxamide 725263-91-2P, (5R)-3-[5-Fluoro-3-oxo-4-(2,2,2-trifluoroethyl)-3,4-dihydro-2H-benzo[1,4]thiazin-7-yl]-2-oxooxazolidine-5-carboxamide 725263-92-3P 725263-93-4P 725263-94-5P 725263-95-6P 725263-96-7P 725263-97-8P 725263-98-9P 725263-99-0P 725264-00-6P 725264-01-7P 725264-02-8P 725264-03-9P 725264-04-0P 725264-05-1P 725264-06-2P 725264-07-3P 725264-08-4P 725264-09-5P 725264-10-8P 725264-11-9P 725264-12-0P 725264-13-1P 725264-14-2P 725264-15-3P 725264-16-4P 725264-17-5P 725264-18-6P 725264-19-7P 725264-20-0P 725264-21-1P 725264-22-2P 725264-23-3P 725264-24-4P 725264-25-5P 725264-26-6P 725264-27-7P 725264-28-8P 725264-29-9P 725264-30-2P 725264-31-3P 725264-32-4P 725264-33-5P 725264-34-6P 725264-35-7P 725264-36-8P 725264-37-9P, (5R)-3-[4-(1-Cyanocyclopropyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 725264-38-0P, (5R)-N-Methyl-3-[4-(1-Cyanocyclopropyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 725264-39-1P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-fluorocyclopropyl)phenyl]-2-oxooxazolidine-5-carboxamide 725264-40-4P, (5R)-3-[3-Fluoro-4-(1-fluorocyclopropyl)phenyl]-2-

oxooxazolidine-5-carboxamide 725264-41-5P, (5R)-3-(4-Cyclopropyl-3-fluorophenyl)-2-oxooxazolidine-5-carboxamide 725265-68-9P 726169-57-9P 726169-58-0P 726169-59-1P 726169-60-4P 726169-61-5P 726169-62-6P 726169-63-7P 726169-64-8P 726169-65-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-aryl-2-oxo-5-oxazolidinecarboxamides and analogs as antibacterial agents)

L8 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:588234 CAPLUS
DOCUMENT NUMBER: 141:140417
TITLE: Preparation of novel biphenyls, their intermediates, and their use as bactericides
INVENTOR(S): Shiokawa, Sojiro; Ishikawa, Makoto; Yanagisawa, Yumiko; Kawaguchi, Masami; Fujita, Toshiki; Maehashi, Kazunori; Yoshida, Satoshi
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 185 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004203809	A	20040722	JP 2002-376218	20021226
PRIORITY APPLN. INFO.:			JP 2002-376218	20021226
OTHER SOURCE(S):	MARPAT 141:140417			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-R8 = H, halo, NH2, glycylamino, (un)substituted alkoxy; T, Q = halo, OH, (un)substituted C1-8 alkoxy, (un)substituted C1-8 alkanoyloxy, NH2, N3, (un)substituted unsatd. heterocyclyl, etc.], useful for controlling (drug-resistant) bacteria, are prepared by conversion of 3-(substituted phenyl)-2-oxooxazolidines II (R1-R4, Q = same as above; X = iodine, Br, Cl, haloalkylsulfonyloxy, diaryl phosphate residue) into 3-(boron-substituted phenyl)-2-oxooxazolidines II [R1-R4, Q = same as above; X = BR9R10; R9, R10 = OH, C1-8 linear alkyl(oxy), C3-8 branched alkyl(oxy); R9R10 may be linked], then coupling with oxazolidinylbenzenes III (R5-R8, T = same as above; Y = iodine, Br, Cl, haloalkylsulfonyloxy, diaryl phosphate residue). Thus, coupling of 3-(3-fluoro-4-iodophenyl)-2-oxo-5(R)-phthalimidomethyloxazolidine with 5(S)-acetamidomethyl-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-oxooxazolidine in the presence of K phosphate and tetrakis(triphenylphosphine)palladium in DMF gave the corresponding biphenyl compound with 66% yield, which showed MIC of 2 μg/mL against methicillin-resistant Staphylococcus aureus.

IT 501939-75-9P 504437-66-5P 627541-87-1P 627541-88-2P 627541-89-3P 627541-90-6P 627541-91-7P 627541-92-8P 627541-93-9P 627541-94-0P 627541-97-3P 627542-07-8P 627542-15-8P 627542-17-0P 627542-22-7P 627542-26-1P 627542-28-3P 627542-37-4P 627542-40-9P 627542-42-1P

627542-45-4P 627542-48-7P 627542-52-3P 627542-60-3P 627542-66-9P
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724793-27-5P 724793-30-0P 724793-33-3P 724793-36-6P 724793-39-9P
724793-42-4P 724793-48-0P 724793-50-4P 724793-61-7P 724793-66-2P
724793-69-5P 724793-75-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (oxooxazolidinyl)biphenyls as bactericides for (drug-resistant) bacteria)

IT 501939-79-3P 627541-96-2P 627541-98-4P 627541-99-5P
627542-01-2P 627542-02-3P 627542-04-5P 627542-06-7P 627542-10-3P
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627542-55-6P 627542-57-8P 627542-64-7P 627542-71-6P
627542-74-9P 627542-75-0P 627542-76-1P 627542-77-2P 627542-78-3P
627542-79-4P 627542-83-0P 627542-86-3P 627542-90-9P
627542-98-7P 627543-00-4P 627543-01-5P 627543-02-6P
724792-87-4P 724792-89-6P 724792-93-2P 724792-94-3P 724792-96-5P
724792-98-7P 724793-00-4P 724793-02-6P 724793-03-7P 724793-04-8P
724793-06-0P 724793-07-1P 724793-09-3P 724793-12-8P 724793-13-9P
724793-15-1P 724793-16-2P 724793-18-4P 724793-20-8P 724793-22-0P
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724793-38-8P 724793-41-3P 724793-43-5P 724793-45-7P 724793-47-9P
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724793-58-2P 724793-59-3P 724793-60-6P 724793-63-9P 724793-65-1P
724793-68-4P 724793-71-9P 724793-73-1P 724793-74-2P 724793-77-5P
724793-78-6P 724793-79-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (oxooxazolidinyl)biphenyls as bactericides for (drug-resistant) bacteria)

IT 50-44-2, 6-Mercaptopurine 77-76-9, Acetone dimethyl acetal 79-14-1, reactions 79-22-1, Methyl chloroformate 85-41-6, Phthalimide 91-93-0 98-97-5, 2-Pyrazinecarboxylic acid 106-95-6, Allyl bromide, reactions 107-30-2, Chloromethyl methyl ether 121-46-0, Norbornadiene 138-59-0, Shikimic acid 288-32-4, Imidazole, reactions 288-94-8, 1H-Tetrazole 634-97-9, Pyrrole-2-carboxylic acid 824-94-2, p-Methoxybenzyl chloride 870-73-5, Ethyl dithioacetate 872-35-5, 2-Mercaptoimidazole 1071-46-1, Monoethyl malonate 1072-84-0, Imidazole-4-carboxylic acid 1074-82-4, Potassium phthalimide 3303-84-2, N-tert-Butoxycarbonyl-β-alanine 4023-02-3, 1H-Pyrazole-1-carboxamidine hydrochloride 4530-20-5, N-(tert-Butoxycarbonyl)glycine 5241-66-7, N-tert-Butoxycarbonyl-D-methionine 5728-07-4, 1,2,5-Thiadiazol-3(2H)-one 5777-20-8, 3-Hydroxyisoxazole 7764-95-6 13734-36-6, (N-tert-Butoxycarbonyl)sarcosine 13734-38-8 15761-39-4, N-tert-Butoxycarbonyl-L-proline 17452-27-6, 3-Pyridyl isothiocyanate 23138-56-9, 3-Iodophenyl isocyanate 37718-11-9, 4-Pyrazolecarboxylic acid 37784-17-1, N-tert-Butoxycarbonyl-D-proline 40052-13-9, Mono-tert-butyl malonate 57260-73-8, N-(2-Aminoethyl)carbamic acid tert-butyl ester 58885-60-2 60456-26-0 65644-56-6, Calcium glycerate 67385-09-5, tert-Butyl N-(2-mercaptoethyl)carbamate 67919-37-3 73183-34-3 77205-61-9 84891-50-9 92136-39-5, 2-Propynylcarbamic acid tert-butyl

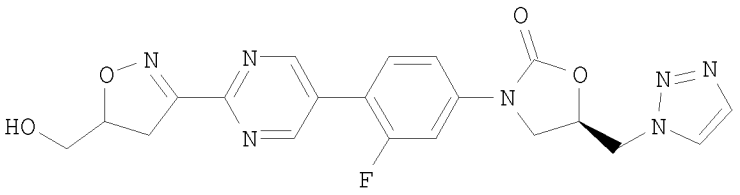
ester 104010-92-6 108787-91-3 133887-83-9 149524-42-5
152513-86-5 180576-05-0 181955-79-3 264600-97-7 312965-04-1
391668-77-2 441033-85-8, 1-Butoxycarbonylpiperidine-4-carboxylic acid
627543-16-2 627543-25-3 724793-81-1 724793-89-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (oxooxazolidinyl)biphenyls as bactericides for
(drug-resistant) bacteria)

IT 149524-43-6P 149524-45-8P 252367-70-7P 487041-08-7P
501939-77-1P 501939-83-9P 501939-95-3P 501940-36-9P 627543-03-7P
627543-06-0P 627543-08-2P 627543-09-3P 627543-10-6P 627543-11-7P
627543-12-8P 627543-13-9P 627543-14-0P 627543-15-1P 627543-17-3P
627543-18-4P 627543-19-5P 627543-21-9P 627543-23-1P
627543-26-4P 702681-79-6P 724793-80-0P 724793-82-2P 724793-83-3P
724793-84-4P 724793-85-5P 724793-86-6P 724793-87-7P 724793-88-8P
724793-90-2P 724793-91-3P 724793-92-4P 724793-93-5P 724793-94-6P
724793-95-7P 724793-96-8P 724793-97-9P 724793-98-0P 724793-99-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of (oxooxazolidinyl)biphenyls as bactericides for
(drug-resistant) bacteria)

L8 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:550955 CAPLUS
DOCUMENT NUMBER: 141:89124
TITLE: A preparation of oxazolidinone derivatives, useful as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Huynh, Hoan Khai
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056817	A1	20040708	WO 2003-GB5448	20031215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003292422	A1	20040714	AU 2003-292422	20031215
EP 1572688	A1	20050914	EP 2003-768000	20031215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512352	T	20060413	JP 2004-561616	20031215
US 20060058314	A1	20060316	US 2005-539482	20050617
PRIORITY APPLN. INFO.:			GB 2002-29526 A	20021219
			WO 2003-GB5448 W	20031215

OTHER SOURCE(S): MARPAT 141:89124
GI



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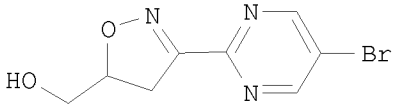
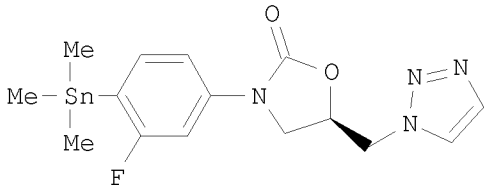


II



III

AB The invention relates to a preparation of oxazolidinone derivs. of formula R1-A-C-B-CH2-R2 [wherein: A and B are independently selected from oxazolidinone or isoxazole derivs.; C is a biaryl group C1-C2 where C1 is benzene-1,4-diyl, thiene-2,5-diyl, or pyridine-2,5-diyl, etc., and C2 is pyridazine-3,6-diyl, pyrazine-2,5-diyl, pyrimidine-2,5-diyl, or 1,3,4-thiadiazole-2,5-diyl, etc.; R1 is CN, C(O), (un)substituted Ph or naphthyl, cycloalkyl, or heteroaryl, etc.; R2 is OH, OSi(trialkyl), or NHC(O)Me, etc.], useful as antibacterial agents. For instance, oxazolidinone derivative I was prepared from the obtained bromopyrimidine derivative II and obtained trimethylstannylphenyloxazole derivative III in the presence of palladium catalyst. For instance, antibacterial properties of I against several types of bacteria were determined [MIC(μg/mL): staphylococcus aureus (2), streptococcus pneumoniae (0.25), haemophilus influenza (8)].

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 149524-45-8P 175592-55-9P 303085-53-2P, 6-Chloro-3-pyridazinecarboxaldehyde 487041-08-7P 501939-77-1P 501939-78-2P 501939-82-8P 501939-94-2P 501939-95-3P 504437-66-5P 519003-01-1P 700370-36-1P 700370-37-2P 700370-39-4P 700370-40-7P 716379-03-2P 716379-06-5P 716379-08-7P 716379-10-1P 716379-11-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of oxazolidinone derivs., useful as antibacterial agents)

IT 107-18-6, Allylalcohol, reactions 107-19-7, Propargyl alcohol 121-46-0, Bicyclo[2.2.1]heptadiene 661-69-8, Hexamethylditin 61296-22-8 73183-34-3 75680-92-1, Ethyl 6-chloro-3-pyridazinecarboxylate 149524-42-5 183438-24-6,

2-Iodo-5-bromopyrimidine 188975-86-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of oxazolidinone derivs., useful as antibacterial agents)

L8 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:550954 CAPLUS
DOCUMENT NUMBER: 141:89082
TITLE: Preparation of 3-aryloxazolidinone antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Turner, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056816	A1	20040708	WO 2003-GB5444	20031215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003292420	A1	20040714	AU 2003-292420	20031215
EP 1572687	A1	20050914	EP 2003-767998	20031215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006514647	T	20060511	JP 2004-561615	20031215
US 20060116389	A1	20060601	US 2005-539484	20050617
PRIORITY APPLN. INFO.:			GB 2002-29522 A	20021219
			WO 2003-GB5444 W	20031215

OTHER SOURCE(S): MARPAT 141:89082
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = heterocyclic substituted amino; Q = Q1, Q2; R2 and R3 = independently H, CF3, OMe, SMe, Me, or Et; R4 and R5 = independently H, F, Cl, CF3, OMe, SMe, Me, or Et; R6 = H, alkyl, cyano, Br, F, Cl, OH, alkoxy, -S(O)nalkyl (wherein n = 0-2), amino, alkylcarbonylamino, nitro, -CHO, -COalkyl, -CONH2, and -CONHalkyl; R7 = azido, (substituted)amino, OR8, alkyl, alkyoxy, cycloalkyl, or a 5-membered heterocyclic ring containing at least one N and/or O in which any carbon atom is C=O, C=N, or C=S, or a carbon-linked 5- or 6-membered heteroarom. ring containing 1, 2, 3, or 4 heteroatoms independently selected from N, O, and S; R8 = H, alkyl, alkanoyl, and alkylsulfonyl] were prepared as antibacterial agents. For example, reaction of N-(5R)-[[3-(3-Fluoro-4-

iodophenyl)-2-oxooxazolidin-5-yl]methyl]-N-isoxazol-3-ylcarbamic acid tert-Bu ester (preparation given) in a mixture of trifluoroacetic acid and dichloromethane yielded compound II. The latter inhibited bacterial growth against Staphylococcus aureus (methicillin sensitive and quinolone sensitive), Staphylococcus aureus (methicillin resistant and quinolone resistant), Streptococcus pneumoniae, Enterococcus faecium, Haemophilus influenzae, Moraxella catarrhalis, and Linezolid resistant Streptococcus pneumoniae with MIC values of 0.5, 0.5, 0.13, 0.5, 64, 0.5, and 2 μg/mL, resp.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 380380-60-9P 380380-64-3P 487041-08-7P 501939-82-8P
702681-79-6P 716380-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Preparation of 3-aryloxazolidinone antibacterial agents)

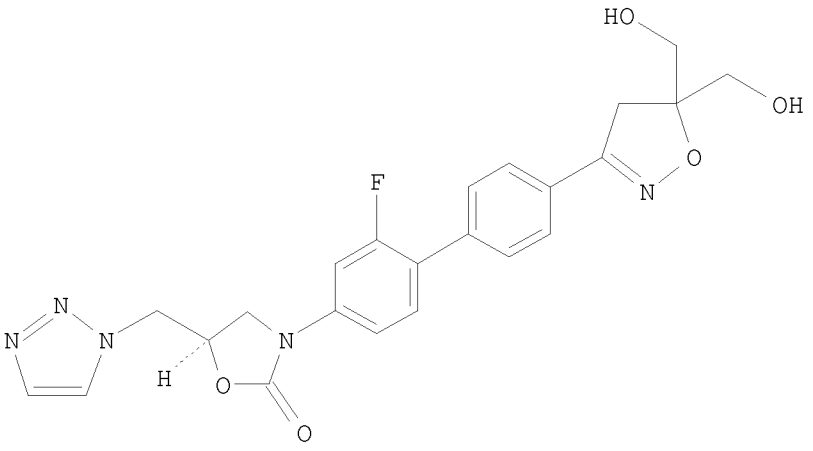
L8 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:467903 CAPLUS
DOCUMENT NUMBER: 141:38599
TITLE: Preparation of oxazolidinone/isoxazoline derivatives as antibacterial agents
INVENTOR(S): Carcanague, Daniel Robert; Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene; Weber, Thomas Peter
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048392	A1	20040610	WO 2003-GB5087	20031124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507468	A1	20040610	CA 2003-2507468	20031124
AU 2003302404	A1	20040618	AU 2003-302404	20031124
EP 1567532	A1	20050831	EP 2003-811807	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016688	A	20051018	BR 2003-16688	20031124
CN 1742015	A	20060301	CN 2003-80109196	20031124
JP 2006508192	T	20060309	JP 2005-510253	20031124
NZ 540147	A	20080328	NZ 2003-540147	20031124
MX 2005PA05651	A	20050727	MX 2005-PA5651	20050526
NO 2005002534	A	20050822	NO 2005-2534	20050526
ZA 2005004308	A	20060426	ZA 2005-4308	20050526
US 20060116400	A1	20060601	US 2005-536686	20050527
PRIORITY APPLN. INFO.:			GB 2002-27702 A	20021128

GB 2003-4725 A 20030301
GB 2003-18608 A 20030808
WO 2003-GB5087 W 20031124

OTHER SOURCE(S): MARPAT 141:38599

GI



II

AB Title compds. (R1a)m-A-C-B-CH2-R1b [C = biaryl group; A, B = dihydroisoxazole, oxazolidinone; R1a = CN, carboxy, alkoxycarbonyl, aryl, etc.; m = 0-2; R1b = OH, silyloxy, etc.; I] are prepared For instance, (5R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given) is coupled to 5,5-bis[[(tert-butyldimethylsilyl)oxy]methyl]-3-[4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazole (preparation given) (NMP, (furan-2-yl)3P, dba3Pd2) and the resulting adduct desilylated (THF, TBAF) to give II. II exhibits MIC = 0.5 μg/mL against Staphylococcus aureus; compds. of the invention are antibacterial agents.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 59-67-6, Nicotinic acid, reactions 60-24-2, 2-Mercaptoethanol 75-89-8, 2,2,2-Trifluoroethanol 75-98-9, Trimethylacetic acid 77-48-5, 1,3-Dibromo-5,5-dimethylhydantoin 78-84-2, Isobutyraldehyde 100-52-7, Benzaldehyde, reactions 107-18-6, Allyl alcohol, reactions 108-30-5, Succinic anhydride, reactions 110-64-5, 2-Butene-1,4-diol 110-91-8, Morpholine, reactions 115-18-4, 2-Methyl-3-buten-2-ol 120-92-3, Cyclopentanone 121-46-0, Bicyclo[2.2.1]heptadiene 122-04-3, 4-Nitrobenzoyl chloride 581-96-4, 2-Naphthylacetic acid 628-12-6, 2-Methoxyethyl chloroformate 661-69-8, Hexamethylditin 771-61-9, Pentafluorophenol 1002-84-2, Pentadecanoic acid 1003-04-9, Tetrahydrothiophen-3-one 1118-68-9, N,N-Dimethylglycine 1445-73-4, 1-Methyl-4-piperidone 1490-25-1, Methyl 4-chloro-4-oxobutanoate 1663-39-4, tert-Butyl acrylate 2051-78-7, Allyl butyrate 2127-05-1, 2-(Pyridin-4-yl)ethanethiol 3513-81-3, 2-Methylene-1,3-propanediol 3970-21-6, 2-Methoxyethoxymethyl chloride 10602-36-5, 3-Butenal diethylacetal 13258-63-4, 2-(Pyridin-4-yl)ethanamine 14794-31-1, Ethyl 4-chloro-4-oxobutanoate 15674-67-6, N,N-Diethyl-β-alanine hydrochloride 18162-48-6, tert-Butyldimethylsilyl chloride 18997-19-8, Chloromethyl pivalate 19708-81-7 20260-53-1, Nicotinoyl chloride hydrochloride 23783-42-8, Tetraethylene glycol monomethyl ether

29203-58-5, 4-Bromo-N-hydroxybenzenecarboximidoyl chloride 31181-90-5, 5-Bromopyridine-2-carboxaldehyde 51067-06-2, 3-(4-Bromophenyl)-4,5-dihydroisoxazole 54497-01-7 62214-38-4, (4S)-2,2-Dimethyl-4-vinyl-1,3-dioxolane 73183-34-3 84358-13-4, 1-Boc-piperidine-4-carboxylic acid 91257-99-7 117924-33-1, Di-tert-butyl N,N-diethylphosphoramidite 127001-96-1, (4R)-2,2-Dimethyl-4-vinyl-1,3-dioxolane 133059-43-5, 4-Bromo-3-fluorobenzaldehyde 149524-42-5, (5R)-3-(3-Fluorophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one 149524-45-8, N-[[(5S)-3-(3-Fluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 203634-91-7, [3-(4-Bromophenyl)-4,5-dihydroisoxazol-5-yl]methanol 380380-56-3, (5S)-5-(Aminomethyl)-3-(3-fluorophenyl)-1,3-oxazolidin-2-one 501939-98-6, (5R)-3-(3-Fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-27-8, (5R)-3-[3-Fluoro-4-(trimethylstannyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 700370-36-1 702680-09-9, (5S)-3-[4'-[5,5-Bis[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2-fluoro-1,1'-biphenyl-4-yl]-5-(acetamidomethyl)-1,3-oxazolidin-2-one 702680-42-0 702680-53-3, 3-Vinyltetrahydrothiophen-3-ol 702681-16-1, 1-[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]-2-methylpropyl 2-naphthylacetate 702681-28-5, 4-[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]-1-methylpiperidin-4-ol 702681-33-2, 5-Bromo-2-[5-[[(2-(pyridin-4-yl)ethyl)sulfonyl]methyl]-4,5-dihydroisoxazol-3-yl]pyridine 702681-79-6, tert-Butyl [[(5R)-3-(3-fluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl](1,2,5-thiadiazol-3-yl)carbamate 702681-95-6, 5-Bromo-2-[(5S)-((4R)-2,2-dimethyl-1,3-dioxolan-4-yl)-4,5-dihydroisoxazol-3-yl]-3-fluoropyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)

IT 3859-35-6P, 1-Vinylcyclopentanol 4393-06-0P, 1-Phenylprop-2-en-1-ol 4798-45-2P, 4-Methylpent-1-en-3-ol 116700-78-8P, 2,2,3,3,9,9,10,10-Octamethyl-6-methylene-4,8-dioxa-3,9-disilaundecane 143887-83-6P, 1-Methyl-4-vinylpiperidin-4-ol 203634-93-9P, [3-(4-Bromophenyl)-4,5-dihydroisoxazol-5-yl]methyl methanesulfonate 304876-60-6P, 4-Bromo-3-fluorobenzaldehyde oxime 487041-08-7P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one 501939-52-2P, 5-Bromopyridine-2-carboxaldehyde oxime 501939-53-3P, [3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methanol 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-28-9P, (5R)-3-(3-Fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 504437-66-5P, N-[[(5S)-3-[3-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 519003-01-1P, (5R)-5-Azidomethyl-3-(3-fluoro-4-iodophenyl)-1,3-oxazolidin-2-one 700370-33-8P 700370-37-2P 702679-81-0P, 5,5-Bis[[(tert-butyldimethylsilyl)oxy]methyl]-3-[4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazole 702679-83-2P, 3-(4-Bromophenyl)-5,5-bis[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazole 702679-86-5P, 3-(4-Bromophenyl)-5,5-bis(hydroxymethyl)-4,5-dihydroisoxazole 702680-13-5P, [3-(4-Bromophenyl)-4,5-dihydroisoxazol-5-yl]acetonitrile 702680-18-0P, 3-[4-(Trimethylstannyl)phenyl]-4,5-dihydroisoxazole 702680-25-9P, (5R)-3-[4'-[5,5-Bis[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702680-27-1P 702680-31-7P, 3-(4-Bromo-3-fluorophenyl)-5,5-bis(hydroxymethyl)-4,5-dihydroisoxazole 702680-33-9P 702680-37-3P, (5R)-3-[4'-[5,5-Bis[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-1,3-oxazolidin-2-one 702680-48-6P, [3-(5-Bromo-1-oxidopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methanol 702680-55-5P 702680-57-7P,

3-[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]tetrahydrothiophen-3-ol 702680-59-9P, 3-[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]tetrahydrothiophen-3-ol 1,1-dioxide 702680-63-5P, 2-[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]propan-2-ol 702680-67-9P, 3-(5-Bromo-2-pyridyl)-4,5-bis(hydroxymethyl)-4,5-dihydroisoxazole 702680-71-5P, 5-Bromo-2-[5-(2,2-diethoxyethyl)-4,5-dihydroisoxazol-3-yl]pyridine 702680-75-9P, 3-(5-Bromo-2-pyridinyl)-4,5-dihydro-5,5-bis(hydroxymethyl)isoxazole 702680-77-1P 702680-87-3P, 2-[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]ethanol 702680-91-9P, tert-Butyl 3-(5-bromopyridin-2-yl)-4,5-dihydroisoxazole-5-carboxylate 702680-97-5P 702681-03-6P, 2-[[[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]sulfonyl]ethanol 702681-05-8P, 5-Bromo-2-[5-(chloromethyl)-4,5-dihydroisoxazol-3-yl]pyridine 702681-09-2P, [3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]phenylmethanol 702681-13-8P, 1-[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]cyclopentanol 702681-18-3P, 1-[3-[5-(Bromomethyl)pyridin-2-yl]-4,5-dihydroisoxazol-5-yl]-2-methylpropan-1-ol 702681-24-1P, [[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl](2-(pyridin-4-yl)ethyl)amine 702681-36-5P, 5-Bromo-2-[5-[[(2-(pyridin-4-yl)ethyl)thio]methyl]-4,5-dihydroisoxazol-3-yl]pyridine 702681-49-0P 702681-51-4P 702681-58-1P 702681-77-4P, tert-Butyl [[(5R)-3-[4'-[5,5-bis(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-2,2'-difluorobiphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl](1,2,5-thiadiazol-3-yl)carbamate 702681-81-0P, 3-[3-Fluoro-4-(trimethylstannyl)phenyl]-4,5-dihydro-5,5-bis(hydroxymethyl)isoxazole 702681-85-4P 702681-89-8P, 5-Bromo-2-[(5R)-5-((4R)-2,2-dimethyl-1,3-dioxolan-4-yl)-4,5-dihydroisoxazol-3-yl]pyridine 702681-92-3P, 5-Bromo-2-[(5S)-5-((4R)-2,2-dimethyl-1,3-dioxolan-4-yl)-4,5-dihydroisoxazol-3-yl]pyridine 702681-99-0P 702682-03-9P, 5-Bromo-2-[(5R)-5-((4S)-2,2-dimethyl-1,3-dioxolan-4-yl)-4,5-dihydroisoxazol-3-yl]pyridine 702682-08-4P, 5-Bromo-2-[(5S)-5-((4S)-2,2-dimethyl-1,3-dioxolan-4-yl)-4,5-dihydroisoxazol-3-yl]pyridine 702682-16-4P, (5R)-3-[4-[6-[5,5-Bis[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-35-7P 702682-37-9P 702682-47-1P, (5R)-3-[4-[6-[(5S)-5-(Chloromethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-50-6P 702682-53-9P 702682-59-5P, 5-Bromo-2-[(5S)-5-[(trifluoromethoxy)methyl]-4,5-dihydroisoxazol-3-yl]pyridine 702682-64-2P 702682-67-5P, 5-Bromo-2-((5S)-5-hydroxymethyl-4,5-dihydroisoxazol-3-yl)pyridine 702682-75-5P, 5-Bromo-2-[(5S)-5-[(2,2,2-trifluoroethoxy)methyl]-4,5-dihydroisoxazol-3-yl]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)

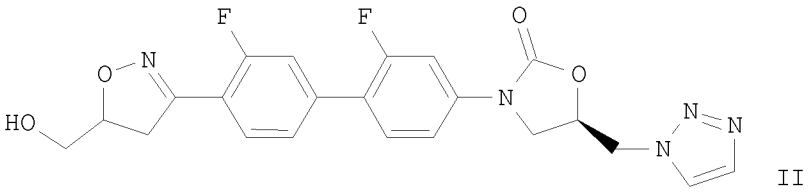
L8 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:467887 CAPLUS
DOCUMENT NUMBER: 141:23522
TITLE: Preparation of isoxazolinyl oxazolidinone antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048370	A1	20040610	WO 2003-GB5082	20031124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003302403	A1	20040618	AU 2003-302403	20031124
EP 1567521	A1	20050831	EP 2003-811806	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515286	T	20060525	JP 2004-554667	20031124
US 20060116401	A1	20060601	US 2005-536729	20050527

PRIORITY APPLN. INFO.: GB 2002-27701 A 20021128
WO 2003-GB5082 W 20031124

OTHER SOURCE(S): MARPAT 141:23522

GI



II

AB Isoxazolinyl oxazolidinone compds. of formula I (R1CH2-A-C-B-CH2R2 [A, B = oxazolidinone, isoxazoline; C = (substituted) biaryl group; R1, R2 = OH, trialkylsilyloxy, acyloxy, heteroaryl, etc.]) are prepared as antibacterial agents. Methods for making compds. of formula I, compns. containing them and their use as antibacterial agents are also described. Thus, II was prepared, and had MIC of 0.5 μg/mL against Staphylococcus aureus.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 107-18-6, Allyl alcohol, reactions 661-69-8, Hexamethylditin 22532-62-3 57848-46-1 149524-42-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of isoxazolinyl oxazolidinone antibacterial agents)

IT 43192-33-2P 202865-64-3P 487041-08-7P 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P 519003-01-1P 698982-08-0P 698982-14-8P 698982-20-6P 698982-26-2P 698982-64-8P 698982-70-6P 698982-77-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isoxazolinyl oxazolidinone antibacterial agents)

L8 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:467876 CAPLUS
DOCUMENT NUMBER: 141:23521
TITLE: Preparation of substituted oxazolidinones as antibiotics

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048350	A2	20040610	WO 2003-GB5091	20031124
WO 2004048350	A3	20041021		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507628	A1	20040610	CA 2003-2507628	20031124
AU 2003285509	A1	20040618	AU 2003-285509	20031124
EP 1581524	A2	20051005	EP 2003-778506	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016690	A	20051018	BR 2003-16690	20031124
CN 1742009	A	20060301	CN 2003-80109183	20031124
JP 2006515601	T	20060601	JP 2005-510254	20031124
MX 2005PA05522	A	20050725	MX 2005-PA5522	20050524
ZA 2005004309	A	20060222	ZA 2005-4309	20050526
US 20060116386	A1	20060601	US 2005-536687	20050527
NO 2005003133	A	20050715	NO 2005-3133	20050627
PRIORITY APPLN. INFO.:			GB 2002-27704 A	20021128
			GB 2003-10828 A	20030510
			WO 2003-GB5091 W	20031124

OTHER SOURCE(S): MARPAT 141:23521
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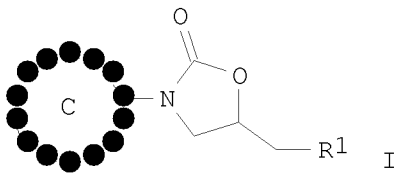

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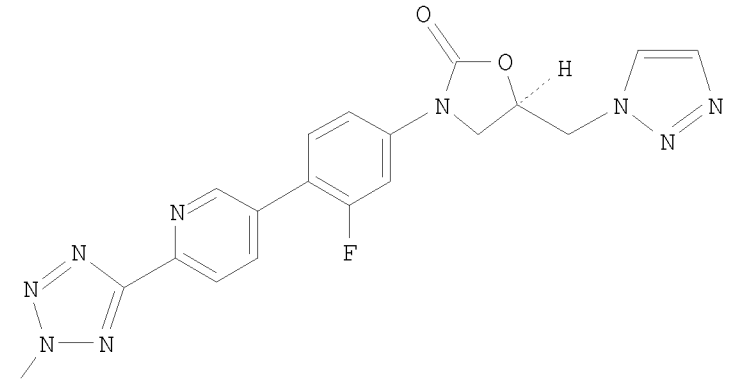

II

AB Title compds. I [C = substituted biaryl; R1 = HET1, HET2; HET1 = N-linked 5-membered (un)saturated heterocyclic ring, etc.; HET2 = N-linked 6-membered dihydroheteroaryl ring, etc.] are prepared For instance, (5R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given) is coupled to bis(pinacolato)diboron (DMSO, PdCl2(dppf)•CH2Cl2, KOAc) and the resulting adduct coupled to 5-bromo-2-(2-methyl-2H-tetrazol-5-yl)pyridine (DMF, H2O, K2CO3, (PPh3)4Pd) to give II. Compds. of the invention exhibit antibacterial activity with MIC = 0.01 - 256 μg/mL; II has MIC < 0.06 for Streptococcus pneumoniae.

IT 107-19-7, Propargyl alcohol 540-51-2, 2-Bromoethanol 624-28-2, 2,5-Dibromopyridine 1622-32-8, 2-Chloroethanesulfonyl chloride 42753-71-9, 6-Amino-3-bromo-2-methylpyridine 73183-34-3 85951-09-3, 1,3-Bis(tert-butyldimethylsilanyloxy)propan-2-ol 149524-42-5, (5R)-3-(3-Fluorophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one 380380-60-9, 5-Bromo-2-(2H-tetrazol-5-yl)pyridine 700370-42-9, (5R)-3-[3-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 700370-57-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted oxazolidinones as antibiotics)

IT 6608-47-5P, Ethenesulfonyl chloride 84501-81-5P, 1,2-Dichloroethanesulfonyl chloride 97483-77-7P, 3-Bromo-6-cyanopyridine 380380-63-2P, 5-Bromo-2-(1-methyl-1H-tetrazol-5-yl)pyridine 380380-64-3P 487041-08-7P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one 501939-77-1P 501939-78-2P 501939-82-8P 501939-95-3P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 519003-01-1P, (5R)-5-Azidomethyl-3-(3-fluoro-4-iodophenyl)-1,3-oxazolidin-2-one 591253-79-1P, 1-Chloro-1-ethenesulfonyl chloride 700370-33-8P 700370-34-9P 700370-36-1P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-[(4-fluoromethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 700370-37-2P, (5R)-3-[3-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(4-fluoromethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one

700370-38-3P 700370-39-4P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-[(4-hydroxymethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 700370-40-7P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-[(4-bromomethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 700370-43-0P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 700370-45-2P 700370-47-4P, 2-[5-(5-Bromopyridin-2-yl)-2H-tetrazol-2-yl]ethanol 700370-49-6P, 2-[5-(5-Bromopyridin-2-yl)-1H-tetrazol-1-yl]propane-1,3-diol 700370-50-9P 700370-52-1P, (5R)-5-[[4-(Difluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1,3-oxazolidin-2-one 700370-53-2P, (5R)-5-[[4-(Difluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-3-(3-fluoro-4-iodophenyl)-1,3-oxazolidin-2-one 700370-54-3P, 1-[[(5R)-3-(3-Fluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl]-1H-1,2,3-triazole-4-carboxaldehyde 700370-56-5P, 3-Bromo-2-methyl-6-(4-methyl-1H-1,2,3-triazol-1-yl)pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted oxazolidinones as antibiotics)

L8 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:292029 CAPLUS
DOCUMENT NUMBER: 140:321158
TITLE: Methods of preparation of bifunctional heterocyclic compounds for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents
INVENTOR(S): Wang, Deping; Sutcliffe, Joyce A.; Oyelere, Adegboyega K.; Mcconnell, Timothy S.; Ippolito, Joseph A.; Abelson, John N.
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029066	A2	20040408	WO 2003-US30478	20030926
WO 2004029066	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003278995	A1	20040419	AU 2003-278995	20030925
US 20050197334	A1	20050908	US 2003-671326	20030925
US 7091196	B2	20060815		
CA 2500158	A1	20040408	CA 2003-2500158	20030926
EP 1543017	A2	20050622	EP 2003-770506	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503848	T	20060202	JP 2004-540011	20030926
US 20060264385	A1	20061123	US 2006-359820	20060221
US 7335753	B2	20080226		

US 20080119419 A1 20080522 US 2007-4957 20071221
PRIORITY APPLN. INFO.: US 2002-414207P P 20020926
US 2003-448216P P 20030219
US 2003-671326 A1 20030925
WO 2003-US30478 W 20030926
US 2006-359820 A3 20060221
OTHER SOURCE(S): MARPAT 140:321158
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a family of bifunctional heterocyclic compds., e.g., I [A = C, C(:O), N (with proviso, that at least one A = C); B = O, NR2, S(O)r, C(:O), C(:S), C(:NOR3); p = 0, 1; q = 0, 1; r = 0 - 2; R2 = H, S(O)rR4, CHO, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); NR2R2 = 5 to 8-membered (un)saturated carbocycle or heterocycle (containing one or more N, S, O); R3 = H, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, C1-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 7-membered heterocycle (containing one or more N, S, O); NR3R3 = 5 to (un)saturated 7-membered carbocycle or heterocycle (containing one or more N, S, O); R4 = H, NR3R3, NR3OR3, NR3NR3R3, NHCOR3, C(:O)NR3R3, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, etc.; D = D1, D2, D3, D4; E = di- or penta-substituted Ph, substituted 4-vinylphenyl; G = C1-4-alkyl, C5-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)saturated or aromatic C5-10-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); Z = C,N,O,S; dashed line = single or double bond] or a pharmaceutically acceptable salt, ester or prodrug thereof, useful as antiinfective, antiproliferative, antiinflammatory and prokinetic agents (no data). The invention also provides methods of making the bifunctional hetercyclic compds., and methods of using such compds. as antiinfective, antiproliferative, antiinflammatory and/or prokinetic agents. Thus, erythromycin derivative II was prepared from N-(desmethylerythromycin), via N-alkylation with HC.tplbond.CCH2CH2OTs, and cycloaddn. with azide III.

IT 149524-42-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(Mitsunobu coupling of, with isoxazolone derivative; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

IT 181997-30-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenolytic debenzylation of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

IT 487041-08-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(mesylation or cyanation of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

IT 677727-23-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cycloaddn. of, with alkynes; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

IT 87508-45-0P 98048-84-1P 181997-23-9P
250372-35-1P 677727-25-2P 677727-31-0P
677727-37-6P 677727-42-3P 677727-45-6P
677727-74-1P 677728-45-9P 677728-49-3P 677728-85-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and mesylation of; preparation of bifunctional heterocyclic compds.
for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

IT 677727-28-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and mesylation or sequential reaction of, with hydroxylamine and acetic anhydride; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

IT 264607-26-3P 677727-04-7P 677727-10-5P 677727-15-0P
677727-48-9P 677727-60-5P 677727-67-2P 677727-68-3P 677728-52-8P
677728-54-0P 677728-57-3P 677728-62-0P 677728-63-1P 677728-64-2P
677728-65-3P 677728-66-4P 677728-73-3P 677728-75-5P 677728-81-3P
677729-04-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, mesylation and azidation of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

IT 677727-22-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, nitrosation and azidation of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

L8 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:14735 CAPLUS
DOCUMENT NUMBER: 140:217539
TITLE: Copper-catalyzed N-arylation of 2-oxazolidinones. An expeditious route to toloxatone
AUTHOR(S): Cacchi, Sandro; Fabrizi, Giancarlo; Goggiamani, Antonella
CORPORATE SOURCE: Department of Chemistry and Technology of Biologically Active Substances, University of Rome "La Sapienza", Rome, 00185, Italy
SOURCE: Heterocycles (2003), 61, 505-512
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:217539

AB 3-Aryl-2-oxazolidinones are obtained in excellent yields through the copper-catalyzed N-arylation of 2-oxazolidinones with a variety of aryl iodides. With aryl halides containing both iodo and bromo substituents, a high C-I/C-Br selectivity can be achieved. The procedure has been successfully applied to the preparation of a key intermediate in the synthesis

of linezolid and to develop an expeditious route to toloxatone.
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 5198-47-0P 5198-48-1P 5198-49-2P 5198-52-7P 29218-27-7P
37072-63-2P 88636-91-3P 90052-63-4P 103989-12-4P 118176-50-4P
223555-95-1P 353265-73-3P 360580-17-2P 513068-57-0P 665003-39-4P
665003-40-7P 853309-41-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 3-aryl-2-oxazolidinone synthons via copper-catalyzed N-arylation of 2-oxazolidinones with aryl halides)

L8 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:929541 CAPLUS
DOCUMENT NUMBER: 140:5040
TITLE: Preparation of bis(2-oxooxazolidin-3-yl)biphenyl derivatives as antibacterial agents
INVENTOR(S): Shiokawa, Sojiro; Ishikawa, Makoto; Yanagisawa, Yumiko; Kawaguchi, Masami; Maehashi, Kazunori; Yoshida, Satoshi
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003335762	A	20031128	JP 2002-144414	20020520
PRIORITY APPLN. INFO.:			JP 2002-144414	20020520
OTHER SOURCE(S):	MARPAT 140:5040			

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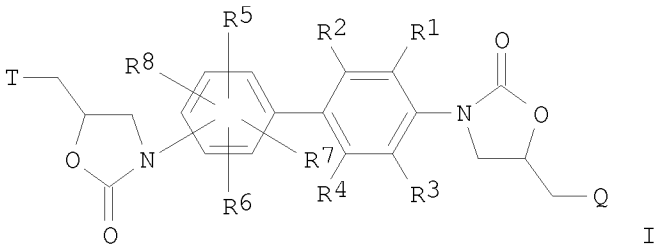

I

AB The title compds. [I; R1-R5 = H, halo,(un)substituted alkoxy;T, Q = halo, OH, NH2, N3, each (un)substituted C1-8 alkoxy, C1-8 alkanoyloxy, C1-8 alkylsulfonyl, C1-8 alkylsulfonyloxy, mono- or di(C1-8 alkyl)amino, C1-8 alkanoylamino, C3-8 cycloalkenylcarbonylamino, monocyclic (un)saturated heterocyclylcarbonylamino, C1-8 alkyloxycarbonylamino, tri(C1-8 alkyl)silyloxy, or N-C1-8 alkyl-N-C1-8 alkyloxycarbonylamino] or pharmacol. acceptable salts thereof or hydrates thereof are prepared These compds. I possess antibacterial activity against sensitive bacteria on which existing drugs are effective as well as multidrug-resistant bacteria such as methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant enterococci (VRE), and Penicillin-resistant Streptococcus pneumoniae (PRSP). Thus, 7.5 μL Et dithioacetate was added to a solution of 15.1 mg 4-[(5S)-5-acetamidomethyl-2-oxooxazolidin-3-

yl]-4'-[(5S)-5-aminomethyl-2-oxooxazolidin-3-yl]-2,2'-difluorobiphenyl (preparation given) in 0.5 mL DMF and stirred at room temperature for 14 h to give
80% 4-[(5S)-5-acetamidomethyl-2-oxooxazolidin-3-yl]-4'-[(5S)-5-thioacetamidomethyl-2-oxooxazolidin-3-yl]-2,2'-difluorobiphenyl (II). II showed min. inhibitory concentration of 0.125 μg/mL against MRSA and vancomycin-resistant Enterococcus faecium.

IT 501939-76-0P 627541-97-3P 627541-99-5P 627542-07-8P 627542-12-5P
627542-15-8P 627542-17-0P 627542-22-7P 627542-26-1P 627542-28-3P
627542-37-4P 627542-40-9P 627542-42-1P 627542-45-4P 627542-48-7P
627542-52-3P 627542-60-3P 627542-66-9P 627542-70-5P 627542-72-7P
627542-80-7P 627542-81-8P 627542-82-9P 627542-84-1P
627542-85-2P 627542-87-4P 627542-89-6P 627542-91-0P
627542-92-1P 627542-95-4P 627542-96-5P 627542-97-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of bisoxooxazolidinyl)biphenyl derivs. as antibacterial agents)

IT 501939-75-9P 501939-79-3P 627541-95-1P 627541-96-2P
627541-98-4P 627542-00-1P 627542-01-2P 627542-02-3P 627542-04-5P
627542-05-6P 627542-06-7P 627542-09-0P 627542-10-3P 627542-11-4P
627542-13-6P 627542-14-7P 627542-16-9P 627542-18-1P 627542-19-2P
627542-20-5P 627542-21-6P 627542-23-8P 627542-24-9P
627542-25-0P 627542-27-2P 627542-31-8P 627542-32-9P
627542-34-1P 627542-36-3P 627542-39-6P 627542-44-3P 627542-46-5P
627542-50-1P 627542-54-5P 627542-55-6P
627542-57-8P 627542-63-6P 627542-64-7P 627542-69-2P 627542-71-6P
627542-73-8P 627542-74-9P 627542-75-0P 627542-76-1P 627542-77-2P
627542-78-3P 627542-79-4P 627542-83-0P 627542-86-3P
627542-88-5P 627542-90-9P 627542-93-2P
627542-98-7P 627542-99-8P 627543-00-4P 627543-01-5P
627543-02-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bisoxooxazolidinyl)biphenyl derivs. as antibacterial agents)

IT 50-00-0, Formaldehyde, reactions 74-88-4, Methyl iodide, reactions
79-14-1, Glycolic acid, reactions 91-93-0 98-59-9, p-Toluenesulfonyl chloride 107-30-2, Chloromethyl methyl ether 108-24-7, Acetic anhydride 121-46-0, Norbornadiene 124-63-0, Mesyl chloride 138-59-0, Shikimic acid 288-32-4, Imidazole, reactions 870-73-5, Ethyl dithioacetate 1072-84-0, Imidazole-4-carboxylic acid 1074-82-4
4023-02-3, 1H-Pyrazole-1-carboxamidine hydrochloride 4530-20-5, N-tert-Butoxycarbonylglycine 5728-07-4, 1,2,5-Thiadiazol-3(2H)-one
5777-20-8, 3-Hydroxyisoxazole 6057-35-8, L-Glyceric acid calcium salt dihydrate 13734-38-8 16695-14-0, Malonic acid methyl ester
18162-48-6, tert-Butyldimethylsilyl chloride 20866-46-0 23138-56-9, 3-Iodophenyl isocyanate 24424-99-5, Di-tert-butyl dicarbonate
26628-22-8, Sodium azide 40052-13-9 60456-26-0, (R)-Glycidyl butyrate
67919-37-3 73183-34-3, Bis(pinacolato)diborane 84891-50-9 97745-69-2
104010-92-6 149524-42-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bisoxooxazolidinyl)biphenyl derivs. as antibacterial agents)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bisoxooxazolidinyl)biphenyl derivs. as antibacterial agents

L8 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:696875 CAPLUS
DOCUMENT NUMBER: 139:214457
TITLE: Preparation of 3-aryl-2-oxo-5-oxazolidinecarboxamides and analogs as antibacterial agents
INVENTOR(S): Thomas, Richard C.; Poel, Toni-Jo; Barbachyn, Michael R.; Gordeev, Mikhail F.; Luehr, Gary W.; Renslo, Adam; Singh, Upinder
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 234 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003072553	A1	20030904	WO 2003-US3125		20030224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
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CA 2476038	A1	20030904	CA 2003-2476038		20030224
AU 2003210807	A1	20030909	AU 2003-210807		20030224
EP 1478629	A1	20041124	EP 2003-743112		20030224
EP 1478629	B1	20061011			
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BR 2003007924	A	20041207	BR 2003-7924		20030224
CN 1639135	A	20050713	CN 2003-804498		20030224
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AT 342258	T	20061115	AT 2003-743112		20030224
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ES 2271615	T3	20070416	ES 2003-743112		20030224
IN 2004DN02051	A	20070119	IN 2004-DN2051		20040716
ZA 2004006362	A	20060222	ZA 2004-6362		20040811
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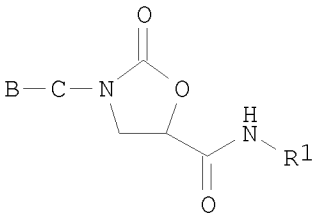
NO 2004004062	A	20041026	NO 2004-4062		20040924
PRIORITY APPLN. INFO.:			US 2002-359495P	P	20020225
			WO 2003-US3125	W	20030224

OTHER SOURCE(S): MARPAT 139:214457
GI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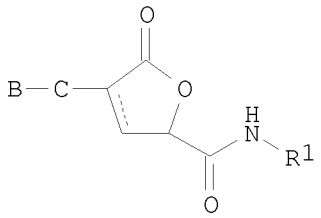
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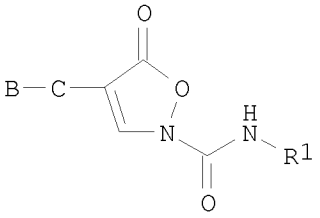
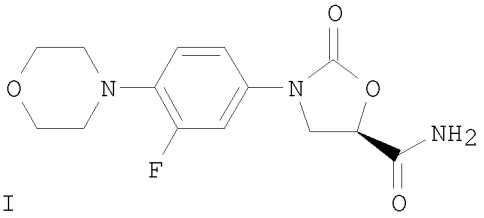

III


IV

AB Title compds. of formula I, II, and III [wherein B = (un)substituted cycloalkyl, cycloalkenyl, aryl, or heterocyclyl; C = (hetero)aryl (un)substituted with 1-3 R2; or BC = (un)substituted bicyclic heterocycle; R1 = H, OH, cycloalkyl, NH2, or (un)substituted alkyl, alkoxy, or alkenyl; R2 = independently H, NH2, NO2, CN, halo, or (un)substituted alkyl; with provisos; and pharmaceutically acceptable salts thereof] were prepared as antibacterial agents. Examples include syntheses and biol. data for 91 compds. For instance, benzyl 3-fluoro-4-(4-morpholinyl)phenylcarbamate was stirred with BuLi in THF at -78° for 30 min. Cycloaddn. with potassium (2R)-glycidate gave the 2-oxo-5-oxazolidinecarboxylic acid, which was amidated using oxalyl chloride and ammonium to afford (R)-(-)-IV. Compds. of the invention inhibited growth of Staphylococcus aureus with MIC values ranging from 0.5 μg/mL to 16 μg/mL. Thus, I, II, and III and their pharmaceutical compns. are useful for the treatment of microbial infections.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 487041-12-3P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-pyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-18-9P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-38-3P, (5R)-(-)-3-[3-Fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S-oxide 487041-40-7P, (5R)-(-)-3-[3,5-Difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S-oxide 590421-69-5P, (5R)-3-[4-(4-Oxo-3,4-dihydro-1(2H)-pyridinyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antibacterial agent; preparation of aryloxazolidinecarboxamides and analogs as antibacterial agents)

IT 487040-98-2P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 487041-01-0P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-methyl-2-oxooxazolidine-5-carboxamide 487041-02-1P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-allyl-2-oxooxazolidine-5-carboxamide 487041-03-2P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-propyl-2-oxooxazolidine-5-carboxamide 487041-04-3P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-methoxy-2-oxooxazolidine-5-carboxamide

487041-05-4P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-hydroxy-2-oxooxazolidine-5-carboxamide 487041-07-6P, (5R)-(-)-3-[4-(3-Pyridyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-11-2P, (5R)-(-)-3-[4-(4-Pyridyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-14-5P, (5R)-(-)-3-[4-(Tetrahydro-2H-pyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-15-6P, (5R)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S-oxide 487041-19-0P , (5R)-(-)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-20-3P, (5R)-(-)-3-[3-Fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxooxazolidine-5-carboxamide 487041-26-9P, (5R)-(-)-3-[4-(Thiomorpholin-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-28-1P, (5R)-(-)-3-[3,5-Difluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 487041-31-6P, (5R)-(-)-3-[4-(Thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-35-0P, (5R)-(-)-3-[3-Fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-45-2P, (5R)-(-)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 590420-65-8P, (5R)-(-)-3-(2,3-Dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-67-0P, (5R)-(-)-3-(2,3-Dihydro-3-ethyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-70-5P, (5R)-(-)-3-(2,3-Dihydro-3-isopropyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-72-7P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-73-8P, (5R)-(-)-N-Ethyl-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-74-9P, (5R)-(-)-N-(2-Hydroxyethyl)-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-75-0P, (5R)-N-(2-Fluoroethyl)-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-76-1P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-ethyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-77-2P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-isopropyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590420-78-3P, (5R)-(-)-3-(2,3-Dihydro-3-methyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590420-81-8P, (5R)-(-)-3-(2,3-Dihydro-3-ethyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590420-83-0P, (5R)-(-)-3-(2,3-Dihydro-3-isopropyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590420-87-4P, (5R)-(-)-N-Methyl-3-[4-(Tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 590420-88-5P, (5R)-(-)-N-Methyl-3-[3,5-difluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590420-89-6P, (5R)-(-)-N-Methyl-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S-oxide 590420-92-1P 590420-94-3P, (5R)-3-[3,5-Difluoro-4-(Tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 590421-05-9P 590421-07-1P 590421-09-3P 590421-10-6P, (5R)-3-((2R)-2,3-Dihydro-1-formyl-2-methyl-1H-indol-5-yl)-2-oxooxazolidine-5-carboxamide 590421-17-3P, (5R)-3-[(2R)-2,3-Dihydro-1-(hydroxyacetyl)-2-methyl-1H-indol-5-yl]-2-oxooxazolidine-5-carboxamide 590421-20-8P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-methyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590421-21-9P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-ethyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590421-22-0P, (5R)-(-)-N-Methyl-3-(2,3-dihydro-3-isopropyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590421-23-1P, (5R)-3-[4-(5,7-Dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-25-3P, (5R)-N-Methyl-3-[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-26-4P 590421-31-1P

, (5R)-(-)-3-[3,5-Difluoro-4-[1-(methoxycarbonyl)-3-methylazetidin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 590421-40-2P, (5R)-(-)-N-Methyl-3-[3,5-difluoro-4-[1-(methoxycarbonyl)-3-methylazetidin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide 590421-41-3P, (5R)-3-(3,4-Dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-43-5P, (5R)-N-Methyl-3-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-44-6P, (5R)-N-(2-Fluoroethyl)-3-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-45-7P, (5R)-3-(3,4-Dihydro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-48-0P, (5R)-N-Methyl-3-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590421-49-1P, (5R)-3-[3-Fluoro-4-(5-oxo-5,6-dihydro-4H-[1,3,4]thiadiazin-2-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-57-1P, (5R)-3-[4-(1,1-Dioxido-2,3-dihydro-4H-1,4-thiazin-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-60-6P, (5R)-3-[4-(2,5-Dihydro-1H-pyrrol-1-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-65-1P, (5R)-3-(1-Methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 590421-68-4P, (5R)-N-Methyl-3-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 590421-75-3P, (5R)-N-Methyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-76-4P, (5R)-N-Ethyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-77-5P, (5R)-3-[4-(4-Oxo-3,4-dihydro-1(2H)-pyridinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-83-3P, (5R)-N-Methyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-84-4P, (5R)-N-Ethyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-85-5P, (5R)-N-(2-Fluoroethyl)-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590421-86-6P, (5R)-3-[4-(4-Oxo-3,4-dihydro-1(2H)-pyridinyl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-92-4P, (5R)-N-Methyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-93-5P, (5R)-N-Ethyl-3-[4-(4-oxo-3,4-dihydro-1(2H)-pyridinyl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-94-6P, (5R)-3-[4-[3,4-Dihydro-4-(hydroxyimino)-2H-pyridin-1-yl]-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 590421-95-7P, (5R)-3-(2,2-Difluoro-4-methyl-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-00-7P, (5R)-N-Methyl-3-(2,2-difluoro-4-methyl-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-01-8P, (5R)-3-(8-Fluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 590422-07-4P, (5R)-N-Methyl-3-(8-fluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxamide 590422-08-5P, (5R)-3-(4-Methyl-3-thioxo-3,4-dihydro-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-10-9P 590422-11-0P, (5R)-3-(4-Fluoro-3-methyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590422-19-8P, (5R)-3-(3-Ethyl-4-fluoro-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590422-24-5P, (5R)-3-(4-Fluoro-3-isopropyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxamide 590422-29-0P, (5R)-3-(4-Fluoro-3-methyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-N-methyl-2-oxooxazolidine-5-carboxamide 590422-30-3P, (5R)-3-(3-Ethyl-4-fluoro-2-oxo-2,3-dihydro-6-benzoxazolyl)-N-methyl-2-oxooxazolidine-5-carboxamide 590422-31-4P, (5R)-3-(4-Fluoro-3-isopropyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-N-methyl-2-oxooxazolidine-5-carboxamide 590422-32-5P 590422-33-6P 590422-34-7P 590422-35-8P 590422-36-9P 590422-37-0P 590422-38-1P 590422-39-2P 590422-40-5P

590422-41-6P, (5R)-3-[3-Fluoro-4-(1-imino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-42-7P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-imino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-43-8P, (5R)-3-[3-Fluoro-4-(1-methylimino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-44-9P, (5R)-N-Methyl-3-[3-Fluoro-4-(1-methylimino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-45-0P, (5R)-3-[3,5-Difluoro-4-(1-imino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-46-1P, (5R)-N-Methyl-3-[3,5-Difluoro-4-(1-imino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-47-2P, (5R)-3-[3,5-Difluoro-4-(1-methylimino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-48-3P, (5R)-N-Methyl-3-[3,5-Difluoro-4-(1-methylimino-1-oxido-4-thiomorpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-49-4P, (5R)-3-(2,3-Dihydro-3-methyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-50-7P, (5R)-N-Methyl-3-(2,3-dihydro-3-methyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-51-8P, (5R)-3-(2,3-Dihydro-3-ethyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-52-9P, (5R)-N-Methyl-3-(2,3-dihydro-3-ethyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-53-0P, (5R)-3-(2,3-Dihydro-3-isopropyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-54-1P, (5R)-N-Methyl-3-(2,3-dihydro-3-isopropyl-4-fluoro-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxamide 590422-55-2P, (5R)-3-[3,5-Difluoro-4-(1-formyl-3-methylazetidin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-56-3P, (5R)-N-Methyl-3-[3,5-difluoro-4-(1-formyl-3-methylazetidin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-57-4P, (5R)-3-[3-Fluoro-4-(1-formyl-3-methylazetidin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-58-5P, (5R)-N-Methyl-3-[3-fluoro-4-(1-formyl-3-methylazetidin-3-yl)phenyl]-2-oxooxazolidine-5-carboxamide 590422-59-6P, (5R)-3-(3,4-Dihydro-5-fluoro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-60-9P, (5R)-N-Methyl-3-(3,4-dihydro-5-fluoro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-61-0P, (5R)-3-(3,4-Dihydro-5-fluoro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-62-1P, (5R)-N-Methyl-3-(3,4-dihydro-5-fluoro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-63-2P, (5R)-3-(2-Formyl-2,3,4,5-tetrahydro-1H-2-benzazepin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-64-3P, (5R)-N-Methyl-3-(2-formyl-2,3,4,5-tetrahydro-1H-2-benzazepin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-65-4P, (5R)-3-[2-(Hydroxyacetyl)-2,3,4,5-tetrahydro-1H-2-benzazepin-7-yl]-2-oxooxazolidine-5-carboxamide 590422-66-5P, (5R)-N-Methyl-3-[2-(hydroxyacetyl)-2,3,4,5-tetrahydro-1H-2-benzazepin-7-yl]-2-oxooxazolidine-5-carboxamide 590422-67-6P, (5R)-3-(3-Formyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-68-7P, (5R)-N-Methyl-3-(3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxooxazolidine-5-carboxamide 590422-69-8P, (5R)-3-[3-(Hydroxyacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxooxazolidine-5-carboxamide 590422-70-1P, (5R)-N-Methyl-3-[3-(hydroxyacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxooxazolidine-5-carboxamide 590422-71-2P 590422-72-3P 590422-73-4P 590422-74-5P 590422-75-6P 590422-76-7P 590422-77-8P 590422-78-9P 591233-29-3P 591233-31-7P 591233-33-9P 591233-35-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(antibacterial agent; preparation of aryloxazolidinecarboxamides and analogs as antibacterial agents)

IT 21762-75-4P, 3,4-Dihydro-7-nitro-2H-1,4-benzothiazin-3-one 22246-16-8P, 6-Nitro-3,4-dihydro-1H-quinolin-2-one 23451-98-1P, 2-Amino-5-nitrobenzenethiol 23499-01-6P, 1-(4-Nitrophenyl)piperidin-4-one 25203-34-3P, 2-Methylpropyl 4-bromophenylcarbamate 32418-07-8P, 6-Nitro-3-ethyl-3H-benzoxazol-2-one 32418-08-9P, 6-Nitro-3-isopropyl-3H-benzoxazol-2-one 53981-23-0P, 2-Amino-3-fluorophenol 57334-19-7P, 6-Amino-3-methyl-3H-benzothiazol-2-one 59020-09-6P, 3-(Trimethylstannyl)pyridine 60471-27-4P, 6-Nitro-3-ethyl-3H-benzothiazol-2-one 60471-30-9P, 6-Amino-3-ethyl-3H-benzothiazol-2-one 99584-10-8P, 6-Amino-3-methyl-3H-benzoxazol-2-one 101084-61-1P, 6-Nitro-3-methyl-3H-benzoxazol-2-one 141068-81-7P, 4-Methyl-7-amino-2H-1,4-benzoxazin-3-one 160564-65-8P, 2-(Benzyloxy)-6-fluoroaniline 160564-66-9P, 2-(Benzyloxy)-6-fluorobenzamide 184159-06-6P, 6-Nitro-3-isopropyl-3H-benzothiazol-2-one 184159-07-7P, 6-Amino-3-isopropyl-3H-benzothiazol-2-one 184159-08-8P, 6-Amino-3-ethyl-3H-benzoxazol-2-one 233775-29-6P, 1-Methyl-6-nitro-3,4-dihydro-1H-quinolin-2-one 233775-30-9P, 6-Amino-1-methyl-3,4-dihydro-1H-quinolin-2-one 233775-40-1P, 3,4-Dihydro-4-methyl-7-nitro-2H-1,4-benzothiazin-3-one 233775-44-5P, 3,4-Dihydro-4-methyl-7-amino-2H-1,4-benzothiazin-3-one 288570-78-5P, 2-Methylpropyl [3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]carbamate 288570-82-1P, 2-Methylpropyl [4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]carbamate 371195-41-4P, 4-(3,6-Dihydro-2H-thiopyran-4-yl)-3,5-difluorobenzenamine 383199-85-7P, 4-(2,6-Difluoro-4-nitrophenyl)thiomorpholine 383199-89-1P, 4-(2,6-Difluorophenyl)thiomorpholine 1,1-dioxide 383199-90-4P, 4-(2,6-Difluoro-4-nitrophenyl)thiomorpholine 1,1-dioxide 383199-91-5P, 4-(1,1-Dioxido-4-thiomorpholinyl)-3,5-difluoroaniline 439097-58-2P, 1-(2-Fluoro-4-nitrophenyl)piperidin-4-one 470710-70-4P, 3-Fluoro-4-(tetrahydro-2H-thiopyran-4-yl)benzenamine 473871-38-4P, Isobutyl [4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]carbamate 487040-99-3P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylic acid 487041-00-9P, (5R)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carbonyl chloride 487041-06-5P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-benzyloxy-2-oxooxazolidine-5-carboxamide 487041-08-7P, (5R)-(-)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyl-2-oxazolidinone 487041-09-8P, (-)-Methyl (5R)-3-(3-fluoro-4-iodophenyl)-2-oxooxazolidine-5-carboxylate 487041-10-1P, (5R)-(-)-3-(3-Fluoro-4-iodophenyl)-2-oxooxazolidine-5-carboxamide 487041-13-4P, (5R)-3-[4-(Trimethylstannyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-16-7P, (-)-Methyl (5R)-3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxylate 487041-17-8P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-21-4P, (-)-Phenylmethyl 4-[4-[(5R)-5-(aminocarbonyl)-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinecarboxylate 487041-22-5P, 1-(Phenylmethyl)-4-[4-((5R)-5-carboxy-2-oxo-3-oxazolidinyl)-2-fluorophenyl]-1-piperazinecarboxylate 487041-23-6P, Phenylmethyl 4-[2-fluoro-4-[(5R)-5-(methoxycarbonyl)-2-oxo-3-oxazolidinyl]phenyl]-1-piperazinecarboxylate 487041-24-7P, (5R)-3-[3-Fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxooxazolidine-5-carboxamide 487041-25-8P, (5R)-3-[3-Fluoro-4-(piperazin-1-yl)phenyl]-2-oxooxazolidine-5-carboxamide 487041-27-0P, Ethyl (5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-29-2P, Butyl (5R)-3-[3,5-difluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-30-5P 487041-32-7P, Butyl (5R)-3-[4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-33-8P 487041-34-9P, Butyl (5R)-3-[4-

(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-36-1P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-37-2P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-39-4P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S-oxide 487041-41-8P, Butyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-42-9P, Butyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S-oxide 487041-43-0P, Butyl (5R)-3-[3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-47-4P, 2-Methylpropyl [4-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)phenyl]carbamate 487041-48-5P, 2-Methylpropyl [4-(tetrahydro-2H-thiopyran-4-yl)phenyl]carbamate 487041-49-6P, 4-(Tetrahydro-2H-thiopyran-4-yl)benzenamine 487041-50-9P, Butyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-51-0P, Butyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-52-1P , (5R)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-hydroxymethyl-2-oxazolidinone S,S-dioxide 487041-53-2P, Methyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 565459-83-8P, 3,5-Difluoro-4-(4-thiomorpholinyl)aniline 565459-90-7P, 1-(2,6-Difluoro-4-nitrophenyl)piperidin-4-one 590420-63-6P 590420-64-7P 590420-66-9P, Butyl (5R)-3-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxylate 590420-68-1P, Butyl (5R)-3-(2,3-dihydro-3-ethyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxylate 590420-69-2P 590420-71-6P, Methyl (5R)-3-(2,3-dihydro-3-isopropyl-2-oxo-6-benzothiazolyl)-2-oxooxazolidine-5-carboxylate 590420-79-4P, Butyl (5R)-3-(2,3-dihydro-3-methyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590420-80-7P 590420-82-9P, Butyl (5R)-3-(2,3-dihydro-3-ethyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590420-84-1P, 2-(Isopropylamino)-5-nitrophenol 590420-85-2P, 6-Amino-3-isopropyl-3H-benzoxazol-2-one 590420-86-3P, Butyl (5R)-3-(2,3-dihydro-3-isopropyl-2-oxo-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590420-90-9P, Methyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 590420-91-0P, Methyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S-oxide 590420-93-2P, Methyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 590420-95-4P, 1-(3,5-Difluorophenyl)-2,5-dimethyl-1H-pyrrole 590420-96-5P, 4-[4-(2,5-Dimethyl-1H-pyrrol-1-yl)-2,6-difluorophenyl]tetrahydro-2H-thiopyran-4-ol 590420-97-6P, 1-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-2,5-dimethyl-1H-pyrrole 590420-98-7P, Isobutyl [4-(3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]carbamate 590420-99-8P, Isobutyl [4-(tetrahydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]carbamate 590421-00-4P 590421-01-5P, Isobutyl [4-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)-3,5-difluorophenyl]carbamate 590421-02-6P, 3,5-Difluoro-4-(tetrahydro-2H-thiopyran-4-yl)benzenamine 590421-03-7P, Butyl (5R)-3-[3,5-difluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 590421-04-8P, Butyl (5R)-3-[3,5-difluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 590421-06-0P 590421-08-2P 590421-11-7P, (2R)-2,3-Dihydro-2-methyl-1H-indol-5-amine 590421-12-8P, (2R)-5-(2,5-Dimethyl-1H-pyrrol-1-yl)-2,3-dihydro-2-methyl-1H-indole 590421-13-9P, Phenylmethyl (2R)-5-(2,5-dimethyl-1H-pyrrol-1-yl)-2,3-dihydro-2-methyl-1H-indole-1-carboxylate 590421-14-0P, Phenylmethyl (2R)-5-amino-2,3-dihydro-2-methyl-1H-indole-1-carboxylate 590421-15-1P, Phenylmethyl (2R)-2,3-dihydro-5-[(5R)-5-(methoxycarbonyl)-2-oxo-3-oxazolidinyl]-2-methyl-1H-indole-1-carboxylate 590421-16-2P, Methyl (5R)-3-((2R)-2,3-dihydro-1-formyl-2-methyl-1H-indol-5-yl)-2-oxooxazolidine-5-carboxylate 590421-18-4P, Methyl (5R)-3-[(2R)-2,3-dihydro-1-

[(phenylmethoxy)acetyl]-2-methyl-1H-indol-5-yl]-2-oxooxazolidine-5-carboxylate 590421-19-5P, Methyl (5R)-3-[(2R)-2,3-dihydro-1-(hydroxyacetyl)-2-methyl-1H-indol-5-yl]-2-oxooxazolidine-5-carboxylate 590421-24-2P, Methyl (5R)-3-[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxylate 590421-27-5P 590421-28-6P 590421-29-7P 590421-30-0P 590421-32-2P, Ethyl 2-cyano-2-(4-nitro-2,6-difluorophenyl)propionate 590421-33-3P, 3-Methyl-3-(4-amino-2,6-difluorophenyl)azetidin-2-one 590421-34-4P 590421-35-5P 590421-36-6P, Methyl 3-(4-amino-2,6-difluorophenyl)-3-methylazetidine-1-carboxylate 590421-37-7P 590421-38-8P, Methyl (5R)-3-[3,5-difluoro-4-[1-(methoxycarbonyl)-3-methylazetidin-3-yl]phenyl]-2-oxooxazolidine-5-carboxylate 590421-39-9P 590421-42-4P, (5R)-3-(3,4-Dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590421-46-8P, (5R)-3-(3,4-Dihydro-4-methyl-3-oxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590421-47-9P 590421-50-4P 590421-51-5P 590421-52-6P, [[(tert-Butoxycarbonylhydrazono)(2-fluoro-4-nitrophenyl)methyl]sulfanyl]acetic acid methyl ester 590421-53-7P, 2-(2-Fluoro-4-nitrophenyl)-4H-[1,3,4]thiadiazin-5-one 590421-54-8P, 2-(4-Amino-2-fluorophenyl)-4H-[1,3,4]thiadiazin-5-one 590421-55-9P, (5R)-3-[3-Fluoro-4-(5-oxo-5,6-dihydro-4H-[1,3,4]thiadiazin-2-yl)phenyl]-2-oxooxazolidine-5-carboxylic acid butyl ester 590421-56-0P 590421-58-2P, Methyl (2R)-3-[[4-(1,1-dioxidothiomorpholin-4-yl)-3,5-difluorophenyl]amino]-2-hydroxypropanoate 590421-59-3P, Methyl (5R)-3-[4-(1,1-dioxido-2,3-dihydro-4H-1,4-thiazin-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxylate 590421-61-7P, 1-(2,6-Difluoro-4-nitrophenyl)-2,5-dihydro-1H-pyrrole 590421-62-8P, 4-(2,5-Dihydro-1H-pyrrol-1-yl)-3,5-difluoroaniline 590421-63-9P, Methyl (2R)-3-[[4-(2,5-dihydro-1H-pyrrol-1-yl)-3,5-difluorophenyl]amino]-2-hydroxypropanoate 590421-64-0P, Methyl (5R)-3-[4-(2,5-dihydro-1H-pyrrol-1-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxylate 590421-66-2P, (5R)-3-(1-Methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590421-67-3P 590421-70-8P, 1-(2-Fluoro-4-nitrophenyl)-4-[(trimethylsilyl)oxy]-1,2,3,6-tetrahydropyridine 590421-71-9P, 1-(2-Fluoro-4-nitrophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-72-0P, 1-(4-Amino-2-fluorophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-73-1P, (R)-3-[[3-Fluoro-4-(4-oxo-3,4-dihydro-2H-pyridin-1-yl)phenyl]amino]-2-hydroxypropionic acid ethyl ester 590421-74-2P 590421-78-6P, 1-(4-Nitrophenyl)-4-(triisopropylsilanyloxy)-1,2,3,6-tetrahydropyridine 590421-79-7P, 1-(4-Nitrophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-80-0P, 1-(4-Aminophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-81-1P, (5R)-3-[4-(4-Oxo-3,4-dihydro-2H-pyridin-1-yl)phenyl]-2-oxooxazolidine-5-carboxylic acid ethyl ester 590421-82-2P 590421-87-7P, 1-(2,6-Difluoro-4-nitrophenyl)-4-(triisopropylsilanyloxy)-1,2,3,6-tetrahydropyridine 590421-88-8P, 1-(2,6-Difluoro-4-nitrophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-89-9P, 1-(4-Amino-2,6-fluorophenyl)-2,3-dihydro-1H-pyridin-4-one 590421-90-2P, (5R)-3-[3,5-Difluoro-4-(4-oxo-3,4-dihydro-2H-pyridin-1-yl)phenyl]-2-oxooxazolidine-5-carboxylic acid butyl ester 590421-91-3P 590421-96-8P, 2,2-Difluoro-4-methyl-7-nitro-4H-1,4-benzoxazin-3-one 590421-97-9P, 2,2-Difluoro-4-methyl-7-amino-4H-1,4-benzoxazin-3-one 590421-98-0P, (5R)-3-(2,2-Difluoro-4-methyl-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590421-99-1P 590422-02-9P, 8-Fluoro-6-nitro-3,4-dihydro-1H-quinolin-2-one 590422-03-0P, 8-Fluoro-1-methyl-6-nitro-3,4-dihydro-1H-quinolin-2-one 590422-04-1P, 6-Amino-8-fluoro-1-methyl-3,4-dihydro-1H-quinolin-2-one 590422-05-2P, (R)-3-[(8-Fluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)amino]-2-hydroxypropionic acid methyl ester 590422-06-3P, (5R)-3-(8-Fluoro-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590422-09-6P,

(5R)-3-(3,4-Dihydro-4-methyl-3-thioxo-2H-1,4-benzothiazin-7-yl)-2-oxooxazolidine-5-carboxylic acid methyl ester 590422-12-1P, 4-Fluoro-1,3-benzoxazol-2(3H)-one 590422-13-2P, 4-Fluoro-6-nitro-1,3-benzoxazol-2(3H)-one 590422-15-4P, 4-Fluoro-3-methyl-6-nitro-1,3-benzoxazol-2(3H)-one 590422-16-5P, 6-Amino-4-fluoro-3-methyl-1,3-benzoxazol-2(3H)-one 590422-17-6P, Methyl (2R)-3-[(4-fluoro-3-methyl-2-oxo-2,3-dihydro-6-benzoxazolyl)amino]-2-hydroxypropanoate 590422-18-7P, Methyl (5R)-3-(4-fluoro-3-methyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590422-20-1P, 3-Ethyl-4-fluoro-6-nitro-1,3-benzoxazol-2(3H)-one 590422-21-2P, 6-Amino-3-ethyl-4-fluoro-1,3-benzoxazol-2(3H)-one 590422-22-3P, Methyl (2R)-3-[(3-ethyl-4-fluoro-2-oxo-2,3-dihydro-6-benzoxazolyl)amino]-2-hydroxypropanoate 590422-23-4P, Methyl (5R)-3-(3-ethyl-4-fluoro-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 590422-25-6P, 4-Fluoro-3-isopropyl-6-nitro-1,3-benzoxazol-2(3H)-one 590422-26-7P, 6-Amino-4-fluoro-3-isopropyl-1,3-benzoxazol-2(3H)-one 590422-27-8P, Methyl (2R)-3-[(4-fluoro-3-isopropyl-2-oxo-2,3-dihydro-6-benzoxazolyl)amino]-2-hydroxypropanoate 590422-28-9P, Methyl (5R)-3-(4-fluoro-3-isopropyl-2-oxo-2,3-dihydro-6-benzoxazolyl)-2-oxooxazolidine-5-carboxylate 591233-30-6P 591233-32-8P 591233-34-0P 591233-36-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aryloxazolidinecarboxamides and analogs as antibacterial agents)

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INVENTOR(S): Gravestock, Michael Barry
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
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WO 2003035648	A1	20030501	WO 2002-GB4796	20021023
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AU 2002336199	A1	20030506	AU 2002-336199	20021023
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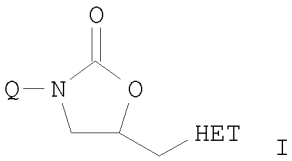

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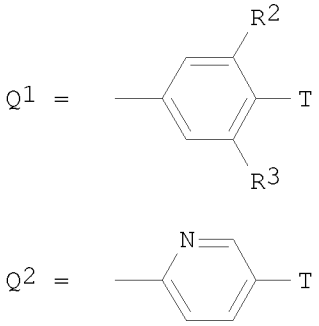
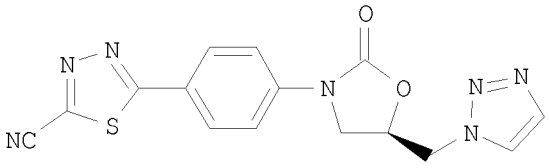




II

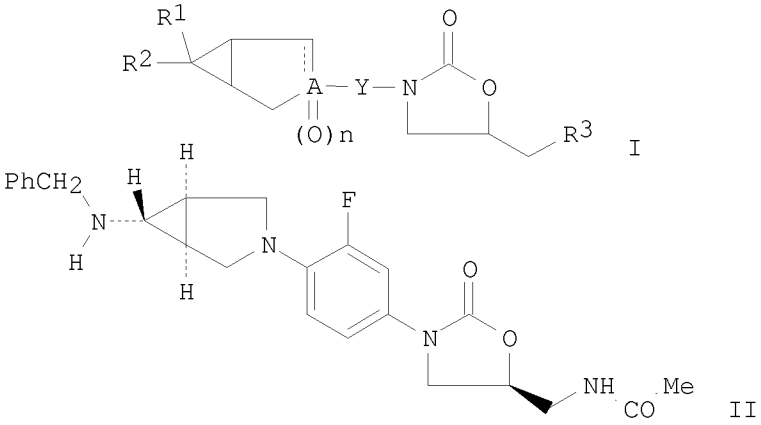
AB Title compds. I [wherein HET = (un)substituted N-linked 5-membered heterocyclic or 6-membered dihydroheteroaryl ring containing heteroatoms selected from N, O, and S; Q = Q1, Q2, etc.; R2 and R3 = independently H or F; T = (un)substituted C-linked 5-membered heteroaryl containing 1-3 heteroatoms selected from N, O, and S; preferably T = (un)substituted 1,3,4-thiadiazolyl, thiazolyl, 1,3,4-oxadiazolyl, or oxazolyl; and pharmaceutically acceptable salts or hydrolyzable esters thereof] were prepared as antibacterial agents. For example, (5R)-3-(3-fluoro-4-iodophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one was mesylated and the product converted to the azide. Cyclization of the azide with bicyclo[2.2.1]heptadiene gave the 1,2,3-triazole, which was substituted with hexamethylditin to afford the stannane. Reaction with 5-chloro-1,3,4-thiadiazole-2-carbonitrile in the presence of AsPh3 and tris(dibenzylidenenacetone)dipalladium in N-methyl-2-pyrrolidinone provided II. The latter inhibited bacterial growth against Staphylococcus aureus (methicillin sensitive and quinolone sensitive), Staphylococcus aureus (methicillin resistant and quinolone resistant), Streptococcus pneumoniae, Streptococcus pyogenes, Haemophilus influenzae, and Moraxella catarrhalis with MIC values of 0.125 μg/mL, 0.25 μg/mL, 0.125 μg/mL, 0.125 μg/mL, 2 μg/mL, and 0.5 μg/mL,resp.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 78-95-5, 1-Chloroacetone 140-87-4, Cyanoacetohydrazide 431-35-6, 1-Bromo-3,3,3-trifluoroacetone 661-69-8, Hexamethylditin 1068-57-1, Acetic acid hydrazide 64837-49-6, Ethyl 5-chloro-1,3,4-thiadiazole-2-carboxylate 195737-25-8, 5-Chloro-1,3,4-thiadiazole-2-carbonitrile 324789-00-6 487041-08-7, (5R)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one 519003-04-4, tert-Butyl

[(2-bromo-1,3-thiazol-5-yl)methyl]carbamate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (aryl)oxazolidinones as antibacterial agents)

L8 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:261821 CAPLUS
DOCUMENT NUMBER: 138:287661
TITLE: Preparation of bicyclo[3.1.0]hexane containing oxazolidinone derivatives for pharmaceutical use as antibiotics
INVENTOR(S): Fukuda, Yasumichi; Hammond, Milton L.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003027083	A1	20030403	WO 2002-US11921		20020417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2443410	A1	20030403	CA 2002-2443410		20020417
AU 2002360238	A1	20030407	AU 2002-360238		20020417
AU 2002360238	B2	20071115			
US 20030125367	A1	20030703	US 2002-123285		20020417
US 6897230	B2	20050524			
EP 1385834	A1	20040204	EP 2002-795477		20020417
EP 1385834	B1	20050914			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2005503435	T	20050203	JP 2003-530673		20020417
AT 304536	T	20050915	AT 2002-795477		20020417
ES 2248633	T3	20060316	ES 2002-795477		20020417
US 20050203144	A1	20050915	US 2004-843643		20040512
PRIORITY APPLN. INFO.:			US 2001-283956P	P	20010417
			US 2002-363928P	P	20020314
			US 2002-123285	A3	20020417
			WO 2002-US11921	W	20020417

OTHER SOURCE(S): MARPAT 138:287661
GI



AB Oxazolidinones, such as I [R1, R2 = H, CN, CHO, amino, alkyl, aminoalkyl, carboxy, carbamoyl, oxyiminomethyl, etc.; R3 = acylamino, thioacylamino, heterocyclyloxy, etc.; A = C, N; Y = arylene, heteroarylene; n = 0, 1], attached to a bicyclo[3.1.0]hexane, bicyclo[3.1.0]hexene or 3-azabicyclo[3.1.0]hexane moiety were prepared for therapeutic use and are effective against aerobic and anaerobic pathogens such as multi-resistant Staphylococci, Streptococci and Enterococci, Bacteroides, Clostridia, as well as acid-fast organisms such as Mycobacterium tuberculosis, and other mycobacterial species. Thus, N-[5(S)-3-[4-[(1α,5α,6α)-6-(N-benzyl)amino-3-azabicyclo[3.1.0]hexan-3-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (II) was prepared via a multistep synthetic sequence. The prepared oxazolidinones were tested for antibacterial activity against a variety of strains, such as Staphylococcus aureus, Streptococcus pneumoniae and Enterococcus faecium.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 392659-54-0P 504435-57-8P, N-[5(S)-3-[4-[(1α,5α,6α)-6-(N-Benzyl)amino-3-azabicyclo[3.1.0]hexan-3-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide 504435-58-9P 504435-59-0P
504435-60-3P 504435-62-5P 504435-63-6P 504435-65-8P
504435-67-0P 504435-68-1P 504435-69-2P 504435-70-5P 504435-73-8P
504435-74-9P 504435-75-0P 504435-76-1P 504435-77-2P
504435-79-4P 504435-80-7P 504435-81-8P 504435-83-0P
504435-90-9P 504435-91-0P 504435-93-2P 504435-94-3P 504435-96-5P
504435-99-8P 504436-07-1P 504436-12-8P 504436-14-0P 504436-15-1P
504436-17-3P 504436-18-4P 504436-21-9P 504436-22-0P 504436-26-4P
504436-27-5P 504436-28-6P 504436-29-7P 504436-30-0P 504436-36-6P
504436-37-7P 504436-45-7P 504436-57-1P 504436-59-3P 504436-60-6P
504436-64-0P 504436-66-2P 504436-67-3P 504436-76-4P
504436-77-5P 504436-82-2P 504436-85-5P 504436-86-6P 504436-87-7P
504436-88-8P 504436-89-9P 504436-90-2P 504436-91-3P
504436-92-4P 504436-94-6P 504436-95-7P 504436-96-8P
504436-97-9P 504436-98-0P 504436-99-1P 504437-03-0P 504437-04-1P
504437-05-2P 504437-06-3P 504437-07-4P 504437-09-6P
504437-11-0P 504437-12-1P 504437-13-2P 504437-20-1P 504437-21-2P
504437-22-3P 504437-26-7P 504437-27-8P 504437-30-3P
504437-33-6P 504437-35-8P 504437-37-0P 504437-38-1P
504437-39-2P 504437-41-6P 504437-43-8P 504437-44-9P,
5-(R)-3-[4-[(1α,5α,6α)-6-(N-tert-Butoxycarbonylamino)-3-azabicyclo[3.1.0]hexan-3-yl]phenyl]-5-hydroxymethyloxazolidin-2-one
504437-45-0P 504437-46-1P 504437-47-2P 504437-49-4P

504437-50-7P 504437-51-8P 504437-56-3P 504437-57-4P 504437-60-9P
504437-61-0P 504437-62-1P 504437-63-2P 504437-65-4P 504437-69-8P
504437-71-2P 504438-23-7P 504438-24-8P 504438-25-9P
504438-26-0P 504438-28-2P 504438-29-3P 504438-30-6P 505028-20-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of bicyclo[3.1.0]hexanyl-oxazolidinones for therapeutic use as antibiotics)

IT 98-09-9, Benzenesulfonyl chloride 100-44-7, Benzyl chloride, reactions
110-87-2, 3,4-Dihydro-2H-pyran 110-89-4, Piperidine, reactions
110-91-8, Morpholine, reactions 141-43-5, Ethanolamine, reactions
350-46-9, 4-Fluoronitrobenzene 369-34-6, 3,4-Difluoronitrobenzene
501-53-1, Benzyl chloroformate 593-56-6, O-Methylhydroxylamine hydrochloride 2208-07-3, Ethanimidic acid ethyl ester hydrochloride
3279-95-6, O-(2-Hydroxyethyl)hydroxylamine 4319-49-7, 4-Aminomorpholine
4971-27-1 5098-14-6, Aminomalononitrile p-toluenesulfonate 5437-45-6, Benzyl bromoacetate 5652-84-6 5777-20-8, 3-Hydroxyisoxazole
5815-08-7, tert-Butoxybis(dimethylamino)methane 6602-54-6, 2-Chloro-3-cyanopyridine 19810-31-2, Benzyloxyacetyl chloride
25508-20-7, 1,3-Bis(benzyloxycarbonyl)-2-methyl-2-thiopseudourea
29569-85-5 31575-35-6, 2-Fluoropyrimidine 32380-69-1, N-(2-Hydroxyethoxy)phthalimide 33252-28-7, 2-Chloro-5-cyanopyridine
39512-63-5, 3-[N-(tert-Butoxycarbonyl)amino]-1,2,4-oxadiazole
54149-18-7, N-(2-Methoxyethoxy)phthalimide 54149-39-2, O-(2-Methoxyethyl)hydroxylamine 55557-52-3, 3-Chloro-2-cyanopyrazine
56834-02-7, O-(tert-Butoxycarbonylmethyl)hydroxylamine 60456-26-0, (R)-Glycidyl butyrate 65007-00-3, 2-Pyridyl triflate 66684-58-0, 3,4,5-Trifluoronitrobenzene 67435-00-1 73183-34-3, Bis(pinacolato)diborane 75372-44-0, N-(Cyanomethoxy)phthalimide
76028-85-8, N-[1-(tert-Butoxycarboxy)-1-methylethoxy]phthalimide
78667-16-0, N-[(5-Tetrazolyl)methoxy]phthalimide 80658-86-2, N-(2-Dimethylaminoethoxy)phthalimide 101512-32-7, O-(2-Methylthioethyl)hydroxylamine 104392-74-7 113501-34-1, O-(Cyanomethyl)hydroxylamine 124034-92-0, O-(2-Dimethylaminoethyl)hydroxylamine hydrochloride 134575-14-7
149524-42-5 149524-45-8 175391-90-9 175391-94-3
185022-23-5, N-[2-(2-Hydroxyethoxy)ethoxy]phthalimide 188975-87-3
264600-97-7 504436-42-4, O-(2-Dimethylaminopropyl)hydroxylamine hydrochloride 504436-43-5 504436-46-8, O-[1-(tert-Butoxycarbonyl)-1-methylethyl]hydroxylamine 504436-49-1, O-[(5-Tetrazolyl)methyl]hydroxylamine hydrochloride 504436-53-7 504436-55-9, O-[2-(2-Hydroxyethoxy)ethyl]hydroxylamine hydrochloride 504436-69-5, N-(2-Methylthioethoxy)phthalimide 504436-71-9, O-(2-Methylsulfinyl)ethylhydroxylamine 504436-72-0, N-(2-Methylsulfinylethoxy)phthalimide 504436-74-2, O-(2-Methylsulfonyl)ethylhydroxylamine 504436-75-3, N-(2-Methylsulfonylethoxy)phthalimide 504436-81-1 504437-68-7 504437-73-4
504438-18-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bicyclo[3.1.0]hexanyl-oxazolidinones for therapeutic use as antibiotics)

IT 174456-85-0P 188975-86-2P 392659-98-2P 487041-08-7P
501939-77-1P 501939-78-2P 504435-66-9P 504435-78-3P 504435-82-9P
504436-16-2P 504436-20-8P 504436-65-1P 504436-78-6P 504437-10-9P
504437-59-6P 504437-66-5P 504437-67-6P 504437-74-5P 504437-75-6P
504437-76-7P 504437-77-8P 504437-78-9P 504437-80-3P 504437-82-5P
504437-84-7P 504437-86-9P 504437-88-1P 504437-90-5P 504437-93-8P
504437-95-0P 504437-99-4P 504438-04-4P 504438-06-6P 504438-08-8P
504438-10-2P 504438-12-4P 504438-13-5P 504438-14-6P 504438-15-7P

504438-16-8P 504438-17-9P 504438-19-1P 504438-20-4P 504438-21-5P
504438-22-6P 504438-27-1P 505028-23-9P 505028-24-0P
505028-25-1P 505028-26-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclo[3.1.0]hexanyl-oxazolidinones for therapeutic use as antibiotics)

L8 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:221667 CAPLUS
DOCUMENT NUMBER: 138:238171
TITLE: Preparation of oxazolidinones and/or isoxazolines as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Swain, Michael Lingard; Hauck, Sheila Irene; Mills, Stuart Dennett
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022824	A1	20030320	WO 2002-GB4120	20020909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2459766	A1	20030320	CA 2002-2459766	20020909
AU 2002329393	A1	20030324	AU 2002-329393	20020909
EP 1427711	A1	20040616	EP 2002-765019	20020909
EP 1427711	B1	20050713		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
HU 2004001005	A2	20040830	HU 2004-1005	20020909
HU 2004001005	A3	20071128		
BR 2002012458	A	20041019	BR 2002-12458	20020909
JP 2005507386	T	20050317	JP 2003-526899	20020909
NZ 531621	A	20050624	NZ 2002-531621	20020909
CN 1639136	A	20050713	CN 2002-822327	20020909
AT 299502	T	20050715	AT 2002-765019	20020909
PT 1427711	T	20051130	PT 2002-765019	20020909
ES 2244802	T3	20051216	ES 2002-765019	20020909
ZA 2004001888	A	20050418	ZA 2004-1888	20040308
MX 2004PA02303	A	20040629	MX 2004-PA2303	20040310
NO 2004001428	A	20040608	NO 2004-1428	20040405
US 20050107435	A1	20050519	US 2004-489266	20040909
HK 1065789	A1	20051230	HK 2004-108506	20041029
PRIORITY APPLN. INFO.:			GB 2001-21942 A	20010911
			GB 2002-15420 A	20020704
			WO 2002-GB4120 W	20020909

OTHER SOURCE(S): MARPAT 138:238171
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones and isoxazolines (shown as I; variables defined below; e.g. (5S,5S')-N-[3-[4'-[5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2,2'-difluorobiphenyl-4-yl]-2-oxooxazolidin-5-ylmethyl]acetamide (shown as II)), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, which useful as antibacterial agents, processes for their manufacture and pharmaceutical compns. containing them are described. For I: C is for example III, IV, V; wherein A and B = 2-oxooxazolidin-3-yl, 2-isoxazolin-3-yl; R2a to R3b = H and F; R1a and R1b =, for example, hydroxy, -NHC(:W)R4, NH(HET-1) and HET-2; wherein W is O or S; R4 is, for example, H, amino, (1-4C)alkyl; HET-1 is, for example, a C-linked 5-membered heteroaryl ring; HET-2 is, for example, an N-linked 5-membered, fully or partially unsatd. heterocyclic ring. I have good activity against a broad range of Gram-pos. pathogens including organisms known to be resistant to most commonly used antibiotics, together with activity against fastidious Gram neg. pathogens such as H. influenzae, M. catarrhalis, Mycoplasma and Chlamydia strains. The min. inhibitory concns. of II for methicillin sensitive and quinolone sensitive Staphylococcus aureus, methicillin resistant and quinolone resistant Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, Haemophilus influenzae, and Moraxella catarrhalis are 0.25, 0.5, 0.06, 0.13, 2.0 and 0.5 μg/mL, resp. Prepns. of 64 examples of I and many intermediates are included. For example, I was prepared as follows: (5S)-N-[[3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-yl]methyl]acetamide (0.4 mmol) and Bu4NBr (0.4 mmol) were stirred in a mixture of DMF (0.5 mL) and NEt3 (2 mmol), and degassed by bubbling N. Pd(II) acetate (0.04 mmol) was added, and the whole heated at 70° for 18 h. Workup gave the desired product (47 mg). Nine examples of pharmaceutical dosage forms are tabulated.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 501939-75-9P, (5S,5'S)-N-[[3-[4'-[5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2,2'-difluorobiphenyl-4-yl]-2-oxooxazolidin-5-yl]methyl]acetamide 501939-79-3P, (5R,5'R)-4,4'-Bis(5-hydroxymethyl-2-oxooxazolidin-3-yl)-2,2'-difluorobiphenyl 501939-80-6P, (5S,5'S)-4,4'-Bis[5-[(isoxazol-3-ylamino)methyl]-2-oxooxazolidin-3-yl]-2,2'-difluorobiphenyl 501939-85-1P, [(5R)-3-[2-Fluoro-4'-[5'-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl acetate 501939-89-5P, N-[[(5S)-3-[4'-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501939-92-0P, (5S)-3-[2-Fluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(isoxazol-3-ylamino)methyl]-3-oxazolidin-2-one 501939-93-1P, (5R,5'R)-4,4'-Bis[5-(1H-1,2,3-triazol-1-yl)methyl-2-oxooxazolidin-3-yl]-2,2'-difluorobiphenyl 501939-96-4P, (5R)-3-[2-Fluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501939-99-7P, N-[[(5S)-3-[2-Fluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-01-8P, N-[[3-[2-Fluoro-4'-[(5R)-5-(hydroxymethyl)-2-oxo-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-4,5-dihydroisoxazol-5-yl]methyl]acetamide 501940-04-1P, N-[[3-[2,2'-Difluoro-4'-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-1,3-oxazolidin-3-

yl]-1,1'-biphenyl-4-yl]-4,5-dihydroisoxazol-5-yl]methyl]acetamide 501940-05-2P, N-[[3-[2,2'-Difluoro-4'-(5-acetamidomethyl-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-4,5-dihydroisoxazol-5-yl]methyl]acetamide 501940-06-3P, N-[[(5S)-3-[2,2'-Difluoro-4'-[5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-08-5P, 1-[[3-[2,2'-Difluoro-4'-[5-(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-4,5-dihydroisoxazol-5-yl]methyl]-4-methyl-1H-1,2,3-triazole 501940-09-6P, N-[[(5S)-3-[2,2'-Difluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-11-0P, (5R)-3-[2,2'-Difluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-12-1P, (5R)-3-[2,2'-Difluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-13-2P, tert-Butyl N-[[(5R)-3-[2,2'-difluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-N-(isoxazol-3-yl)carbamate 501940-14-3P, (5R)-3-[2'-Fluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-(hydroxymethyl)-1,3-oxazolidin-2-one 501940-15-4P, N-[[(5S)-3-[2'-Fluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-16-5P, [3-[2,2'-Difluoro-4'-(5-hydroxymethyl-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-4,5-dihydroisoxazol-5-yl]methanol 501940-25-6P, (5S,5'S)-N-[[3-[4'-[5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-1,1'-biphenyl-4-yl]-2-oxooxazolidin-5-yl]methyl]acetamide 501940-26-7P, (5R,5'R)-3-[2,2'-Difluoro-4'-[5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-29-0P, (5R)-5-(Hydroxymethyl)-3-[4'-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-1,3-oxazolidin-2-one 501940-33-6P 501940-34-7P, N-[[(5S)-3-[4'-[(5R)-5-[[(tert-Butyldimethylsilyl)oxy]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-37-0P, (5R)-3-[2-Fluoro-4'-[(5R)-5-(hydroxymethyl)-2-oxo-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-38-1P, (5R)-5-(Hydroxymethyl)-3-[4'-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-1,3-oxazolidin-2-one 501940-41-6P, (5R)-5-(1H-1,2,3-Triazol-1-ylmethyl)-3-[4'-[5-(1H-1,2,3-triazol-1-ylmethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-1,3-oxazolidin-2-one 501940-42-7P, (5R)-3-[2-Fluoro-4'-[5-(1H-1,2,3-triazol-1-ylmethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-44-9P, N-[[(5S)-3-[2-Fluoro-4'-[5-(1H-1,2,3-triazol-1-ylmethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-46-1P, (5R)-3-[4'-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-48-3P, (5R)-3-[4'-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-50-7P, N-[[(5S)-3-[2-Fluoro-4'-[5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-54-1P, (5R)-3-[2-Fluoro-4'-[5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-(hydroxymethyl)-1,3-oxazolidin-2-one 501940-59-6P, (5R)-3-[2-Fluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-61-0P, N-[[(5S)-3-[4'-[(5R)-5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide

501940-64-3P, N-[[(5S)-3-[4'-[(5S)-5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-67-6P, (5S)-3-[2-Fluoro-4'-[5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(isoxazol-3-ylamino)methyl]-1,3-oxazolidin-2-one 501940-72-3P, [3-[4'-[(5S)-5-[(Acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-4,5-dihydroisoxazol-5-yl]methyl disodium phosphate 501940-73-4P, N-[[(5S)-3-[4-[5-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-74-5P, (5R)-3-[4-[5-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-76-7P, (5R)-3-[4-[5-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-77-8P, N-[[(5S)-3-[3-Fluoro-4-[5-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-78-9P, (5R)-3-[3-Fluoro-4-[5-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-79-0P, (5R)-3-[3-Fluoro-4-[5-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-80-3P, (5R)-3-[3-Fluoro-4-[5-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]phenyl]-5-(hydroxymethyl)-1,3-oxazolidin-2-one 501940-81-4P, N-[[(5S)-3-[4-[5-[5-[(Acetylamino)methyl]-4,5-dihydroisoxazol-3-yl]thien-2-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-82-5P, N-[[(5R)-3-[5-[5-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]pyrid-2-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-83-6P, (5R)-3-[5-[5-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]pyrid-2-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-84-7P, (5R)-3-[5-[5-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]thien-2-yl]pyrid-2-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-85-8P, N-[[(5S)-3-[4-[2-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyrid-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-86-9P, (5R)-3-[3-Fluoro-4-[2-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyrid-5-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-88-1P, N-[[(5S)-3-[5'-[(5S)-5-[(Acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,2'-bithien-5-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-90-5P, (5S)-3-[2,2'-Difluoro-4'-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(isoxazol-3-ylamino)methyl]-1,3-oxazolidin-2-one 501940-91-6P, (5R)-3-[3-Fluoro-4-[6-(5-hydroxymethyl-4,5-dihydroisoxazol-3-yl)pyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolidinones and/or isoxazolines as antibacterial agents)

IT 107-18-6, Allyl alcohol, reactions 2160-63-6, 5-Bromothiophene-2-carboxaldehyde oxime 18162-48-6, tert-Butyldimethylsilyl chloride 31181-90-5, 5-Bromopyridine-2-carboxaldehyde 34158-73-1, 4-Bromobenzaldehyde oxime 104392-74-7, N-[[(5S)-3-(4-Iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 117924-33-1, Di-tert-butyl N,N-diethylphosphoramidite 149524-42-5, (5R)-3-(3-Fluorophenyl)-5-hydroxymethyloxazolidin-2-one 149524-45-8, N-[[(5S)-3-(3-Fluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 150880-20-9, ((5R)-3-Phenyl-2-oxo-1,3-oxazolidin-5-yl)methyl methanesulfonate 175391-67-0, (5R)-3-(5-Bromopyrid-2-yl)-5-(hydroxymethyl)-1,3-oxazolidin-2-one 175591-62-5, N-[[(5S)-3-(5-Iodothien-2-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 188975-87-3, N-[[(5S)-2-Oxo-3-[4-(trimethylstannyl)phenyl]-1,3-oxazolidin-5-yl]methyl]acetamide

252330-13-5, (5R)-5-(Hydroxymethyl)-3-(4-iodophenyl)-1,3-oxazolidin-2-one 264600-97-7, N-Isoxazol-3-ylcarbamic acid tert-butyl ester 304876-60-6, 4-Bromo-3-fluorobenzaldehyde oxime 380380-56-3, (5S)-5-(Aminomethyl)-3-(3-fluorophenyl)-1,3-oxazolidin-2-one 501939-95-3, (5R)-3-(3-Fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501939-97-5, (5R)-3-[4'-[5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2-fluoro-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-03-0, (5S)-5-(Hydroxymethyl)-3-(4-iodophenyl)-1,3-oxazolidin-2-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolidinones and/or isoxazolines as antibacterial agents)

IT 175391-78-3P, [(5R)-3-(5-Bromopyrid-2-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl methanesulfonate 175391-82-9P, (5R)-5-(Azidomethyl)-3-(5-bromopyrid-2-yl)-1,3-oxazolidin-2-one 175391-90-9P, N-[[(5S)-3-(5-Bromopyrid-2-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 203634-92-8P, N-[[3-(4-Bromophenyl)-4,5-dihydroisoxazol-5-yl]methyl]acetamide 203634-93-9P, Methanesulfonic acid [3-(4-bromophenyl)-4,5-dihydroisoxazol-5-yl]methyl ester 203634-94-0P, [[3-(4-Bromophenyl)-4,5-dihydroisoxazol-5-yl]methyl]amine 487041-08-7P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyloxazolidin-2-one 501939-43-1P, 5-Azidomethyl-3-(4-bromophenyl)-4,5-dihydroisoxazole 501939-44-2P, 1-[[3-(4-Bromophenyl)-4,5-dihydroisoxazol-5-yl]methyl]-1H-[1,2,3]triazole 501939-45-3P, 1-[[3-(4-Bromophenyl)-4,5-dihydroisoxazol-5-yl]methyl]-4-methyl-1H-[1,2,3]triazole 501939-46-4P, [3-(4-Bromo-3-fluorophenyl)-4,5-dihydroisoxazol-5-yl]methanol 501939-47-5P, Methanesulfonic acid [3-(4-bromo-3-fluorophenyl)-4,5-dihydroisoxazol-5-yl]methyl ester 501939-48-6P, 5-Azidomethyl-3-(4-bromo-3-fluorophenyl)-4,5-dihydroisoxazole 501939-49-7P, [[3-(4-Bromo-3-fluorophenyl)-4,5-dihydroisoxazol-5-yl]methyl]amine 501939-50-0P, N-[[3-(4-Bromo-3-fluorophenyl)-4,5-dihydroisoxazol-5-yl]methyl]acetamide 501939-51-1P, 1-[[3-(4-Bromo-3-fluorophenyl)-4,5-dihydroisoxazol-5-yl]methyl]-4-methyl-1H-[1,2,3]triazole 501939-52-2P, 5-Bromopyridine-2-carboxaldehyde oxime 501939-53-3P, [3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methanol 501939-54-4P, Methanesulfonic acid [3-(5-bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl ester 501939-55-5P, 5-Azidomethyl-3-(5-bromopyridin-2-yl)-4,5-dihydroisoxazole 501939-56-6P, [[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]amine 501939-57-7P, N-[[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]acetamide 501939-58-8P, 1-[[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]-1H-1,2,3-triazole 501939-59-9P, 1-[[3-(5-Bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]-4-methyl-1H-1,2,3-triazole 501939-60-2P, [3-(5-Bromothien-2-yl)-4,5-dihydroisoxazol-5-yl]methanol 501939-61-3P, Methanesulfonic acid [3-(5-bromothien-2-yl)-4,5-dihydroisoxazol-5-yl]methyl ester 501939-62-4P, 5-Azidomethyl-3-(5-bromothien-2-yl)-4,5-dihydroisoxazole 501939-63-5P, [[3-(5-Bromothien-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]amine 501939-64-6P, N-[[3-(5-Bromothien-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]acetamide 501939-65-7P, 1-[[3-(5-Bromothien-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]-1H-1,2,3-triazole 501939-66-8P, 1-[[3-(5-Bromothien-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]-4-methyl-1H-1,2,3-triazole 501939-67-9P, (5R)-3-(5-Bromopyrid-2-yl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501939-68-0P, (5S)-5-(Aminomethyl)-3-(5-bromopyrid-2-yl)-1,3-oxazolidin-2-one 501939-69-1P, (5R)-3-(5-Bromopyrid-2-yl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501939-70-4P, (5R)-3-(4-Iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501939-71-5P, (5R)-5-(Azidomethyl)-3-(4-iodophenyl)-1,3-oxazolidin-2-one 501939-72-6P, (5S)-5-(Aminomethyl)-3-(4-iodophenyl)-1,3-oxazolidin-2-one 501939-73-7P, (5R)-3-(4-Iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501939-74-8P, [(5R)-3-(4-Iodophenyl)-2-

oxo-1,3-oxazolidin-5-yl]methyl methanesulfonate 501939-77-1P, [(5R)-3-(3-Fluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl acetate 501939-78-2P, Acetic acid (5R)-3-(3-fluorophenyl)-2-oxooxazolidin-5-ylmethyl ester 501939-81-7P, N-(5R,5'R)-[[3-[4'-[5-[[(tert-Butoxycarbonyl)(isoxazol-3-yl)amino]methyl]-2-oxooxazolidin-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-2-oxooxazolidin-5-yl]methyl]-N-(isoxazol-3-yl)carbamic acid tert-butyl ester 501939-82-8P, Methanesulfonic acid (5R)-3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-ylmethyl ester 501939-83-9P, N-(5R)-[[3-(3-Fluoro-4-iodophenyl)-2-oxooxazolidin-5-yl]methyl]-N-isoxazol-3-ylcarbamic acid tert-butyl ester 501939-84-0P, N-(5R)-[[3-(3-Fluoro-4-(trimethylstannyl)phenyl)-2-oxooxazolidin-5-yl]methyl]-N-isoxazol-3-ylcarbamic acid tert-butyl ester 501939-87-3P, 3-(4-Bromophenyl)-5-[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazole 501939-88-4P, 5-[[(tert-Butyldimethylsilyl)oxy]methyl]-3-[4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazole 501939-94-2P, (5R)-3-[3-Fluoro-4-(trimethylstannyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501939-98-6P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-00-7P, N-[[(5S)-3-[4'-[5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2-fluoro-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-02-9P, N-[[3-[3-Fluoro-4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazol-5-yl]methyl]acetamide 501940-07-4P, 1-[[3-[3-Fluoro-4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazol-5-yl]methyl]-4-methyl-1H-1,2,3-triazole 501940-10-9P, N-[[(5S)-3-[4'-[5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-17-6P, 3-(4-Bromo-3-fluorophenyl)-5-[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazole 501940-18-7P, 5-[[(tert-Butyldimethylsilyl)oxy]methyl]-3-[3-fluoro-4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazole 501940-19-8P, (5R)-3-[4'-[5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-20-1P, (5R)-3-[4'-[5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-21-2P, tert-Butyl N-[(5R)-3-[4'-[5-[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl-N-(isoxazol-3-yl)carbamate 501940-22-3P, (5R)-3-[4'-[5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2'-fluoro-1,1'-biphenyl-4-yl]-5-(hydroxymethyl)-1,3-oxazolidin-2-one 501940-23-4P, N-[[(5S)-3-[4'-[5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2'-fluoro-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-24-5P, 3-[4'-[5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-2,2'-difluoro-1,1'-biphenyl-4-yl]-5-[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazole 501940-27-8P, (5R)-3-[3-Fluoro-4-(trimethylstannyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-28-9P, (5R)-3-(3-Fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-30-3P, (5R)-5-[[(tert-Butyldimethylsilyl)oxy]methyl]-3-[4-(trimethylstannyl)phenyl]-1,3-oxazolidin-2-one 501940-32-5P, (5R)-5-[[(tert-Butyldimethylsilyl)oxy]methyl]-3-(4-iodophenyl)-1,3-oxazolidin-2-one 501940-35-8P, (5R)-5-[[(tert-Butyldimethylsilyl)oxy]methyl]-3-[3-fluoro-4-(trimethylstannyl)phenyl]-1,3-oxazolidin-2-one 501940-36-9P, (5R)-5-[[(tert-Butyldimethylsilyl)oxy]methyl]-3-(3-fluoro-4-iodophenyl)-1,3-oxazolidin-2-one 501940-40-5P, 1-[[3-[4-(Trimethylstannyl)phenyl]-4,5-dihydroisoxazol-5-yl]methyl]-1H-1,2,3-triazole 501940-51-8P, 4-Methyl-1-[[3-[4-

(trimethylstannyl)phenyl]-4,5-dihydroisoxazol-5-yl]methyl]-1H-1,2,3-triazole 501940-56-3P, (5R)-5-[[(tert-Butyldimethylsilyl)oxy]methyl]-3-[2-fluoro-4'-[5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-1,3-oxazolidin-2-one 501940-62-1P, N-[[(5S)-3-[4'-[(5R)-5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-63-2P, (5R)-3-(4-Bromophenyl)-5-[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazole 501940-65-4P, N-[[(5S)-3-[4'-[(5S)-5-[[(tert-Butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-66-5P, (5S)-3-(4-Bromophenyl)-5-[[(tert-butyldimethylsilyl)oxy]methyl]-4,5-dihydroisoxazole 501940-68-7P, tert-Butyl N-[[(5R)-3-[2-fluoro-4'-[5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-N-(isoxazol-3-yl)carbamate 501940-70-1P, [3-(4-Bromophenyl)-4,5-dihydroisoxazol-5-yl]methyl di-tert-butyl phosphate 501940-75-6P, [3-[5-(Trimethylstannyl)thien-2-yl]-4,5-dihydroisoxazol-5-yl]methanol 501940-87-0P, [3-[5-(Trimethylstannyl)pyridin-2-yl]-4,5-dihydroisoxazol-5-yl]methanol 501940-89-2P, tert-Butyl N-acetyl N-[[(5S)-3-(5-iodothien-2-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones and/or isoxazolines as antibacterial agents)

L8 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
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INVENTOR(S): Hester, Jackson B., Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
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WO 2003006440	A2	20030123	WO 2002-US22526	20020712
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2452513	A1	20030123	CA 2002-2452513	20020712
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US 20040014967	A1	20040122	US 2002-194914	20020712
US 7049443	B2	20060523		
EP 1451164	A2	20040901	EP 2002-752358	20020712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE				
JP 2005520782	T	20050714	JP 2003-512212	20020712
MX 2004PA00357	A	20040504	MX 2004-PA357	20040112

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WO 2002-US22526 W 20020712
OTHER SOURCE(S): MARPAT 138:112415
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention is directed to amide-containing oxazolidinones (1) which have an improved solubility (no data) and a method of improving the solubility of amide-containing oxazolidinone bactericides. A very broad range of compds. 1 is claimed (see claims for details). Also claimed is a method of conversion of amide-containing oxazolidinones to more water-soluble derivs. comprising reaction with 3-(2-((dipropoxyphosphinyl)oxy)-4,6-dimethylphenyl)-3-methylbutanoyl chloride to form a C(O)NRC(O) or C(O)NRC(S) linkage followed by deprotection to give a phosphoric acid monoester. However, the only example is somewhat different in that I is prepared starting from II and III, followed by N-acylation and hydrogenation. In addition to the presence of the phosphonooxy group in compds. 1, also claimed are compds. 1 containing an acyloxy group. The bioavailability of these oxazolidinones is improved by improving the solubility thereof. Also included in the examples are prepns. of .apprx.25 amide-containing oxazolidinones, from which compds. 1 can potentially be prepared

IT 25203-34-3P, 2-Methylpropyl 4-bromophenylcarbamate 59020-09-6P, 3-(Trimethylstannyl)pyridine 168828-76-0P, 3,5-Difluoro-4-(4-morpholinyl)aniline 288570-78-5P, 2-Methylpropyl [3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]carbamate 288570-82-1P, 2-Methylpropyl [4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]carbamate 383199-85-7P, 4-(2,6-Difluoro-4-nitrophenyl)thiomorpholine 383199-89-1P, 4-(2,6-Difluorophenyl)thiomorpholine 1,1-dioxide 383199-90-4P, 4-(2,6-Difluoro-4-nitrophenyl)thiomorpholine 1,1-dioxide 383199-91-5P, 4-(1,1-Dioxidothiomorpholin-4-yl)-3,5-difluoroaniline 470710-70-4P, 3-Fluoro-4-(tetrahydro-2H-thiopyran-4-yl)benzenamine 473871-38-4P, Isobutyl 4-(1,1-dioxidothiomorpholin-4-yl)-3,5-difluorophenylcarbamate 487040-98-2P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 487040-99-3P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylic acid 487041-00-9P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carbonyl chloride 487041-01-0P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-methyl-2-oxooxazolidine-5-carboxamide 487041-02-1P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-allyl-2-oxooxazolidine-5-carboxamide 487041-03-2P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-propyl-2-oxooxazolidine-5-carboxamide 487041-04-3P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-methoxy-2-oxooxazolidine-5-carboxamide 487041-05-4P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-hydroxy-2-oxooxazolidine-5-carboxamide 487041-06-5P, (5R)-(-)-3-[3-Fluoro-4-(4-morpholinyl)phenyl]-N-benzyloxy-2-oxooxazolidine-5-carboxamide 487041-07-6P, (5R)-(-)-3-[4-(3-Pyridyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-08-7P, (5R)-(-)-3-(3-Fluoro-4-iodophenyl)-5-hydroxymethyl-2-oxazolidinone 487041-09-8P, (-)-Methyl (5R)-3-(3-fluoro-4-iodophenyl)-2-oxooxazolidine-5-carboxylate 487041-10-1P, (5R)-(-)-3-(3-Fluoro-4-iodophenyl)-2-oxooxazolidine-5-carboxamide 487041-11-2P, (5R)-(-)-3-[4-(4-Pyridyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-12-3P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-pyran-4-yl)-3-

fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-13-4P, (5R)-3-[4-(Trimethylstannyl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-14-5P, (5R)-(-)-3-[4-(Tetrahydro-2H-pyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-15-6P, (5R)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S-oxide 487041-16-7P, (-)-Methyl (5R)-3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxylate 487041-17-8P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide 487041-18-9P, (5R)-(-)-3-[4-(3,6-Dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-19-0P, (5R)-(-)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-20-3P, (5R)-(-)-3-[3-Fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxooxazolidine-5-carboxamide 487041-21-4P, (-)-Phenylmethyl 4-[4-[(5R)-5-(aminocarbonyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]-1-piperazinecarboxylate 487041-22-5P, 1-(Phenylmethyl) 4-[4-((5R)-5-carboxy-2-oxooxazolidin-3-yl)-2-fluorophenyl]-1-piperazinecarboxylate 487041-23-6P, Phenylmethyl 4-[2-fluoro-4-[(5R)-5-(methoxycarbonyl)-2-oxooxazolidin-3-yl]phenyl]-1-piperazinecarboxylate 487041-24-7P, (5R)-3-[3-Fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxooxazolidine-5-carboxamide 487041-25-8P, (5R)-3-[3-Fluoro-4-piperazinophenyl]-2-oxooxazolidine-5-carboxamide 487041-26-9P, (5R)-(-)-3-[4-(Thiomorpholin-4-yl)-3,5-difluorophenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-27-0P, Ethyl (5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-28-1P, (5R)-(-)-3-[3,5-Difluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxamide 487041-29-2P, Butyl (5R)-3-[3,5-difluoro-4-(4-morpholinyl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-30-5P 487041-31-6P, (5R)-(-)-3-[4-(Thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-32-7P, Butyl (5R)-3-[4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-33-8P 487041-34-9P, Butyl (5R)-3-[4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-35-0P, (5R)-(-)-3-[3-Fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-36-1P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-37-2P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-38-3P , (5R)-(-)-3-[3-Fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S-oxide 487041-39-4P, Butyl (5R)-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S-oxide 487041-40-7P, (5R)-(-)-3-[3,5-Difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S-oxide 487041-41-8P, Butyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-42-9P, Butyl (5R)-3-[3,5-difluoro-4-(thiomorpholin-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S-oxide 487041-43-0P, Butyl (5R)-3-[3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-45-2P, (5R)-(-)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxamide S,S-dioxide 487041-47-4P, 2-Methylpropyl [4-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)phenyl]carbamate 487041-48-5P, 2-Methylpropyl [4-(tetrahydro-2H-thiopyran-4-yl)phenyl]carbamate 487041-49-6P, 4-(Tetrahydro-2H-thiopyran-4-yl)benzenamine 487041-50-9P, Butyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate 487041-51-0P, Butyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide 487041-52-1P, (5R)-3-[4-(Tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-hydroxymethyl-2-oxazolidinone S,S-dioxide 487041-53-2P, Methyl (5R)-3-[4-(tetrahydro-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxooxazolidine-5-carboxylate S,S-dioxide

488097-36-5P 488097-37-6P 488097-38-7P 488097-39-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation for potential conversion to more water-soluble and bioavailable derivs. containing acyloxy or phosphonooxy functionality)

L8 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
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INVENTOR(S): Mourelle Mancini, Marisabel; Huguet Clotet, Juan; Hidalgo Rodriguez, Jose; Del Castillo, Juan Carlos
PATENT ASSIGNEE(S): Vita-Invest, S.A., Spain
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WO 2003002560	A1	20030109	WO 2002-IB2408	20020624
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO				
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IN 2003DN02284	A	20060120	IN 2003-DN2284	20031229
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WO 2002-IB2408 W 20020624
OTHER SOURCE(S): MARPAT 138:89799
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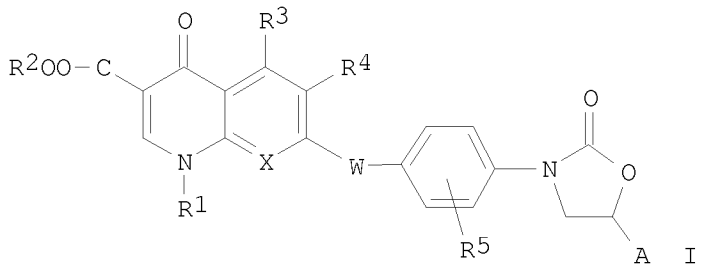

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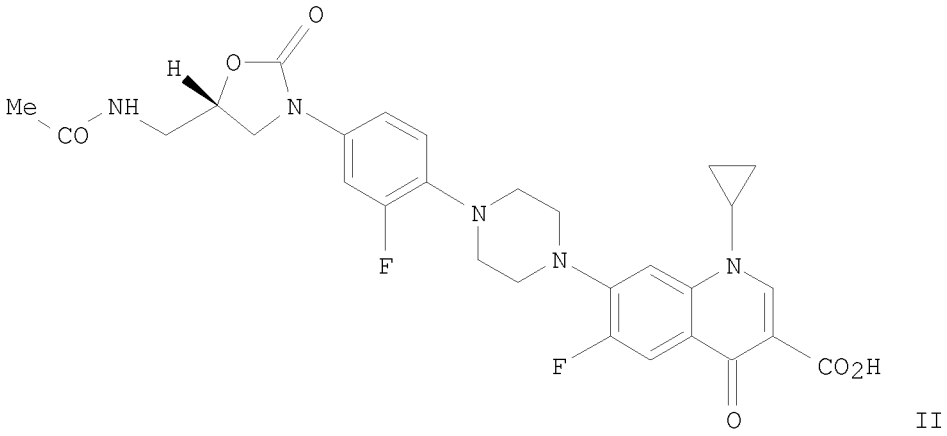

II

AB This invention discloses new fluoroquinolonyl derivs. of oxazolidinones (shown as I; variables defined below; e.g. 7-[4-[4-[5-(S)-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) and processes for obtaining them, the corresponding pharmaceutical compns. and use thereof for manufacturing a medicament for the treatment of microbial infections. These new compds. are useful as antibacterial agents. Furthermore phenalen-type compds. according to (II) are disclosed. Compds. I show activity as antibacterial agents; MIC values for .apprx.15 compds. are included. Advantageously they possess a broad spectrum of activity against gram-pos. bacteria such as Staphylococcus, Streptococcus, Enterococcus and the like, as well as against gram-neg. bacteria such as E. Coli, H. Influenzae, M. Catarrahalis, etc., and even against strains resistant to known antibiotics such as meticillin, vancomicin, penicillin, etc. They are also active against anaerobic microorganisms such as Bacteroides fragilis. Thirty-five example prepns. of I plus 38 example prepns. of intermediates are included. II was prepared from 7-[4-[-[5-(S)-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid diacetoxyboron chelate in H2O and MeCN using 1N NaOH at room temperature; the chelate was prepared from N-[[3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-2-oxooxazolidin-5-(S)-yl]methyl]acetamide, 7-chloro-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid diacetoxyboron chelate and Et3N in MeCN at reflux for 16 h. For I: X = CR6 or N; R1 = C1-C4-alkyl, C3-C6-cycloalkyl, C2-C4-alkenyl, 2-hydroxyethyl, 2-fluoroethyl, or Ph optionally substituted by 1 or 2 atoms of F; R2: H, alkyl C1-C4 or phenyl; R3 = H, halogen, C1-C4-alkyl or C1-C4-alkoxy, amino; R4 = H or halogen; R6 = H, halogen, C1-C4-alkyl, C1-C4-haloalkoxy or else R1 and R6 together form a bridge of structure -CHMe-CH2-O-, -CHMe-CH2-S-, -CHMe-CH2-CH2-. R5 = H, halogen, OCH3, C1-C4-alkoxy, C1-C4-alkyl or C1-C4-haloalkyl; A =

-CH2-NH-R7, -CHOH-C.tplbond.CH; wherein R7 = isoxazol, -CO-R8, -CS-R8, -CS-OR8, -COOR8, -CONHR8, -CSNHR8, -SO2R8 or COCH:CHAr (Ar = R9-substituted phenyl) wherein R8 = C1-C4-alkyl, C1-C4-haloalkyl, C2-C4-alkenyl, aryl, C1-C4-alkyl substituted by an C1-C4-alkoxy group, C1-C4-carboxyalkyl, cyano, or amino. R9= H, C1-C4-alkyl, C2-C4-alkenyl, OH, C1-C4-alkoxy, NR12R13, NO2, halogen, or CO-R12; R12 and R13 = H or C1-C4-alkyl; W = azetidinyl, pyrrolidinyl, azepanyl, and piperazinyl derivs. as more fully described in the claims.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 444335-12-0P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-24-9P 484639-25-0P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid ethyl ester 484639-26-1P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid ethyl ester 484639-27-2P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-6,8-difluoro-1-(2-fluoroethyl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 484639-28-3P, 1-(2,4-Difluorophenyl)-6-fluoro-7-[4-[2-fluoro-4-[5-(S)-(isoxazol-3-ylaminomethyl)-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of fluoroquinolonyl derivs. of oxazolidinones as antibacterial agents)

IT 444335-14-2P 484639-14-7P 484639-15-8P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-ethyl-6,8-difluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-16-9P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-17-0P 484639-18-1P 484639-19-2P 484639-20-5P, 1-Cyclopropyl-7-[4-[4-[5-(S)-[(3-ethylureido)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-21-6P, 1-Cyclopropyl-7-[4-[4-[5-(S)-(ethoxycarbonylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-22-7P 484639-23-8P 484639-29-4P 484639-30-7P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 484639-31-8P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 484639-32-9P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-6,8-difluoro-1-(2-fluoroethyl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-33-0P, 1-(2,4-Difluorophenyl)-6-fluoro-7-[4-[2-fluoro-4-[5-(S)-(isoxazol-3-ylaminomethyl)-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 484639-34-1P 484639-35-2P 484639-36-3P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-[5-(S)-(methanesulfonylaminomethyl)-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-37-4P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-1-ethyl-6,8-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 484639-38-5P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-[2-oxo-5-(S)-[(2,2,2-trifluoroacetylamino)methyl]oxazolidin-3-yl]phenyl]piperazin-1-yl]-

4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-39-6P, 7-[4-[4-[5-(S)-(Benzoylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro 4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-40-9P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid methyl ester 484639-41-0P 484639-43-2P 484639-44-3P 484639-46-5P 484639-47-6P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-[5-(S)-(isoxazol-3-ylaminomethyl)-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 484639-48-7P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 484639-49-8P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-ethyl-6,8-difluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid methyl ester 484639-50-1P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-ethyl-6,8-difluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 484639-51-2P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid methyl ester 484639-52-3P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 484639-53-4P 484639-54-5P 484639-55-6P 484639-56-7P 484639-57-8P 484639-58-9P 484639-59-0P 484639-60-3P 484639-61-4P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid methyl ester 484639-62-5P, 7-[4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-6,8-difluoro-1-(2-fluoroethyl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid methyl ester 484639-63-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fluoroquinolonyl derivs. of oxazolidinones as antibacterial agents)

IT 98-88-4, Benzoyl chloride 109-90-0, Ethyl isocyanate 369-34-6, 3,4-Difluoronitrobenzene 501-53-1, Benzyl chloroformate 542-85-8, Ethyl isothiocyanate 628-30-8, Propyl isothiocyanate 39098-89-0, 4-Fluorocinnamoyl chloride 79286-79-6, 3-Aminopyrrolidine 86899-77-6 93969-13-2, 6,7,8-Trifluoro-1-(2-fluoroethyl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 94509-34-9 96568-07-9, 7-Chloro-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid ethyl ester 100361-18-0, 7-Chloro-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 100491-29-0, 7-Chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid ethyl ester 100501-62-0, 1-Ethyl-6,7,8-trifluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 111764-62-6 113348-93-9 113348-94-0 116183-82-5, 3-(R)-Aminopyrrolidine 119618-67-6 128345-57-3, 3-(S)-Aminopyrrolidine 154590-33-7, 1-(2-Fluoro-4-nitrophenyl)piperazine 154590-36-0, 4-(4-Benzyloxycarbonylamino-2-fluorophenyl)piperazin-1-carboxylic acid tert-butyl ester 154590-62-2, 4-[2-Fluoro-4-(5-(R)-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]piperazin-1-carboxylic acid tert-butyl ester 154590-66-6 182618-86-6, 4-(4-Nitrophenyl)piperazin-1-carboxylic acid tert-butyl ester 264600-44-4, 3-(2,2,2-Trichloroethoxycarbonylamino)isoxazole 454712-26-6, 3-Methylaminopyrrolidine-1-carboxylic acid tert-butyl ester 484638-83-7, 3-Methylaminoazepan-1-carboxylic acid tert-butyl ester 484638-94-0 484638-99-5, 2,3-Dihydroxypent-4-ynyl

p-toluenesulfonate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fluoroquinolonyl derivs. of oxazolidinones as antibacterial agents)

IT 216869-31-7P, 3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-5-(R)-hydroxymethyloxazolidin-2-one 221201-21-4P, 5-(R)-Azidomethyl-3-(3-fluoro-4-(piperazin-1-yl)phenyl)oxazolidin-2-one 268209-15-0P, 4-[4-(5-(S)-Aminomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]piperazin-1-carboxylic acid tert-butyl ester 484638-76-8P 484638-77-9P 484638-78-0P, 7-[4-(4-Benzyloxycarbonylamino-2-fluorophenyl)piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484638-79-1P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-(5-(R)-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]piperazin-1-yl]-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484638-80-4P, 7-[4-[4-(5-(R)-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484638-81-5P, 3-[(2-Fluoro-4-nitrophenyl)(methyl)amino]pyrrolidine-1-carboxylic acid tert-butyl ester 484638-82-6P, 3-[(2-Fluoro-4-nitrophenyl)(methyl)amino]azepan-1-carboxylic acid tert-butyl ester 484638-84-8P, 4-(4-Benzyloxycarbonylaminophenyl)piperazin-1-carboxylic acid tert-butyl ester 484638-85-9P, 3-[(4-Benzyloxycarbonylamino-2-fluorophenyl)(methyl)amino]pyrrolidine-1-carboxylic acid tert-butyl ester 484638-86-0P, 3-[(4-Benzyloxycarbonylamino-2-fluorophenyl)(methyl)amino]azepan-1-carboxylic acid tert-butyl ester 484638-87-1P, 4-[4-(5-(R)-Hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]piperazin-1-carboxylic acid tert-butyl ester 484638-88-2P 484638-89-3P 484638-90-6P, 4-[4-(5-(R)-Azidomethyl-2-oxooxazolidin-3-yl)phenyl]piperazin-1-carboxylic acid tert-butyl ester 484638-91-7P 484638-92-8P 484638-93-9P 484638-95-1P, 4-[4-[5-(S)-(Acetylaminomethyl)-2-oxooxazolidin-3-yl]phenyl]piperazin-1-carboxylic acid tert-butyl ester 484638-96-2P 484638-97-3P 484638-98-4P 484639-00-1P, 2,2,2-Trichloroethyl [[3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-2-oxooxazolidin-5-yl]methyl]isoxazol-3-ylcarbamate 484639-01-2P 484639-02-3P 484639-03-4P 484639-04-5P 484639-05-6P 484639-06-7P 484639-07-8P 484639-08-9P 484639-09-0P 484639-10-3P 484639-11-4P 484639-12-5P 484639-13-6P 484639-42-1P 484639-45-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fluoroquinolonyl derivs. of oxazolidinones as antibacterial agents)

L8 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:575074 CAPLUS
DOCUMENT NUMBER: 137:125148
TITLE: Antimicrobial quinolone derivatives and use of the same to treat bacterial infections
INVENTOR(S): Gordeev, Mikhail F.; Patel, Dinesh V.; Barbachyn, Michael R.; Gage, James R.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059116	A2	20020801	WO 2001-US44731	20011129

WO 2002059116		A3	20021205		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2424402		A1	20020801	CA 2001-2424402	20011129
AU 2002246544		A1	20020806	AU 2002-246544	20011129
US 20030013737		A1	20030116	US 2001-996927	20011129
US 6689769		B2	20040210		
EP 1349853		A2	20031008	EP 2001-994117	20011129
EP 1349853		B1	20060308		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518677		T	20040624	JP 2002-559418	20011129
AT 319710		T	20060315	AT 2001-994117	20011129
ES 2256331		T3	20060716	ES 2001-994117	20011129
US 20040215017		A1	20041028	US 2003-729816	20031205
US 6869965		B2	20050322		

PRIORITY APPLN. INFO.: US 2000-257904P P 20001221
US 2001-996927 A3 20011129
WO 2001-US44731 W 20011129

OTHER SOURCE(S): MARPAT 137:125148

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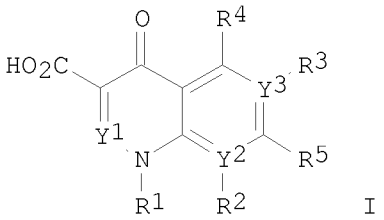

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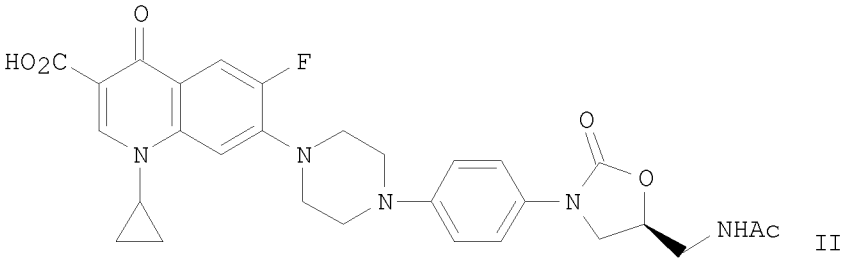

II

AB Substituted quinolones I [Y1 = CH, N; Y2, Y3 = C, N; R1 = H, alkyl, cycloalkyl, haloalkyl, halophenyl, LXmQ; R2 = H, alkyl, alkoxy, halo, haloalkoxy; R1R2 = atoms required to complete an (un)substituted 5-6-membered heterocyclic or heteroarom. ring; R3 = H, F; R4 = H, Me, NH2, F; R5 = H, LXmQ; L = bond, (un)substituted NH, NH(CH2)nNH; X = (un)substituted p-C6H4, 2,5-pyridinediyl; Q = Q1, Q2, Q3; m = 0, 1; n =

0-3; R6 = OH, alkoxy, aryloxy, acylamino] were prepared The quinolone derivs. possess antibacterial activity, and are effective against a number of human and veterinary pathogens in the treatment of bacterial diseases. Thus, the quinolone II was prepared from the 7-chloroquinolone and the piperazine fragments. II had min. inhibitory concs. against E. faecalis 0.25, S. aureus 0.5, S. pneumoniae 0.125, H. influenzae 8, M. catarrhalis 1, and E. coli 16 μg/mL.

IT 197638-83-8P 238743-28-7P 259542-53-5P 259542-54-6P 288570-67-2P
444335-15-3P 444335-16-4P 444335-17-5P 444335-18-6P
444335-19-7P 444335-20-0P 444335-21-1P 444335-23-3P 444335-26-6P
444335-27-7P 444335-28-8P 444335-30-2P 444335-31-3P 444335-32-4P
444335-34-6P 444335-35-7P 444335-39-1P 444335-40-4P 444335-41-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antimicrobial quinolone derivs. and their use to treat bacterial infections)

L8 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:795810 CAPLUS
DOCUMENT NUMBER: 132:35694
TITLE: Oxazolidinone derivatives, process for their preparation and pharmaceutical compositions containing them as antibiotics
INVENTOR(S): Gravestock, Michael Barry
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 188 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964417	A2	19991216	WO 1999-GB1753	19990603
WO 9964417	A3	20000203		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2333332	A1	19991216	CA 1999-2333332	19990603
AU 9941571	A	19991230	AU 1999-41571	19990603
AU 753988	B2	20021031		
BR 9910971	A	20010213	BR 1999-10971	19990603
EP 1082323	A2	20010314	EP 1999-925188	19990603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200003595	T2	20010723	TR 2000-3595	19990603
EE 200000707	A	20020415	EE 2000-707	19990603
JP 2002517498	T	20020618	JP 2000-553426	19990603
HU 2001003082	A2	20021028	HU 2001-3082	19990603
HU 2001003082	A3	20021228		
NZ 508174	A	20031031	NZ 1999-508174	19990603
ZA 2000006694	A	20020218	ZA 2000-6694	20001118
MX 2000PA11536	A	20021017	MX 2000-PA11536	20001123
IN 2000MN00658	A	20050318	IN 2000-MN658	20001123

BG 105001	A	20010928	BG 2000-105001		20001129
NO 2000006152	A	20010202	NO 2000-6152		20001204
US 6617339	B1	20030909	US 2000-719012		20001205
AU 2002300803	A1	20030220	AU 2002-300803		20020823
US 20030144263	A1	20030731	US 2003-340526		20030109
PRIORITY APPLN. INFO.:			GB 1998-12021	A	19980605
			GB 1998-20164	A	19980917
			GB 1998-26066	A	19981128
			AU 1999-41571	A3	19990603
			WO 1999-GB1753	W	19990603
			US 2000-719012	B1	20001205

OTHER SOURCE(S): CASREACT 132:35694; MARPAT 132:35694

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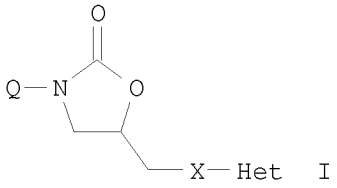

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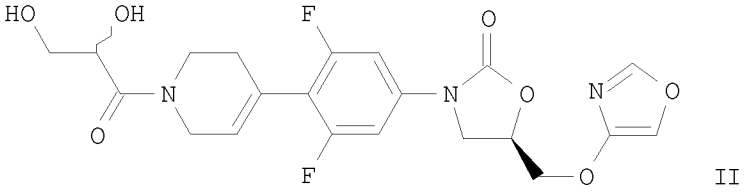

II

AB Title compds. I and their pharmaceutically-acceptable salts and in-vivo-hydrolyzable esters are described [wherein, for example: X = O or S; Het = (un)substituted C-linked 5-membered heteroaryl ring containing 2 to 4 heteroatoms independently selected from N, O, and S; Q = (for example) certain substituted phenyls, 2-pyridyls, or 1,2,5,6-tetrahydropyrid-4-yls]. The compds. are useful as antibacterial agents, and have good activity against a broad range of Gram-pos. pathogens, including organisms known to be resistant to most commonly known antibiotics. For instance, 5(R)-[(isoxazol-3-yloxy)methyl]-3-[4-(1,2,5,6-tetrahydropyrid-4-yl)-3,5-difluorophenyl]oxazolidin-2-one (preparation given) underwent N-acylation by (R,S)-2,3-O-isopropylideneglyceric acid using EDC and Et3N in CH2Cl2 (39%), followed by deprotection with HCl in aqueous THF (80%), to give title compound II. Against coagulase-neg. staphylococci, II had an MIC (μg/mL) of 0.13 for methicillin-sensitive strains, and 0.50 for methicillin-resistant strains.

IT 75-36-5, Acetyl chloride 76-83-5, Chlorotriphenylmethane 77-76-9, 2,2-Dimethoxypropane 77-79-2 79-04-9, Chloroacetyl chloride 79-22-1, Methyl chloroformate 100-52-7, Benzaldehyde, reactions 104-98-3, 3-(4-Imidazolyl)acrylic acid 107-21-1D, Ethyleneglycol, resin bound 108-24-7, Acetic anhydride 109-01-3, N-Methylpiperazine 109-84-2, 2-Hydroxyethylhydrazine 110-86-1, Pyridine, reactions 110-91-8, Morpholine, reactions 111-77-3, 2-(2-Methoxyethoxy)ethanol 111-92-2, Di-n-butylamine 123-38-6, Propanal, reactions 177-11-7, 1,4-Dioxa-8-azaspiro[4,5]decane 288-32-4, 1H-Imidazole, reactions 288-88-0, 1H-1,2,4-Triazole 369-34-6, 3,4-Difluoronitrobenzene 372-39-4, 3,5-Difluoroaniline 501-53-1, Benzyl chloroformate 502-85-2,

Butanoic acid, 4-hydroxy-, monosodium salt 540-51-2, 2-Bromoethanol 541-41-3, Ethyl chloroformate 693-98-1, 2-Methylimidazole 814-68-6, Acryloyl chloride 822-36-6, 4-Methylimidazole 872-35-5, 2-Mercaptoimidazole 1003-07-2, 3-Hydroxyisothiazole 1074-59-5, 3-(4-Imidazolyl)propionic acid 1445-73-4, N-Methyl-4-piperidone 3034-53-5, 2-Bromothiazole 3040-38-8 3251-69-2 3262-72-4, N-BOC-L-serine 3612-20-2, N-Benzyl-4-piperidone 4252-82-8 5570-27-4 5728-07-4, 3-Hydroxy-1,2,5-thiadiazole 5736-06-1 5777-20-8, 3-Hydroxyisoxazole 6294-89-9, Methyl carbazate 6915-15-7 7126-38-7, 3-Cyanopyrrole 7693-46-1, 4-Nitrophenyl chloroformate 10004-44-1, 3-Hydroxy-5-methylisoxazole 10068-07-2 13831-31-7, Acetoxyacetyl chloride 16024-56-9, 2-(2-Methoxyethoxy)acetic acid 33252-28-7, 2-Chloro-5-cyanopyridine 33996-33-7 36394-75-9, S-2-Acetoxypropionyl chloride 45469-93-0 51138-06-8 52386-40-0 52768-17-9, 1-(4-Aminophenyl)pyrrole 59032-27-8 60456-23-7, S-Glycidol 60456-26-0, R-Glycidyl butyrate 63024-77-1, 3-Chloromethylbenzoyl chloride 63881-16-3 74181-34-3, 2,2-Dimethyl-1,3-dioxan-5-one 82796-40-5 87508-42-7 97673-82-0 102045-96-5 104706-47-0, R-3-Pyrrolidinol hydrochloride 114746-70-2 116258-17-4 116561-26-3 117924-33-1, Di-tert-butyl N,N-diethylphosphoramidite 122536-77-0 149524-30-1 150994-99-3 154590-62-2 162046-38-0 168828-82-8 179620-47-4 181997-23-9 181997-26-2 185099-69-8 188975-33-9 194351-00-3 195816-25-2 196298-73-4 196299-06-6 205646-91-9 218916-64-4, DL-N-BOC-isoserine 252350-02-0 252350-55-3, N-Acetyl-L-isoserine 252350-65-5 252366-06-6 252366-92-0 252366-93-1 252366-94-2 252367-08-1 252367-70-7 252367-93-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antibiotic oxazolidinone derivs.)

IT 3068-00-6P, 1,2,4-Butanetriol 93351-55-4P 114458-03-6P 157556-73-5P 160446-35-5P 172967-24-7P 178680-96-1P 209960-26-9P 209960-27-0P 252320-81-3P 252320-85-7P 252320-89-1DP, resin bound 252320-90-4DP, resin bound 252328-79-3P 252328-80-6P 252328-81-7P 252328-82-8P 252328-83-9P 252328-84-0P 252328-85-1P 252328-86-2P 252328-94-2P 252328-98-6P 252329-02-5P 252329-06-9P 252329-08-1P 252329-11-6P 252329-85-4P 252329-88-7P 252329-91-2P 252330-05-5P 252330-06-6P 252330-09-9P 252330-11-3P 252330-13-5P 252330-16-8P 252330-19-1P 252330-22-6P 252330-24-8P 252330-27-1P 252336-60-0P 252336-62-2P 252336-63-3P 252336-65-5P 252336-66-6P 252336-67-7P 252336-69-9P 252336-72-4P 252336-73-5P 252336-75-7P 252336-77-9P 252336-78-0P 252336-79-1P 252336-81-5P 252336-82-6P 252336-84-8P 252336-85-9P 252336-87-1P 252336-88-2P 252336-89-3P 252336-91-7P 252336-92-8P 252336-93-9P 252336-94-0P 252337-01-2P 252337-07-8P 252337-13-6P 252337-14-7P 252337-15-8P 252337-16-9P 252337-17-0P 252337-18-1P 252337-19-2P 252337-20-5P 252337-21-6P 252337-22-7P 252337-23-8P 252337-24-9P 252337-25-0P 252337-28-3DP, resin bound 252337-29-4P 252340-70-8P 252340-72-0P 252340-74-2P 252340-76-4P 252340-78-6P 252340-82-2P 252340-85-5P 252340-88-8P 252340-90-2P 252340-92-4P 252340-95-7P 252340-97-9P 252341-00-7P 252341-02-9P 252342-23-7P 252342-30-6P 252342-32-8P 252342-33-9P 252342-34-0P 252342-35-1P 252342-36-2P 252344-71-1P 252344-94-8P 252345-06-5P 252345-14-5P 252345-22-5P 252350-38-2P 252350-53-1P 252570-66-4P 252570-67-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibiotic oxazolidinone derivs.)

L8 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:747431 CAPLUS
DOCUMENT NUMBER: 131:351320
TITLE: Preparation of oxazolidinylmethyldithiocarbamic acid derivatives as bactericides and fungicides
INVENTOR(S): Yoshida, Toshihiko; Tokuyama, Tatsuteru; Tomita, Yayoi
PATENT ASSIGNEE(S): Hokurika Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 90 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11322729	A	19991124	JP 1999-57378	19990304
PRIORITY APPLN. INFO.:			JP 1998-74982 A	19980309
OTHER SOURCE(S):	MARPAT 131:351320			

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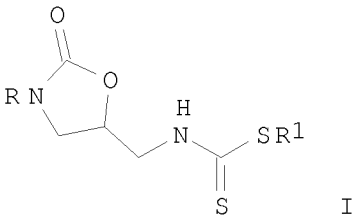

I

AB Title compds. I (R = Ph, substituted Ph; R1 = alkyl, cycloalkyl, aryl, aralkyl, etc.) and their salts, useful as bactericides and fungicides, are prepared Thus, reaction of (S)-5-aminomethyl-2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidine with CS2 in CH2Cl2 in the presence of Et3N gave, after treatment with MeI, Me (S)-N-[2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyldithiocarbamate. Me (S)-N-[2-oxo-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyldithiocarbamate showed bactericidal activity superior to that of linezolid.

IT 2689-37-4P 3824-31-5P 4045-25-4P 4469-80-1P 7244-77-1P
65739-04-0P 83800-33-3P 93008-63-0P 109384-19-2P 141699-55-0P
151003-90-6P 154590-62-2P 154590-63-3P 154590-64-4P
188622-27-7P 221198-06-7P 221198-18-1P 221198-23-8P 221198-29-4P
221198-41-0P 221198-44-3P 221198-54-5P 221198-59-0P 221198-63-6P
221198-66-9P 221198-69-2P 221198-75-0P 221198-82-9P 221198-89-6P
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221200-66-4P 221200-67-5P 221200-68-6P 221200-69-7P
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221200-94-8P 221200-97-1P 221201-02-1P 221201-03-2P 221201-04-3P
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221201-11-2P 221201-12-3P 221201-13-4P 221201-14-5P 221201-15-6P
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221201-21-4P 221201-22-5P 221201-23-6P 221201-24-7P 221201-26-9P
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221201-55-4P 250371-77-8P 250371-78-9P 250371-79-0P 250371-80-3P
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250371-92-7P 250371-94-9P 250371-95-0P 250371-96-1P 250371-97-2P
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250372-25-9P 250372-26-0P 250372-27-1P
250372-29-3P 250372-30-6P 250372-31-7P
250372-32-8P 250372-33-9P 250372-34-0P
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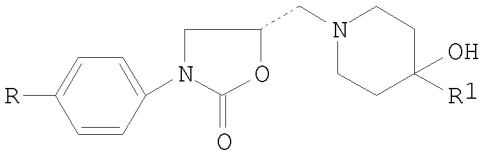
250372-38-4P 250372-39-5P 250372-40-8P
250372-41-9P 250372-42-0P 250372-44-2P 250372-45-3P 250372-47-5P
250372-57-7P 250372-60-2P 250372-62-4P 250372-67-9P 250372-70-4P
250372-76-0P 250372-78-2P 250372-80-6P 250372-82-8P 250372-84-0P
250372-86-2P 250372-89-5P 250372-91-9P 250372-93-1P 250372-98-6P
250373-00-3P 250373-02-5P 250373-04-7P 250373-07-0P 250373-08-1P
250373-09-2P 250373-10-5P 250373-11-6P 250373-12-7P 250373-16-1P
250373-19-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinylmethyldithiocarbamic acid derivs. as bactericides and fungicides)

L8 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:625867 CAPLUS
DOCUMENT NUMBER: 119:225867
ORIGINAL REFERENCE NO.: 119:40319a,40322a
TITLE: (5S)-3-Aryl-5-(1-piperidinylmethyl)-2-oxazolidinones, a new class of potential neuroleptics with a high affinity for sigma receptors
AUTHOR(S): Pruecher, H.; Gottschlich, R.; Haase, A.; Stohrer, M.; Seyfried, C.
CORPORATE SOURCE: Med. Chem. Res. Dep., E. Merck, Darmstadt, D-6100, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(2), 165-70
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:225867
GI



I

AB The synthesis 3,5-disubstituted 2-oxazolidinones I (e.g., R = MeO, R1 = Ph), potential novel neuroleptic agents, is described. Like other "atypical" neuroleptics these compds. show high affinity for the σ-(SKF 10047)-receptor. Structure-activity relationships are discussed.

IT 73422-72-7P 87508-42-7P 150880-14-1P
150880-15-2P 150880-16-3P 150880-17-4P
150880-18-5P 150880-19-6P 151003-89-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methanesulfonyl chloride)

L8 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:407390 CAPLUS
DOCUMENT NUMBER: 111:7390
ORIGINAL REFERENCE NO.: 111:1419a,1422a
TITLE: Preparation of 5-(piperidinomethyl)-2-oxazolidinones and analogs as nervous system agents
INVENTOR(S): Pruecher, Helmut; Boettcher, Henning; Gottschlich, Rudolf; Minch, Klaus Otto; Haase, Anton; Seyfried, Christoph
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3723797	A1	19890126	DE 1987-3723797	19870718
EP 300272	A1	19890125	EP 1988-110754	19880706
EP 300272	B1	19920916		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 80627	T	19921015	AT 1988-110754	19880706
ES 2052640	T3	19940716	ES 1988-110754	19880706
AU 616185	B2	19911024	AU 1988-18926	19880711
AU 8818926	A	19890119		
HU 50813	A2	19900328	HU 1988-3706	19880715
US 4970217	A	19901113	US 1988-219634	19880715
ZA 8805189	A	19890329	ZA 1988-5189	19880718
JP 01163177	A	19890627	JP 1988-177246	19880718

PRIORITY APPLN. INFO.: DE 1987-3723797 A 19870718
EP 1988-110754 A 19880706
OTHER SOURCE(S): CASREACT 111:7390; MARPAT 111:7390
GI For diagram(s), see printed CA Issue.
AB The title compds. [I, R = substituted piperidino, 3,6-dihydro-1(2H)-pyridinyl; R1 = (un)substituted Ph, PhCH2, heteroaryl] and their physiol. acceptable salts were prepared as nervous system agents (no data), such as tranquilizers, antidepressants, and antipsychotics. 4-MeOC6H4NH2 was

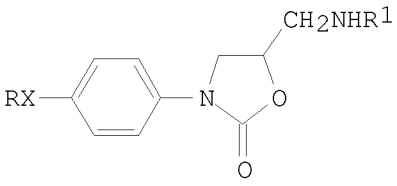
condensed with oxiranemethanol to give 4-MeOC6H4NHCH2CH(OH)CH2OH which was cyclocondensed with (EtO)2CO to give I (R = OH, R1 = 4-MeOC6H4). The latter was esterified with 4-piperidinol to give title compound II. Tablets each containing 10 mg active ingredient were prepared from a mixture of II 1, lactose 4, potato starch 1.2, talc 0.2, and Mg stearate 0.1 kg.

IT 711-85-3P 2651-82-3P 29218-19-7P 29218-23-3P
29218-25-5P 42902-32-9P 121082-76-6P 121082-77-7P
121082-78-8P 121082-79-9P 121082-80-2P 121082-81-3P
121082-82-4P 121082-83-5P 121082-84-6P 121082-85-7P 121082-87-9P
121082-88-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of nervous system agents)

L8 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:72080 CAPLUS
DOCUMENT NUMBER: 98:72080
ORIGINAL REFERENCE NO.: 98:11039a,11042a
TITLE: 5-(Aminomethyl)oxazolidines and their therapeutic use
INVENTOR(S): Dostert, Philippe; Lacour, Alain; Langlois, Michel; Strolin-benedetti, Margherita
PATENT ASSIGNEE(S): Delalande S. A. , Fr.
SOURCE: Fr. Demande, 22 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2500450	A1	19820827	FR 1981-3797	19810225
FR 2500450	B1	19831202		
GB 2094299	A	19820915	GB 1982-5181	19820222
GB 2094299	B	19850821		
SE 8201145	A	19820826	SE 1982-1145	19820224
SE 457258	B	19881212		
SE 457258	C	19890413		
AU 8280756	A	19820902	AU 1982-80756	19820224
AU 553462	B2	19860717		
NL 8200732	A	19820916	NL 1982-732	19820224
US 4476136	A	19841009	US 1982-351888	19820224
CA 1178594	A1	19841127	CA 1982-396983	19820224
CH 653999	A5	19860131	CH 1982-1139	19820224
BE 892270	A1	19820825	BE 1982-207402	19820225
DE 3206770	A1	19821111	DE 1982-3206770	19820225
JP 58038273	A	19830305	JP 1982-28234	19820225
JP 02061465	B	19901220		
ES 516258	A5	19840307	ES 1982-516258	19821006
GB 2141716	A	19850103	GB 1984-17905	19840713
GB 2141716	B	19850829		

PRIORITY APPLN. INFO.: FR 1981-3797 A 19810225
JP 1981-159503 A 19811008
GB 1982-5181 A3 19820222
OTHER SOURCE(S): CASREACT 98:72080; MARPAT 98:72080
GI



I

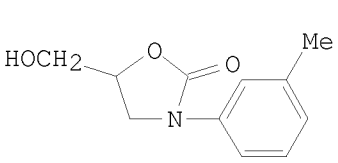
AB Aminomethyloxazolidinones I (R = Ph, halophenyl, CF3C6H4, 3,4-ClFC6H3; R1 = H, alkyl, propargyl; X = bond, CH2CH2, CH:CH, C.tplbond.C, CH2O) were prepared Thus I (R = 3-ClC6H4, R1 = H, X = CH2CH2, II) was obtained by treating the mesyloxymethyloxazolidinone with K phthalimide, followed by hydrazinolysis. At 5 mg/kg orally in rats II gave 91% inhibition of monoamine oxidase type B.

IT 84460-17-3P 84460-18-4P 84460-19-5P
84460-20-8P 84460-21-9P 84460-22-0P
84460-23-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and mesylation of)

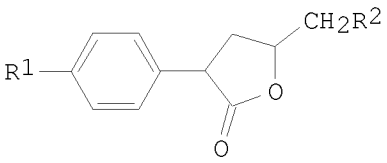
IT 84372-37-2P 84459-86-9P 84459-87-0P 84459-88-1P 84459-90-5P
84459-92-7P 84459-94-9P 84459-96-1P 84459-98-3P 84459-99-4P
84460-02-6P 84460-04-8P 84460-06-0P 84460-42-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 84460-41-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chlorophenylethyne)

L8 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:46460 CAPLUS
DOCUMENT NUMBER: 98:46460
ORIGINAL REFERENCE NO.: 98:6994h,6995a
TITLE: Structural modifications in oxazolidinone series leading to type A or B selective monoamine oxidase inhibitors
AUTHOR(S): Dostert, Philippe; Strolin Benedetti, Margherita; Jalfre, Maurice
CORPORATE SOURCE: Cent. Rech. Delalande, Rueil-Malmaison, 92500, Fr.
SOURCE: International Congress Series (1982), 564(Monoamine Oxidase), 197-208
CODEN: EXMDA4; ISSN: 0531-5131
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

AB Ninety-three analogs of toloxatone (I) [29218-27-7] were examined for antidepressant (reserpine ptosis antagonism and 5-hydroxytryptophan tremor potentiation) and monoamine oxidase [9001-66-5] inhibitory activity. The basic structure II appeared to be required for MAO-inhibitory activity. Substitution at R2 with OMe or NR2 resulted in MAO type A or B inhibitors, resp., whose reversibility and selectivity depended on the nature of R1.

AB Ninety-three analogs of toloxatone (I) [29218-27-7] were examined for antidepressant (reserpine ptosis antagonism and 5-hydroxytryptophan tremor potentiation) and monoamine oxidase [9001-66-5] inhibitory activity. The basic structure II appeared to be required for MAO-inhibitory activity. Substitution at R2 with OMe or NR2 resulted in MAO type A or B inhibitors, resp., whose reversibility and selectivity depended on the nature of R1.

IT 29218-19-7 29218-21-1 29218-22-2
29218-23-3 29218-24-4 29218-25-5
29218-26-6 29218-27-7 29218-28-8
42902-30-7 42902-32-9 64589-73-7
64589-84-0 64589-97-5 64589-98-6
64589-99-7 64590-00-7 64590-01-8
64590-02-9 64590-03-0 64590-04-1
64590-05-2 64590-06-3 64590-21-2
64590-22-3 64590-28-9 64590-32-5
64590-33-6 64590-36-9 64590-38-1
70133-24-3 70133-25-4 70133-26-5
70133-33-4 70133-35-6 70133-51-6
70133-52-7 70133-53-8 70133-54-9
70133-55-0 70133-57-2 70648-30-5 73421-62-2
73421-69-9 73422-30-7 73422-32-9 73422-35-2 73422-36-3
73422-45-4 73422-59-0 73422-80-7 73422-81-8 73422-82-9
73423-14-0 73423-16-2 73423-18-4 73423-20-8 73423-21-9
73423-32-2 73423-35-5 73423-36-6 73423-37-7 73423-38-8
73423-39-9 73815-11-9 76823-41-1 79038-53-2 79038-54-3
79038-94-1 79038-97-4 79039-01-3 79039-05-7 79039-09-1
79039-11-5 79039-14-8 79944-60-8 84372-27-0
84372-28-1 84372-29-2 84372-30-5 84372-31-6
84372-32-7 84372-33-8 84372-34-9 84372-35-0 84372-36-1
84372-37-2 84372-38-3 84372-39-4 84372-40-7 84372-41-8
84372-42-9 84372-43-0
RL: BIOL (Biological study)
(antidepressant activity of and monoamine oxidase inhibition by)

L8 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1974:55668 CAPLUS
DOCUMENT NUMBER: 80:55668
ORIGINAL REFERENCE NO.: 80:9017a,9020a
TITLE: New series of antidepressants. Derivatives of 5-hydroxymethyl-2-oxazolidinone. II. Psychopharmacological study
AUTHOR(S): Raynaud, Guy; Gouret, Claude; Mouton, Marie T.; Bouniol, Marie J.
CORPORATE SOURCE: Cent. Rech. Delalande, Courbevoie, Fr.
SOURCE: Chimica Therapeutica (1973), 8(3), 328-37
CODEN: CHTPBA; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: French
AB At low doses, the 29 5-hydroxymethyl-2-oxazolidinones (I) and carbamates (II) tested decreased various effects of reserpine and showed pro-tryptaminergic activity which conferred on them potential antidepressant character. The latter activity was more marked with the

alcohols than with the carbamates. At doses generally higher than the antireserpine doses, the compds. showed anticonvulsant activity with respect to strychnine and nicotine, but were only slightly active with respect to pentetrazole and maximum electroshock. These latter properties were more marked with halogenated derivs. and stronger with carbamates than with alcohols. The oxazolidinones studied induced narcosis after thiopental treatment, altered the exploratory and aggressive behavior of mice, but suppressed reflexes only at elevated doses. Several of the compds. gave pos. results in analgesic or antiinflammatory tests. None of the derivs. changed the activity of dopaminergic and adrenergic systems.

IT 29218-19-7 29218-20-0 29218-21-1 29218-22-2
29218-23-3 29218-24-4 29218-25-5
29218-26-6 29218-27-7 29218-28-8 29218-30-2
29218-31-3 29218-32-4 29218-33-5 29218-34-6 29218-35-7
29218-36-8 29218-37-9 29218-38-0 29306-25-0 42902-30-7
42902-32-9 42902-33-0 42902-34-1
42902-35-2 42902-46-5 42902-47-6 42902-48-7 42902-49-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidepressant activity of)

L8 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1973:505117 CAPLUS
DOCUMENT NUMBER: 79:105117
ORIGINAL REFERENCE NO.: 79:17043a,17046a
TITLE: New series of antidepressants. Derivatives of 5-hydroxymethyl-2-oxazolidinone. I. Synthesis
AUTHOR(S): Fauran, Claude; Douzon, Colette; Bagousse, Yvette
CORPORATE SOURCE: Cent. Rech. Delaland, Courbevoie, Fr.
SOURCE: Chimica Therapeutica (1973), 8(3), 324-7
CODEN: CHTPBA; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 79:105117
GI For diagram(s), see printed CA Issue.
AB Oxazolidinylmethyl carbamates I (R = CONH2; R1 = H, 2-Me, 3-Me, 4-Me, 3-CF3, 2-F, 3-F, 4-F, 3-Cl, 4-Cl, 3-Br, 4-OMe, 3-OH) were obtained in 35-74% yield by treating I (R = H) with COCl2 and NH3. I (R = H) were prepared in 33-87% yield by cyclizing R1C6H4NHCH2CH(OH)CH2OH (II) with NaOMe. II were prepared in 50-85% yield by heating glycidol with R1C6H4NH2.
IT 5840-15-3P 29218-19-7P 29218-20-0P 29218-21-1P
29218-22-2P 29218-23-3P 29218-24-4P
29218-25-5P 29218-26-6P 29218-27-7P
29218-28-8P 29218-30-2P 29218-31-3P 29218-32-4P
29218-33-5P 29218-34-6P 29218-35-7P 29218-36-8P 29218-37-9P
29218-38-0P 29306-25-0P 42902-30-7P 42902-32-9P
42902-33-0P 42902-34-1P 42902-35-2P
42902-46-5P 42902-47-6P 42902-48-7P 42902-49-8P 42902-51-2P
42902-52-3P 42902-53-4P 42902-54-5P 42902-55-6P 42902-56-7P
42902-57-8P 42902-58-9P 42902-59-0P 42902-60-3P 42902-61-4P
42902-62-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L8 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1973:136262 CAPLUS
DOCUMENT NUMBER: 78:136262
ORIGINAL REFERENCE NO.: 78:21893a,21896a
TITLE: Pharmacologically active esters of

5-(hydroxymethyl)-3-phenyl-2-oxazolidinone
INVENTOR(S): Huguet, G.; Fauran, C.; Douzon, C.; Raynaud, G.; Gouret, C.
PATENT ASSIGNEE(S): Delalande S. A.
SOURCE: Fr. Demande, 9 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2121442	A5	19720825	FR 1971-1073	19710114
FR 2121442	B1	19740802		

PRIORITY APPLN. INFO.: FR 1971-1073 A 19710114
AB The title esters I (R = Ac, Bz, 3,4,5-(MeO)3C6H2CO, p-ClC6H4CO, m-FC6H4CO, m-FC6H4CO, EtCO, m-F3CC6H4CO, PhCH2CO, nicotinoyl; X = H, F) with the corresponding acid chlorides. I are antidepressant, anticonvulsant, analgesic and inhibit reserpine-induced ulcers.
IT 29218-23-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of)

L8 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1970:530985 CAPLUS
DOCUMENT NUMBER: 73:130985
ORIGINAL REFERENCE NO.: 73:21345a,21348a
TITLE: Pharmaceutical 5-(hydroxymethyl)-3-phenyl-2-oxazolidinones
INVENTOR(S): Fauran, Claude; Raynaud, Guy; Douzon, Colette; Oliver, Rene
PATENT ASSIGNEE(S): Delalande S. A.
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2011333	A	19701008	DE 1970-2011333	19700310
DE 2011333	B2	19770310		
DE 2011333	C3	19771103		
GB 1250538	A	19711020	GB 1969-14260	19690318
ES 377296	A1	19730201	ES 1970-377296	19700309
BE 747128	A	19700910	BE 1970-747128	19700310
NL 7003353	A	19700922	NL 1970-3353	19700310
NL 163216	B	19800317		
NL 163216	C	19800815		
CH 513193	A	19710930	CH 1970-513193	19700310
FR 2035025	A5	19701218	FR 1970-8818	19700312
FR 2035025	B1	19731221		
ES 377420	A1	19730101	ES 1970-377420	19700312
BE 747340	A	19700914	BE 1970-747340	19700313
NL 7003650	A	19700922	NL 1970-3650	19700313
NL 162906	B	19800215		
NL 162906	C	19800715		
CH 507273	A	19710515	CH 1970-507273	19700313

US 3655687	A	19720411	US 1970-20020		19700316
US 3641036	A	19720208	US 1970-20401		19700317
US 29934	E	19790313	US 1976-663563		19760303
US 29607	E	19780411	US 1976-692744		19760604
PRIORITY APPLN. INFO.:			GB 1969-14260	A	19690318
			US 1970-20020	A5	19700316
			US 1970-20401	A5	19700317

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), which had antidepressant, myorelaxant, sedative, analgesic, spasmolytic antipyretic, antiinflammatory, and uricosuric activities, were prepared in 55-87% yield by cyclization of the corresponding RC6H4NHCH2CH(OH)CH2OH and (EtO)2CO with heating at 110°. Prepared were I (R given): m-CF3, H, m-F, p-F, o-F, p-Cl, p-Me, m-Me, and o-Me. I had LD50 1050 to >4000 mg/kg in mice on oral administration.

IT 29218-19-7P 29218-21-1P 29218-22-2P
29218-23-3P 29218-24-4P 29218-25-5P
29218-26-6P 29218-27-7P 29218-28-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L8 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1970:520607 CAPLUS
DOCUMENT NUMBER: 73:120607
ORIGINAL REFERENCE NO.: 73:19655a,19658a
TITLE: Pharmaceutically active 5-(carbamoyloxymethyl)-3-phenyl-2-oxazolidinones
INVENTOR(S): Fauran, Claude; Douzon, Colette; Raynaud, Guy; Oliver, Rene
PATENT ASSIGNEE(S): Delalande S. A.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2012120	A	19700924	DE 1970-2012120	19700313
DE 2012120	B2	19770113		
DE 2012120	C3	19770908		
GB 1250538	A	19711020	GB 1969-14260	19690318
ES 377296	A1	19730201	ES 1970-377296	19700309
BE 747128	A	19700910	BE 1970-747128	19700310
NL 7003353	A	19700922	NL 1970-3353	19700310
NL 163216	B	19800317		
NL 163216	C	19800815		
CH 513193	A	19710930	CH 1970-513193	19700310
FR 2035025	A5	19701218	FR 1970-8818	19700312
FR 2035025	B1	19731221		
ES 377420	A1	19730101	ES 1970-377420	19700312
BE 747340	A	19700914	BE 1970-747340	19700313
NL 7003650	A	19700922	NL 1970-3650	19700313
NL 162906	B	19800215		
NL 162906	C	19800715		
CH 507273	A	19710515	CH 1970-507273	19700313
US 3655687	A	19720411	US 1970-20020	19700316
US 3641036	A	19720208	US 1970-20401	19700317
US 29934	E	19790313	US 1976-663563	19760303

US 29607 E 19780411 US 1976-692744 19760604
PRIORITY APPLN. INFO.: GB 1969-14260 A 19690318
US 1970-20020 A5 19700316
US 1970-20401 A5 19700317

GI For diagram(s), see printed CA Issue.

AB The title compds. (I, R = H, o-, m-, p-F, m-, p-Cl, o-, m-CF3, o-, m-, p-Me; R1 = H, R2 = H, CH2CH2NMe2, (NR1R2 =) 4-methyl-1-piperazinyl) were prepared by cyclization of RC6H4NHCH2CH(OH)CH2OH with (EtO)2CO (III) and reaction of II, formed with COCl2 and R1NHR2. Thus, m-CF3C6H4NHCH2CH(OH)CH2OH reacted with III at 110° to give II [R = m-CF3 (IIa)]. COCl2 in MePh was added to IIa in C6H6, PhNEt2 added, and NH3 passed into the solution to give I (R = m-CF3, R1 = R2 = H). I had muscle relaxant, sedative, anticonvulsive, antipyretic, antiphlogistic, and uricosuric effects in mice and rats and showed antidepressive effects in men.

IT 29120-48-7P 29218-19-7P 29218-20-0P 29218-21-1P
29218-22-2P 29218-23-3P 29218-24-4P
29218-25-5P 29218-26-6P 29218-27-7P
29218-28-8P 29218-29-9P 29218-30-2P 29218-31-3P
29218-32-4P 29218-33-5P 29218-34-6P 29218-35-7P 29218-36-8P
29218-37-9P 29218-38-0P 29218-39-1P 29218-40-4P 29218-41-5P
29218-42-6P 29218-43-7P 29218-44-8P 29218-45-9P 29218-46-0P
29218-47-1P 29218-48-2P 29306-25-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

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